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09/180,132
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=> d his

L13

L14

L15

(FILE 'HOME' ENTERED AT 11:38:49 ON 02 NOV 2001)

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FILE 'REGISTRY' ENTERED AT 11:39:29 ON 02 NOV 2001
L1
                STRUCTURE UPLOADED
L2
             23 S L1
L3
                STRUCTURE UPLOADED
L4
              9 S L3
L5
            164 S L3 FULL
L6
                STRUCTURE UPLOADED
L7
            106 S L6 FULL SUB=L5
L8
                STRUCTURE UPLOADED
L9
             70 S L8 FULL SUB=L7
     FILE 'USPATFULL' ENTERED AT 11:48:27 ON 02 NOV 2001
L10
              2 S L9
     FILE 'CAOLD' ENTERED AT 11:49:25 ON 02 NOV 2001
L11
              0 S L9
     FILE 'CAPLUS' ENTERED AT 11:49:44 ON 02 NOV 2001
L12
              5 S L9
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FILE 'MARPAT' ENTERED AT 11:53:24 ON 02 NOV 2001

0 S L9

15 S L9 FULL 12 S L14 NOT L12

withdrawal

syndrome.)

ANSWER 6 OF 8 CAPLUS COPYRIGHT 2000 ACS (Continued)
(Biological study), PROC (Process), USES (Uses)
(abortifacient effects of antiprogestins in early pregnancy in
long-tailed macaque in relation to dose and administration route)
126784-99-4 CAPUS
19-Norpregnar-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4(dimethylamino)phenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2000 ACS (Continued) 126784-99-4 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2000 ACS ACCESSION NUMBER: 1995:985962 CAPLUS DOCUMENT NUMBER: 124:22540 DOCUMENT NUMBER: TITLE: 124:22540
Pharmaceutical compositions of antiglucocorticoid compounds for treating or preventing symptoms of spontaneous or narcotic-induced withdrawal. Petit, Francis; Philibert, Daniel; Ulmann, Andre Roussel-UCLAF, Fr. Eur. Pat. Appl., 30 pp. CODEM: EPXXDW INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: Patent LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Arplication no. Date

Al 19951011 EP 1995-400764 19950406

TH, DE, DK, ES, FR, GB, GR, IE, IT, LL, LU, NL, PT, SE 119950403

Al 19951013 FR 1994-4156 19940408

Bl 19960303 ZA 1995-2058 19950313

AA 19951009 GA 1995-2146600 19950407

Al 19951009 FI 1995-1683 19950407

Al 19951019 AU 1995-16326 19950407

Al 19951024 JP 1995-107071 19950407

A2 19951024 JP 1995-107071 19950407

A2 19951024 JP 1995-1019 1005-1019

A 19960221 CN 1995-1019 PATENT NO. C EP 676203 R: AT, BE, CH, FR 2718354 A FR 2718354 E ZA 9502058 CA 2146600 CA 2146600 FI 5501693 AU 9516326 JP 07278017 HU 71468 CN 1116929 PRIORITY APPLN. INFO.: OTHER SOURCE(S): RITY APPLM. INFO::

R SOURCE(S):

MARPAT 124:22540

Antiplucocorticoid steroids such as mifepristone, onapristone, lilopristone and related steroids are proposed for the prevention or treatment of withdrawal syndromes, either spontaneous or pptd. by narcotics or mixts. of narcotics. These antiplucocorticoids would be useful in the withdrawal from morphinomimetics such as heroin, himse or morphine or methadone as well as cocaine. Pharmacol. activity was demonstrated by the effect of the antiglucocorticoids on the stereotypic behavior of mice response to narcotics. Spontaneous withdrawal syndrome was induced by administration of the opioid antagonist, naloxone. An antiprogesterone
activity of the steroids in their action mechanism was eliminated.
Results confirmed the involvement of endogenous glucocorticoids in
morphine withdrawal since this is inhibited by antiglucocorticoids or RI: THU (Therapoutic use); BIOL (Biological study); USES (Uses)
(RU 486 related; antiglucocorticoid steroids for treatment or
prevention of spontaneous opioid or narcotic-induced drug

L3 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2000 ACS ACCESSION NUMBER: 1988:529463 CAPLUS DOCUMENT NUMBER: 109:129463 New 11-(alkynylphenyl) 109:129463
New 11-(alkynylphenyl)-substituted 19-nor and 19-nor-D-homo steroids, their formation and pharmacological activity, and processes for their preparation Teutsch, Jean Georges, Klich, Michel, Philibert, INVENTOR (\$): Daniel
Roussel-UCLAF, Fr.
Eur. Pat. Appl., 88 pp.
CODEN: EPXXDW
Patent PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: French PATENT NO. EP 245170 A1 19871111 EP 1987-401018 19870504
EP 245170 B1 19891129
R: CH, DE, GB, IT, LI, NL, SE
FR 2598421 A1 19871111 FR 1986-6517 19860506
FR 2598421 B1 19898119
US 4912097 A 19900327 US 1987-44958 19870430
HU 44793 A2 19860428 HU 1987-2007 19870505
HU-196224 B 19880128 HU 1987-2007 19870505
HU-196224 B 19881028 FR 1986-6517 19860506
FRIORITY AFPLN. INFO:
AB Title steroids I [R1 - C2-8 alkynyl (un)substituted by OH, halo, trialkylsilyl, alkoxy, alkylthio, dialkylamino, or oxo; R2 - C1-3
alkyli
A/B-rings = 01-05, B-ring = 06-05, R3 KIND DATE APPLICATION NO. DATE A/B-rings = Q1-Q5; D-ring = Q6, Q7; R3, R4 = H, C1-4 alkyl; R5 = H, acycloxy, (un) substituted C1-6 alkoxy: R6 = H, C1-8 alkyl, C7-15 aralkyl R7, R8 = H, OH, etc.; R7R8 = lactones and related groups; YZ = CH2CH2, CH:CH, 1,2-cyclopropanediyl, CHR9CH2, CH2CHR10; R9, R10 = C1-4 alkyl) are
prepd. for use as/progestogens, antiprogestogens, and/or
antiglucocorticoids.
3,3-Ethylenediosy-5,10-epowy-estr-9(11)-en-17-one
was treated with 4-(MajSicC)CGMMMgBr and CuCl in THF, and the product
treated with CH2:CHCH2MgBr and deprotected and dehydrated (NH4OH in MeOH, then aq. HCl) to give (ethylnylphenyl)allylhydroxyestradienone At 10-6M in vitro, II gave 99% reversal of the dexamethasone-induced redn.
of uridine uptake by rat thymocytes (5 .times. 10-8M dexamethasone).
Tablets were prepd. from 50 mg of the 17.alpha.-(chloroethynyl)
analog of
II, and 120 mg of a mixt. of talc, starch, and Mg stearate.
IT 116421-73-99 116421-74-09 RI: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of) as drug)

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 1999 ACS (Continued)
the compds. of formula I are advantageously used, inter alia, to
antagonize endogenous progesterone; to induce menses; to treat
endometriosis; to treat dysmenorrhea; to treat endocrine
hormone-dependent
tumors; to treat uterine fibroids; to inhibit uterine endometrial
proliferation; to induce layer; and for contresption. Thus, II was
prepd. from 3, 3-ethylenediday; V. beta. -cyane(17. alpha-bydroxyestra5(10),9(11)-diene and 4-bromo-N.N-dimethylandine in 9 steps. II L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 1999 ACS ACCESSION NUMBER: 1997:740250 CAPLUS DOCUMENT NUMBER: 127:356892 TITLE: Preparation of 21-sub: Preparation of 21-substituted progesterone as new antiprogestational agents Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju INVENTOR (S): Cessac, James W.; Acosta, Carmie K. United States Dept. of Health and Human \$\(\) PATENT ASSIGNEE(S): Services, USA; Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju Cessac, James W.; Acosta, Carmie K. PCT Int. Appl., 65 pp. CODEN: PIXXD2 Patent SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. DATE PATENT NO. KIND DATE stereochemistry. WO 9741145 A1 19971106 WO 97-U57373 19970430 W: AL, AH, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DK, EE, ES, FI, GB, GE, GH, HU, IL, LG. JP, KE, KG, KP, ΚZ, MN; MW, MX, NO LC. LK, LR, LS, LT, LU, LV, MD, MG, MR PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM UA, UÇ UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, FI, FR, GR, IE, II, LU, MC, NL, FT, SE, BF, BJ, GF, CG, ML, MR, NE, SN, TD, TG
9729304 Al 1997119 AU.97-29304 1
900234 Al 1990310 EF 97922523 1
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, Y APPORT INFO GB, di, chi, GA, GN, 198414-09-4 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 21-(acetylthio)-11-(4-(dimethylamino)phenyl)-17-hydroxy-, (11.beta.)- (9C1) (CA INDEX NAME) AU 97-29304 19900430 EP 97-923523 19970430 PR, GB, GR, IT) LI, LU, NL, SE, MC, Absolute stereochemistry. US 96-16628 WO 97-US7373 OTTRUE (rone derivs. of formula [R2 halo, alkyl, acyl, on [R1 = OMe, SMe, NMe NHMe, CHO, alkoxy, etc.; R3 = n4 - H, alkyl; X - O, (substituted) NOH] are prepd. as estational agents. The present invention provides methods L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 1999 ACS ANSWER 1 OF 1 CAPLUS COPYRIGHT 1999 ACS (Continued) CH2F OAc 199414-05-0 CAPUS 19-Norpregna-4,9-diene-3,20-diente,17-(acetyloxy)-21-chloro-11-[4-(dientylamino)phenyl)--(11.beta.)- (9CI) (CA INDEX NAME) 198414-31-2 CAPLUS
19-Norpregna-4,9-diene-3,20-diene, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX Absolute stereochemistry. Absolute stereochemistry. CH2C1 RN 198414-11-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione,
17-(acetyloxy)-21-(acetylthio)-11-[4(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME) 198414-03-8P 198414-05-0P 198414-11-8P 199614-22-1P 198414-32-3P 198414-33-4P 199614-34-5P 198414-39-0P 198414-43-6P RL: BAC (Biological activity or effector, except adverse); SPN thetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
(prepn. of progesterone derivs. as antiprogestational agents)
198414-03-8 CAPUS
198414-03-8 CAPUS
198-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4(dimethylamino)phenyl)-21-fluoro-, (11.beta.)- (9CI) (CA INDEX NAME) Absolute stereochemistry.

198414-22-1 CAPLUS

CN Estra-4,9-dien-3-one, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(1-

Absolute stereochemistry.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 1999 ACS (Continued) Oxopropyl)-, (11.beta., 17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 198414-32-3 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione,
21-(3-cyclopenty)-1-0xopropoxy)-11-{4(dimethylamino)phenyl}-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX

Absolute stereochemistry.

Absolute stereochemistry.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 1999 ACS

198414-43-6 CAPLUS
19-Norpregna-4, 9-diene-3, 20-dione, 17-(acetyloxy)-21-bromo-11-[4-(dimethylamino)phenyl]-, (11.beys.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 198413-95-6F 198413-97-7F 198413-98-8F 198413-99-9F 198414-00-5F 198414-21-0F 198414-30-1F 198414-30-1F 198414-42-5F RL: RCT (Réactant); SFN (Synthetic preparation); PREF (Preparation) (prepnf of progesterone derivs. as antiprogestational agents) RN 198413-95-6 CAPIUS CN 19-Norpfespa-4, 9-diene-3, 20-diene, 21-chloro-14-[4-dimethylaminolphenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 1999 ACS (Continued)

198414-34-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-{4-(dimethylamino)phenyl]-21-hydroxy-, (11.beta.)-2 (9CI) ((CA INDEX NAME)

Absolute stereochemistry.

198414-39-0 CAPLUS
19-Nogpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-li-[4-(digathylamino)phenyl]-21-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME) Absolute stereochemistry.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 1999 ACS (Continued)

198413-97-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

198413-98-8 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 11-(4-(dimethylamino)phenyl]-17,21-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

RN 198413-99-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-diene,
11-[4-(dimethylamino)phenyl]-17-hydroxy21-[(methylsulfonyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 1999 ACS (Continued)

Absolute stereochemistry.

RN 198414-00-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione,
11-{4-dimethylamino)phenyl}-21-fluoro17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-21-0 CAPLUS Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-hydroxy-17-(1-oxopropyl)-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

(Continued) L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 1999 ACS

RN 198414-42-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione,
21-bromo-11-{4-dimethylamino)pheny1}17-hydroxy-, (11.beta-)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

198414-40-3P 199414-41-4P
RL/ SPN (Synthetic preparation); PREP (Preparation)
(prepn. of progesterone derivs. as antiprogestational agents)
798414-40-3 CAPLUS
199-Norpregna-4, 9-diene-3, 20-dione, 17, 21-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, 3-oxime, (3E, 11.beta.)- (9CI) (CA INDEX

Absolute stereochemistry. Double bond geometry as shown.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 1999 ACS (Continued)

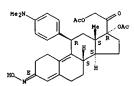
RN 198414-30-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione,
11-[4-(dimethylamino) phenyl]-17-hydroxy;
21-methoxy-, (11.beta.)- (9CI) CA INDEX NAME)

Absolute stereochemistry.

RN 198414-38-9 CAPLUS Cpf 19-Norpregna-4,9-diene-3,20-dione, pl-[4-(dimethylamino)phenyl]-21-ethoxy-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 1999 ACS (Continued)



RN 198414-41-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4(dimethylamino)phenyl)-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA
INDEX

Absolute stereochemistry.
Double bond geometry unknown.

Me 2N.

=> d ibib ab fqhit 1-13

(Continued)

(Continued)

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L7 ANSWER 1 OF 13 MARPAT COPYRIGHT 1999 ACS
ACCESSION NUMBER: 129:50105 MARPAT
TITLE: Uses of anti-glucocorticoid compounds for the
treatment of psychoses or addictive behaviors
Oberlander, Clauder, Piezza, Pier Vincenzo
PATENT ASSIGNEE(S): Hoochst Marion Rousel, Fr., Oberlander, Clauder,
Piazza, Pier Vincenzo
PCT Int. Appl., 41 pp.
CODEN: PIXXD2
PATENT TYPE:
LANGUAGE: Prench
PAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                                                                                                                                           L7 ANSWER 1 OF 13 MARPAT COPYRIGHT 1999 ACS
                                                                                                                                                                                                         = Ph (SO (1-) G11)
= 35-13 37-14
            PATENT NO. KIND DATE
                                                                                            APPLICATION NO. DATE
                           5783 A1 19980625 W0 97-FR2320 19971217
AL, AU, BA, BB, BG, BR, CA, CN, CU, C2, EE, GE, GW, HU, ID,
IS, JP, KP, KR, LC, LK, LR, LT, LV, HG, HK, HN, HX, NO, NZ,
            WO 9826783
  IL,
  PL,
                             RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY,
                                                                                                                                                                                                         - C(0)
- alkenyloxy<(2-6)>
- 41
  KG.
                    KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, S2, UG, ZW, AT, BE, CH, DE, DK, ES,
FR, GB, GR, IE, IT, LU, MC, NL, ET, SE, BF, BJ, CF, CG, CI,
  FI.
                                                                                                                                                                                           СС (О)-СН2—ОН
  CM,
            GA, GN, HL, MR, NE, SN, TD, FR 2757400 A1 19980626 AU 9855632 A1 19980715 FP 892641 A1 19990121 R: AT, BE, CH, DE, DK, ES, FR,
                                                                              FR 96-15649 19961219
AU 98-55632 19971217
EP 97-952078 19971217
FR, GB, GR, IT, LI, LU, NL, SE, MC,
                                                                                                                                                                                                         = alkyl<(1-12)> (SO G17)
and pharmaceutically acceptable acid addition salts
claim 4
  substitution is restricted
                                                                                                                                                                                           G16
  PT,
  IE, FI
PRIORITY APPLN. INFO.:
           ORITY APPLN. INFO.: FR 96-15649 19961219
W0 97-FR2320 19971217
Glucocorticoid antagonists, except mifepristone, are used as dopamine
            II receptor antagonists to treat psychotic or addictive behavior.
 Thus,
17.beta.-hydroxy-10.beta.-[(4-methylphenyl)methyl]-17.alpha.-(1-propynyl)est/a-4,9(11)-dien-3-one considerably reduced the response
            morphine in vivo.
 L7 ANSWER 2 OF 13 MARPAT COPYRIGHT 1999 ACS ACCESSION NUMBER: 128:188869 MARPAT TITLE: Mixed Access
                                                                                                                                                                                                  ANSWER 2 OF 13 MARPAT COPYRIGHT 1999 ACS
                                                      Mixed agonists of the progesterone receptor and
                                                                                                                                                                                          3g (o)⋅G3
  аззауз
                                                       for them
  INVENTOR(S):
                                                      McDonnell, Donald P., Wagner, Brandee L.
Duke University, USA
PCT Int. Appl., 62 pp.
CODEN: PIXXD2
  PATENT ASSIGNEE(S):
SOURCE:
                                                                                                                                                                                                             alkyl<(1-6)> (SO)
alkyl<(1-6)> (SO)
52
  DOCUMENT TYPE:
                                                       Patent
                                                       English
  LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
            PATENT NO.
                                                                                            APPLICATION NO. DATE
                                                KIND DATE
                                                A2 19980212
                                                                                            WO 97-US13754
                                                                                                                                19970805
            WO 9805679
                                                                                                                                                                                                         - OMe
claim 4
                   W: CA
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, MT, LU, MC, NL,
 PT, SE
PRIORITY APPLN. INFO:: US 96-23206 19960805
AB A third class of PR-ligand (i.e. mixed agonist) is identified which induces a progesterone receptor conformation distinct from that
  induced by a PR agonist or antagonist; the agonist are estra-4,9-dien-3-one
a PR agonist or antagonist; the agonist are estra-4,9-dien-3-one deriva.

PR mixed agonists exhibit partial agonist activity which is influenced by cell context. These compds. profide useful pharmacol. profiles for treating progesterone related diseases and/or conditions, such as uterine proliferation from estroyer administration, endometricsis, breast cancer, fibroids, endometrial cancer, and brain meningiomas. The agonists can also be used as compfaceptives. Assays are provided to screen for PR mixed agonists. Will designs are provided to convert a PR entagonist to a PR mixed agonist.
  MSTR 1
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G2

- 30

(Continued)

(Continued)

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L1 ANSWER 3 OF 13 MARPAT COPYRIGHT 1999 ACS
ACCESSION NUMBER:
124:22540 MARPAT
Pharmaceutical compositions of antiglucocorticoid compounds for treating or preventing symptoms of spontaneous or nancotic-induced withdrawal.
FATENT ASSIGNEE(S):
PATENT ASSIGNEE(S):
PATENT ASSIGNEE(S):
POUNDENT TYPE:
PATENT TYPE:
PATENT INFORMATION:

PATENT INFORMATION:

PATENT NO. KIND DATE
PATENT INFORMATION:

PATENT NO. KIND DATE
PATENT INFORMATION:

PATENT NO. KIND DATE
PATENT NO. BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT,

SE
FR 2718354
FR 271
```

MSTR 2

MSTR 18

ANSWER 3 OF 13 MARPAT COPYRIGHT 1999 ACS

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L7 ANSWER 4 OF 13 MARPAT COPYRIGHT 1999 ACS
ACCESSION NUMBER: 123:218391 MARPAT
ITILE: Steroids for reducing is
cancer
                                          123:218391 MARPAT
Steroids for reducing multidrug resistance to
                                          chemotherapeutic agents
Cohn, Suzanne Bourgeois Gruol, Donald J.
Salk Institute for Biological Studies, USA
PCT Int. Appl., 54 pp.
CODEN: PIXXD2
Patent
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
        PATENT NO.
                                     A1 19950629
                                                                         APPLICATION NO. DATE
                     192 Al 19950629 WO 94-US14624 19941219
AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES,
         WO 9517192
FI,
                      GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD,
MG,
                      MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT,
UA,
               US, UZ RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, 1T,
                      MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,
TD, TG
AU 9514395 A1 19950710
PRIORITY APPLN. INFO.:
                                                                          AU 95-14395
US 93-173243
W5 95-173243 19931222 W0 94-U514624 19941219 AB Certain steroid-like compds. [I; R1 = H; R2 = OR; or R1R2 = (0; R = H,
         lower alkyl, Me3Si; R3 = H, Me, or absent if double bond or epoxide
bridge
joins C9 and C10; R4 = OR', C4-18 cyclic org. group contg. O, N, P,
or Si;
R' = lower alkyl, Me3Si; R5 = H, OR; or R5C16C17 form a 3-, 5-, 6-,
or

7-membered ring; R6 = C(0)CH3, CH(OH)CH3, C(0)CH2OH, (substituted)
hydrocarbyl; R9 = H, halo, or absent if double bond or epoxide bridge
joins C9 and C10] are capable of inhibiting the
P-glycoprotein-assocod.
efflux pump which is considered responsible for multidrug resistance.
Chemotherapy can be enhanced by facilitating the accumulation of
drug at the target site, with reduced or eliminated competition by the drug
efflux
system. Thus RU 38486, an antiprogestin, at 5 .mu.M facilitated
killing
of multidrug-resistant S7CD-5 murine thymoma cells by 20 .mu.M
```

G1 - C(O)
G3 - 1 oweralky1
G10 - Ph (SO (1-2) G16)
G11 - 36
G(O)-CH2-OH
G16 - 41

MPL:

claim 1

ANSWER 4 OF 13 MARPAT COPYRIGHT 1999 ACS

```
L7 ANSWER 5 OF 13 MARPAT COPYRIGHT 1999 ACS
ACCESSION NUMBER: 122:256423 MARPAT
TITLE: Antiglucocorticoid steroids for the treatment of anxiety disorders:
INVENTOR(S): Peeters, Benardus Wynand Hachijs Maria
Akzo Nobel N.V., Neth.
SOURCE: Peeters, Benardus Wynand Hachijs Maria
Akzo Nobel N.V., Neth.
POT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
English
FAMILY ACC. NUM. COUNT: 1

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9504536 Al 19950216 W0 94-EP2513 19940728
W: MM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KP,
KR, KZ, LK, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ,
TI, UA, US, UZ, VN
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU,
HC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN,
TD, TG
AU 9474968 Al 19950228 AU 94-74968 19940728
AU 647088 B2 19980219
AU 647088 B2 19980219
AU 647088 B2 19980219
EP 712311 B1 19981007
EP 712311 B1 19981007
EP 712311 B1 19981007
AT 171873 E 19981015 AT 94-924819 19940728
AT 171873 E 19980421 US 96-581631 19960128
AT 171873 E 19980421 US 96-581631 19960128
AT 171873 E 19980421 US 96-581631 19940728
AB Antiglucocorticoid steroids are used for the manuf. of a compon. for the treatment of anxiety disorders. The anxiolytic effect of

11.beta. -(4-dimethylaminophenyl) -17.beta.-hydroxy-17.alpha.-(prop-1-ynyl)-estra-4,9-dien-3-one (RU38486) was demonstrated in animal testing (antagonism of fear-potentiated startle). Prepn. and activity (antagonism of stress-induced hyperthermia) of selected steroids of the invention is also described.
```

ANSWER 6 OF 13 MARPAT COPYRIGHT 1999 ACS
SSION NUMBER: 116:35156 MARPAT

Preparation and use of antiprogestomimetics for synchronization of parturition in livestock or company year Andre
ENT ASSIGNEE(5): Roussel-UCLAF, Fr.
ENT. Pat. Appl., 13 pp.
CODEN: EPXXDW ACCESSION NUMBER: TITLE: INVENTOR (S) PATENT ASSIGNEE (S): SOURCE: DOCUMENT TYPE: LANGUAGE: French FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. APPLICATION NO. KIND DATE 19910911 19920527 EP 91-400594 19910305 EP 446124 EP 446124 A2 A3 EP 446124 R: AT, BE, FR 2659233 FR 2659233 GA 2037549 AU 9172608 AU 642975 2A 9101603 JP 04211610 RU 2037295 CN 1055665 HU 99006 RITY APPLM. INFO. 19920527
, DK, FR, GB, GR, IT, LI, LU, NL, SE
19910913 FR 90-2783 19900306
19940121
19910907 CA 91-2037549 19910305
19931104
19920527 ZA 91-1603 19910305
19920803 JP 91-62496 19910305
19950619 RU 91-6485041 19910305
1991030 CN 91-102108 19910306 A3 CH, DE, A1 B1 AA A1 B2 A A2 C1 AU 642975 B2 19931104

ZA 9101603 A 19920527 ZA 91-1603 19910305

JP 04211610 A2 19920803 JP 91-62496 19910305

- RU 2037295 C1 19950619 RU 91-4895041 19910305

CN 1055665 A 19911030 CN 91-102108 19910306

FRIORITY APPLM. INFO.:

AB The title antiprogestomimetics are I (RI = CI-18 hydrocarby1 optionally substituted with .gtoreq.1 heterostoms and bonded to the steroid by a C/ R2 = C1-8 hydrocarbyl; X = remainder of 5- and 6-membered ring optionally unsatd., C = A = CNOH, ONO (free or substituted and optionally unsatd.) blocked as ketal), etc.: B and C together form a double bond or epoxide bridge) acid addn. salts thereof. Prepn. of 2 I are described.

17.bsta.-Hydroxy-11.bsta.-(4-dimethylaminophenyl)-17.alpha.-(prop-1-ynyl)stra-4,9-dien-3-one (II) was more effective at synchronizing parturition than cloprostenol when tested in sows. Injectable pharmaceuticals contg. II are disclosed.

MSTR 1C

```
L7 ANSWER 7 OF 13 MARPAT COPYRIGHT 1999 ACS
ACCESSION NUMBER: 115:214857 MARPAT
TITLE: Injectable microspheres containing
antiestrogenic and
                                                            antiprogestomimetic steroids
                                                           antiprogestomimetic steroids
Cohen, Gerard Dubois, Jean Luc
Roussel-UCLAF, Fr.
Ger. Offen., 15 pp.
CODEN: GWXXEX
Patent
INVENTOR(5):
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                            German
1
                                                                                                       APPLICATION NO.
                                                     KIND DATE
            PATENT NO.
DE 4036425
FR 2654337
FR 2654337
FR 2654337
SE 9003570
BE 1005511
DK 9002709
CA 2029940
JP 03294229
CH 681691
NL 9002492
GB 2239798
AT 9002313
AT 400298
PRIORITY APPLN. INFO.:
AB Biodegradable mici
                                                      A1
B1
A
A4
AA
A2
A
A1
B2
                                                                   19910516
19910517
                                                                                                      DE 90-4036425
FR 89-14976
                                                                                                                                               19901115
19891115
                                                                  19910517
19940805
19910516
19930831
19910516
19910516
19911225
19930514
19910603
19910717
19931027
19950415
19951127
                                                                                                                                               19901109
19901109
19901113
19901114
19901114
19901115
19901115
                                                                                                       SE 90-3570
                                                                                                       AT 90-2313
                                                                                                                                                19901115
            AT 400298 B 19951127
RITY APPLN. INFO.: FR 89-14976 19891115
Biodegradable microspheres comprise the title steroids (Markush
             opolymers of lactic acid with glycolic acid. A mixt. of 250 mL aq.
             hydrolyzed PVA soln., 1 g poly(DL-lactic acid-glycolic acid), 17 g
CH2C12,
and 0.5 g

17.beta.-hydroxy-11.beta.-[4-(dimethylamino)phenyl]-17.alpha.-(1-
propynyl)estra-4,9-dien-3-one was emulsified, followed by stirring at
22.degree. and decreasing pressure (.gtoreq.400 mm Hg) to give
microspheres, which were used for the prepn. of injections.
 CH2C12
 METR 1A
 G1---G3
 G1
                - 3
```

```
L7 ANSWER 8 OF 13 MARPAT COPYRIGHT 1999 ACS
ACCESSION NUMBER: 115:151901 MARPAT
TITLE: Use of antiprogestomimetics for stimulating
                                                          and new preparation for use in pharmaceutical compositions
Grandadam, Jean Andre Roussel-UCLAF, Fr.
EUr. Pat. Appl., 24 pp.
CODEN: EPXXDW
Patent
1
 ovulation,
 INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
 DOCUMENT TYPE:
 LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                  DATE
                                                                                                      APPLICATION NO. DATE
            PATENT NO.
                                                     KIND
PATENT NO. KIND DATE APPLICATION NO. DATE

EP 417003 A2 19910313 EP 90-402449 19900906
EP 417003 B1 19940629
R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE
FR 2651435 A1 19910308 FR 89-11699 19890907
FR 2651435 B1 19940422
US 5173483 A 19921222 US 90-57894 19900905
CA 2024728 AA 19910308 CA 90-2024728 19900906
AU 9062259 A1 19910314 AU 90-62259 19900907
AU 623805 B2 19920521
AU 623805 B2 19920521
AU 503099015 A2 19910424 JP 90-236004 19900907
FRIORITY APPLIN, INFO:: The PR 89-11699 19890907
AB Anti-progestomimetic compds., s.g. I [N] = C1-18 hydrocarbyl with optionally .gtoreq.1 heteroatoms, bonded to the steroid by a Cr R2 = C1-8
             hydrocarbyl; X = rest of 5- or 6-membered (substituted) (unsatd.)
  rings
            A:C = ONO (free or in ketal), CH(OH), CH(OR3), CH(O2CR3), etc.; R3 =
             alkyl, C7-15 aralkyl; B and C together form a double bond or epoxide bridge) and their acid and base addn. salts, are used for making pharmaceuticals for stimulating ovulation, e.g. in cows. The
  compds. of the invention are preferably used following treatment with
 progesterone or
a progestomimemetic, e.g.
3-oxo-17.alpha.-allyl-17.beta.-hydroxyestra-
4,9,11-triene (II). Thus, heifer cows were 1st administered II for
 days; on the day following the last administration, the animals were injected with

17.beta.-hydroxy-11.beta.-(4-dimethylaminophenyl)-17.alpha.-
(prop-1-ynyl)estra-4,9-dien-3-one. All of the heifers came to heat
              a very short delay period, and LH levels rose very rapidly. Prepn.
   of 12
              anti-progestomimetics is presented.
```

MSTR 12

G2 P-GCH4 He

G2 - He
G3 - 24

G6 - 68-26 70-27

G9 - 74

78 (O)—CH2—G10

G10 - OH
G13 - alkenyl<(2-8)>
MPL: (Continued)

Continued)

ANSWER 8 OF 13 MARPAT COPYRIGHT 1999 ACS (Continued)

G3 G12 G12

G6 G8

G7

G1 = 85

P8 G6HqG10

G10 = SMe G12 = alkenyl<(2-8)> (SO (1-) X) / 96

96 (O)G14

G14 = 98

H26 G8

O or acid or base addition salts claim 2 oxo formed by G5 and G6 may be protected as a ketal

G15 = OH G5 +G6 = O DER: or L7 ANSWER 9 OF 13 MARPAT COPYRIGHT 1999 ACS

ACCESSION NUMBER: 115:9125 MARPAT
Freparation of
.omega.-[(3-oxoestra-4, 9-dien-11.beta.yl)phenylamino|alkanoates as entiglucocorticoids
Mcguilevsky, Martiner Nedelec, Lucien, Nique,
Francois, Philibert, Daniel
Roussel-UCLAF, Fr.
SOURCE: EVANDW
DOCUMENT TYPE: Eur. Pat. Appl., 33 pp.
CODEN: EPANDW
Patent
LANGUAGE: French
Franch
French
Franch
French
Fren

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 414606	A2	19910227	EP 90-402328	19900822
EP 414606	A3	19910724		
EP 414606	B1	19941102		
R: AT, BE, C	H. DE	DK, ES, FR,	GB, GR, IT, LI, LU	, NL, SE
FR 2651233	A1	19910301	FR 89-11173	19890823
FR 2651233	В1	19911213		
CA 2022648	AA	19910224	CA 90-2022648	19900803
ZA_9006341-	A	19911030	ZA 90-6341	19900810
US 5166146	A	19921124	US 90-568597	19900816
31 03090097		19910416		19900820
IL 95451		19950731		19900821
AU 9061189		19910228		19900822
AU 634569		19930225		
HU 54706		19910328	HU 90-5275	19900822
HU 208154		19930830		
ES 2063313		19950101	ES 90-402328	19900822
CN 1051362		19910515	CN 90-107161	19900823
CN 1033808		19970115	0.0 50 10:101	122000
RU 2041236		19950809	RU 92-5011511	19920518
RIORITY APPLN. INFO.:		13330003	FR 89-11173	
				19090023
B The title compds.	[11]	KI = SIIPn. r	ydrocarbyll R2 - H,	

(un) substituted alkyl: R5, R6 - H, alkyl: X - atoms to complete an (un) substituted

5- or
6- membered ring; Z = (un)salified CO2H; n = 1-6) were prepd. Thus, aminophenylestradienone II (R = R5 = R6 = H) was condensed with BrCH2CO2He

to give, after sapon., II (R = CH2CO2Na, R5 = R6 = H) which at 10-6M

vitro gave 82% inhibition of uridine incorporation into rat thymocytes.

MSTR 2A

L7 ANSWER 10 OF 13 MARPAT COPYRIGHT 1999 ACS
ACCESSION NUMBER: 114:229227 MARPAT
TITLE: Preparation of 19-nor 3-oxo steroids with an

amine substituted 17-chain as antioxidants and antinflammatories: their use as medicines and pharmaceutical composition containing them Claussner, Andrer Leclaire, Jacques Nedelec,

INVENTOR (S):

Philibert, Daniel Roussel-UCLAF, Fr. Eur. Pat. Appl., 29 pp. CODEN: EPXXDW Patent Prench 1 PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 389370	A1	19900926	EP 90-400784	19900322
EP 389370	B1	19940427		
R: CH. DE.	FR. GB	, IT, LI, NL		
FR 2644789	A1	19900928	FR 89-3742	19890322
FR 2644789	B1	19950203		
JP 02273693	A2	19901108	JP 90-68508	19900320
JP 2848907	B2	19990120		
US 5108990	A	19920428	US 90-497562	19900321
PRIORITY ARRIVE INFO.	:		FR 89-3742	19890322

PRODITY INDICANFO:

PR 89-3742

19890322

AB The title compds. (I; R1, R2 = H, Me; R11 =

(pc)ly) (hetera) hydrocarbyl; one

of R17 and R18 is Of Nor acyloxy and the other is Q; Z = alkylene,

alkenylene, alkynylene; P = (substituted) pyrimidinyl, pyridyl] were

prepd. via reacting the halo derivs. II or III (X = halo) with the

appropriate pyrimidinyl or pyridine deriv. IV. Reaction of

estradienone V

[R3 = 3-bromo-1-propynyl, R4 = OH] (prepn. given) was reacted with

2,4-bis(1-pyrrolidinyl)-6-(1-piperazinyl) pyrimidine (prepn. given) in

acetone contg. K2CO3 at ambient temp. for 2 h to give V [R3 =

3-{4-[2,6-bis(1-pyrrolidinyl)-4-pyrimidinyl}-1-piperazinyl}-1-propynyl; R4 = ON]. At 5 times. 10-4 M this inhibited in vitro the formation of malonyldialdehyde, a measure of lipid peroxidn., in rat brain homogeneate by .apprx.47.5%.

METE 3

L7 ANSWER 9 OF 13 MARPAT COPYRIGHT 1999 ACS (Continued)

= alkylamino<(1-12)> = 39-18 37-17

3G16-G10-GH2

- (1-2) 45 G10

G11-G---G12

= alkyl<(2-8)> (SO) / 53 G13

59(0)-СН2-ОН

G16 = 68

G13-G----G13

DER: MPL: and protected derivatives claim 7

G4 G14 MPL: NTE: by

- OH - NMe2 claim 13 the alkylamino and dialkylamino groups in G11 may be interrupted

oxygen, sulfur, or nitrogen

```
ANSWER 11 OF 13 MARPAT COPYRIGHT 1999 ACS
SSION NUMBER: 111:233356 MARPAT
E: New 11-aryl steroids useful as antiprogestins,
ACCESSION NUMBER:
TITLE:
their
                                            preparation, and pharmaceuticals containing them
De Jongh, Hendrik Paul; Van Vliet, Nicolass
INVENTOR(5):
Pieter
PATENT ASSIGNEE(S):
SOURCE:
                                           AKZO N. V., Neth.
Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
Patent
DOCUMENT TYPE:
                                            English
1
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
```

PATENT NO.			APPLICATION NO.	
EP 321010	A1	19890621	EP 88-202678	19881125
EP 321010	B1	19930203		
R: AT, BE,	CH. DE.	ES. FR. GB.	GR, IT, LI, NL, SE	
			AT 88-202678	
ES 2053714	Т3	19940801	ES 88-202678	19881125
ZA 8808996	A	19890830	ZA 88-8996	19881130
			AU 88-26469	
AU 613433				
US 4921845			US 88-281582	19881208
CA 1301162			CA 88-585297	
DK 8806880		19890613		
DK 168444		19940328	21. 00 0000	
			FI 88-5717	19881209
FI 89056			11 00 5/1/	13001203
FI 89056	5	19930810		
	Č	19930810		10001010
CN 1034731		19890816	CN 88-108484	19881212
CN 1019807				
JP 01211597	A2	19890824	JP 88-313643	
PRIORITY APPLN. INFO	. :		NL 87-3008	19871212
			EP 88-202678	19881125
AB Aryl steroids I	[R1 = a]	aryl substitu	ted by -NXY; X, Y =	H, C1-4

AFYI STEFFORDS I [Rl = aryl substituted by -NXY; X, Y = H, Cl-4 hydrocarbyl; or XY = C2-6 hydrocarbyl forming 3- to 7-membered ring; R2 =

H, OH, acyloxy, alkoxy, (un)satd. C1-8 hydrocarbyl with .gtoreq.1

OH, OXO, Cyano, and/or halo group; R3 = OH, acyloxy, alkoxy, or acyl

onally
substituted by OH, alkoxy, acyloxy, or halo; or R2R3 forms ring; R2
.noteq. H or OH when R3 = OH; R4 = Me, Et), which are strong
antiprogestins with little or no antiglucocorticoid activity (no

), are prepd. Thus, 7.beta.-methylestr-5-(10)-ene-3,17-dione 3,3-di-Me acetal underwent NaBH4 redn., deketalization, bromination/dehydrobromination, reketalization, and epoxidn., to give

10.alpha.-epoxy-17.beta.-hydroxy-7.beta.-methylester-9(11)-en-3-

ANSWER 12 OF 13 MARPAT COPYRIGHT 1999 ACS
CESSION NUMBER: 110:213172 MARPAT
TLE: 13(Alpha) -alkylgonanes, their production, and pharmaceutical preparations containing same
WENTOR(S): Ref. Guenter: Wiechert, Rudolf; Beier, Sybille;
Elger, Walter: Henderson, David
Schering A.-G., Fed. Rep. Ger.
U.S., 5 pp. Cont. of U.S. Ser. No. 621,308.
CUMENT TYPE: CODEN: USXXAM
Patent
MILY ACC. NUM. COUNT: 4

TENT INFORMATION: ACCESS.

INVENTOR(S): PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. CO PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	US 4780461	A	19881025	US 85-810148	19851218
	DE 3321826	A1	19841220	DE 83-3321826	19830615
:	DE 3413036	A1	19851017	DE 84-3413036	19840404
	DE 3446661	A1	19860619	DE 84-3446661	19841218
I	PRIORITY APPLN. INFO.			DE 83-3321826	19830615
				DE 84-3413036	19840404
				US 84-621308	19840615

DE 84-3446661 19841218

13.alpha.-Alkylgonanes [I; R = Cl-4 acyl; X = O, NOH; II; Rl =

AB 13.alpha.-Alkylgonanes [I; R = C1-4 acyl; X = 0, NOH; II; R1 = amino; R2 = H, He, Et; R3 = (substituted) alkyl; R4 = OH, alkoxy, alkanoyloxy; or R3R4

= Q; R5 = H, alkyl; III; 2 = CH2CH2, CH2CMe2CH2], having

pestagenic
activity and useful as postcoital contraceptives, or for triggering
abortion and menstruation (no data), are prepd. via photochem.
epimerization of the 13.beta.-gonanes IV. 11.beta.-(4Dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.-methyl-17.beta.-(3hydroxypropyl)-4,9-gonadien-3-one (V) was acetylated with Ac20 in
time

pyridine oine
to give 11.beta.-(4-dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.methyl-17.beta.-(3-acetoxypropyl)-4,9-gonadien-3-one. A tablet was
formulated contg. V 10.0, lactose 140.0, corn starch 69.5,
polyvinylpyrrolidone 25 2.5, Aerosil 2.0, and Mg stearate 0.5 mg.

ANSWER 11 OF 13 MARPAT COPYRIGHT 1999 ACS (Continued) one 3,3-ethylene acetal. This underwent CuCl-catalyzed coupling with p-(Me2N)CHM4gBr, Openauer oxide. of 17-OH, alkynylation with THP-OCH2C.tplbond.CMgBr (THP = tetrahydropyranyl), and deprotection,

give (dimethylaminophenyl) hydroxy(hydroxypropynyl) methylestradienone II.

MSTR 1

= phenylene = 24

2¥

= Ak<(1-4)>= Ak<(1-8)> (SR (1-) G7) = 35

3^C (0)—G12

= Ak (SO (1-) G10) = 42

G5 42

claim 1

L7 ANSWER 12 OF 13 MARPAT COPYRIGHT 1999 ACS 5g (0)-CH2-G11

eg/²⁸

= 33 <RC (1), RS (1) M5 (1) X6, EC (0-) O (1-) N (0-) S (0) OTHERQ, AN (1) N, ED (ALL) SE> and acid addition salts claim 18 GGA

```
L7 ANSWER 13 OF 13 MARPAT COPYRIGHT 1999 ACS
ACCESSION NUMBER: 110:95624 MARPAT
TITLE: Preparation of novel 11-arylestrane and
11-arylpregname derivatives as antiprogestins
                                                                                        or no antiglucocorticoid activity
Groen, Marinus Bernardr De Jongh, Hendrik Paul
AKZO N. V., Neth.
Bur. Pat. Appl., 11 pp.
CODEN: EPXXUW
Patent
with low
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                                          Patent
English
                                                                                                                                                            APPLICATION NO.
PATENT NO. KIND DATE APPLICATION NO.

EF 289073 A1 19881102 EP 88-200689
EF 289073 B1 19911127
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE
AT 69820 E 19911215 AT 88-200689
ES 2045092 T3 19940116 ES 88-200689
ZA 8802643 A 19881130 ZA 88-2643
FI 8801826 A 19881103 FI 88-1826
FI 88396 B 19930129
FI 88396 B 19930129
FI 88396 C 19930510
US 4871224 A 19891003 US 88-1825
DE 188396 B 19930129
TA 1757472 A1 19891003 US 88-2218
DE 18802218 A 19881025 DE 88-200689
AU 8815072 A1 19891037
AU 8815072 A1 19881027
AU 8815072 A1 19881027
AU 60831 B2 19910418
JE 2006891
JE 2006891
JE 2006891
DE 18802416 A 19881214 CE 88-102010
CE 88-200689
FRIORITY AFFLM. INFO::

EF 88-200689
                 PATENT NO.
                                                                                KIND DATE
                                                                                                                                                                                                                          19880412
                                                                                                                                                                                                                           19880412
19880412
19880414
19880419
                                                                                                                                                                                                                           19880420
19880420
19880422
                                                                                                                                                                                                                           19880422
                                                                                                                                                                                                                           19880422
19880423
                 CN 1019978 B 19930303

RITY APPIN. INFO.: NL 87-970 19870424

EP 88-200689 19880412

The title compds. [I; R1 = aminoaryl; R2 = C1-4 alkyl; R3 = H, CH, substituted (unsatd.) C1-8 hydrocarbyl; R4 = OH, acyloxy, substituted acyl; R3R4 = atoms to complete a ring; R5 = C1-4 hydrocarbyl] useful
as antiprogestins (no data) were prepd.
5.alpha., 6.alpha.-Epoxy-11.beta.-
hydroxyestrane-3,17-dione-3,17-diethylene acetal (prepn. given) was treated with MeMgCl in PhMe/THF and the product was dehydrated with PCCl3/pyridine to give
6-beta.-methylestra-5[10],9(11)-diene-3,17-dione-3,17-diethylene acetal. The latter was converted in several steps to
  11.beta.-[4-(dimethylamino)phenyl]-17.beta.-hydroxy-17.alpha.-(3-hydroxy-1
                   propynyl)-6.beta.-methylestra-4,9-diene-3-one.
```

=> d his

L9

0 S L3

(FILE 'HOME' ENTERED AT 11:01:52 ON 16 JUL 1999) FILE 'REGISTRY' ENTERED AT 11:02:25 ON 16 JUL 1999 STRUCTURE UPLOADED L1L2 0 S L1 23 S L1 FULL L3 FILE 'CAPLUS' ENTERED AT 11:03:21 ON 16 JUL 1999 1 S L3 L4FILE 'MARPAT' ENTERED AT 11:04:13 ON 16 JUL 1999 0 S L3 L5 14 S L3 FULL L6 13 S L6 NOT L4 L7 => d his (FILE 'HOME' ENTERED AT 11:01:52 ON 16 JUL 1999) FILE 'REGISTRY' ENTERED AT 11:02:25 ON 16 JUL 1999 STRUCTURE UPLOADED L1L2 0 S L1 23 S L1 FULL L3 FILE 'CAPLUS' ENTERED AT 11:03:21 ON 16 JUL 1999 1 S L3 L4FILE 'MARPAT' ENTERED AT 11:04:13 ON 16 JUL 1999 0 S L3 L5 14 S L3 FULL L6 13 S L6 NOT L4 L7 FILE 'REGISTRY' ENTERED AT 11:14:18 ON 16 JUL 1999 SAVE L3 K132/A FILE 'USPATFULL' ENTERED AT 11:14:41 ON 16 JUL 1999 L8FILE 'BEILSTEIN' ENTERED AT 11:14:58 ON 16 JUL 1999 => d 1-50

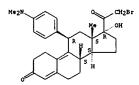
- ANSWER 1 OF 50 REGISTRY COPYRIGHT 1999 ACS
 198418-43-6 REGISTRY
 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-bromo-11-{4(dimethylamino)phenyl}-, (11.beta.)- (9CI) (CA INDEX NAME)
 STEREOSEARCH
 C30 H36 Br N O4
 CA
 STN Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE) 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

- L2 ANSWER 2 OF 50 REGISTRY COPYRIGHT 1999 ACS
 RN 198414-42-5 REGISTRY
 CN 19-Norpregna-4,9-diene-3,20-dione,
 21-bromo-11-{4-(dienethylamino)phenyl117-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)
 SS STREOSEARCH
 MF C29 H34 Br N 03
 CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



- ANSWER 3 OF 50 REGISTRY COPYRIGHT 1999 ACS
 198414-41-4 REGISTRY
 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-(dimethylamino)phenyl)-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX

- INDEX
 | NAME | NAME | | | |
 | PS | STEREOSEARCH |
 | MF | C31 | H40 | N2 | O5 |
 | SC | CA |
 | LC | STN | Files | CA | CAPLUS |

Absolute stereochemistry.
Double bond geometry unknown.

1 REFERENCES IN FILE CA (1967 TO DATE) 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

- ANSWER 4 OF 50 REGISTRY COPYRIGHT 1999 ACS 198414-40-3 REGISTRY 19-Norprepara-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, 3-oxime, (3E,11.beta.)- (9CI) (CA INDEX

- (dimethylamino)phenyl]-,
 MAME)
 FS STEREOSEARCH
 MF C32 H40 N2 O6
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as shown.

ANSVER 5 OF 50 REGISTRY COFYRIGHT 1999 ACS
198414-39-0 REGISTRY
19-Mcprepna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)
STEREOSEARCH
C32 H41 N 05
CA
STN Files: CA, CAPLUS

FS MF SR LC

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L2 ANSWER 6 OF 50 REGISTRY COPYRIGHT 1999 ACS
RN 198414-38-9 REGISTRY
CN 19-Norpregna-4,9-diena-3,20-diena,
11-{4-dienathylaminolphenyl]-21-ethoxy17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)
FS STEREOSEANCH
MF C30 H39 N 04
SC CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L2 ANSWER 7 OF 50 REGISTRY COPYRIGHT 1999 ACS
RN 198414-37-8 REGISTRY
CN 19-Norpregn-9-ene-3, 20-dione,
11-{4-(dimethylamino) phenyl]-21-ethoxy-5,17dihydroxy-, cyclic bis(1,2-ethanediyl acetal), (5.elpha.,11.beta.)(GCI)
(CA INDEX NAME)
FS STEREOSEARCH
MF C34 H49 N 07
SC CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

L2 ANSWER 8 OF 50 REGISTRY COPYRIGHT 1999 ACS
RN 196414-36-7 REGISTRY
CN 19-Norpregn-9(11)-ene-3,20-dione, 5,10-epoxy-21-ethoxy-17-hydroxy-,
cyclic bis(1,2-ethanediyl acetal), (5.alpha.,10.alpha.)- (9CI) (CA INDEX
NAME)
FS STEREOSEARCH
MF C26 H38 07
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

L2 ANSWER 9 OF 50 REGISTRY COPYRIGHT 1999 ACS

198414-35-5 REGISTRY
CN 19-Norpregna-5(10),9(11)-diene-3,20-dione, 21-ethoxy-17-hydroxy-,
cyclic
bis(1,2-ethanediyl acetal) (9CI) (CA INDEX NAME)

ST STRENOSEARCH
MF C26 H38 O6
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

ANSWER 10 OF 50 REGISTRY COPYRIGHT 1999 ACS
198414-34-5 REGISTRY
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-{4(dimethylamino)phenyl]-21-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)
STREEOSEARCH
C30 H37 N O5
CA
STN Files: CA, CAPLUS

Absolute stereochemistry,

1 REFERENCES IN FILE CA (1967 TO DATE) 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L2 ANSWER 11 OF 50 REGISTRY COPYRIGHT 1999 ACS
RN 198414-33-4 REGISTRY
CN 19-Norpregna-4,9-diene-3,20-dione,
17-(acetyloxy)-21-(3-cyclopentyl-1oxopropoxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX

INDEX
NAME)
FS STEREOSEARCH
MF C38 H49 N 06
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L2 ANSWER 12 OF 50 REGISTRY COPYRIGHT 1999 ACS
198414-32-3 REGISTRY
CN 19-Norpregna-4,9-diene-3,20-diene,
21-(3-cyclopentyl-1-roxporpopxy)-11-[4(dimethylaninolphenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)
ST STERROSEARCH
MF C36 H47 N 05
SC CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

ANSWER 13 OF 50 REGISTRY COPYRIGHT 1999 ACS 198414-31-2 REGISTRY 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-(dimethylamino)phenyl)-21-methoxy-, (11.beta.)- (9CI) (CA INDEX

(dimethylamino)phenyl]-2
NAME)
FS STEREOSEARCH
MF C31 H39 N O5
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L2 ANSWER 14 OF 50 REGISTRY COPYRIGHT 1999 ACS
RN 198414-30-1 REGISTRY
CN 19-Norpregna-4, 9-diene-3, 20-dione,
11-{4-(dimethylamino) phenyl]-17-hydroxy21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)
FS STRENGEARCH
MF C29 H37 N 04
CC STN Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE) 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L2 ANSWER 15 OF 50 REGISTRY COPYRIGHT 1999 ACS
RN 198414-29-8 REGISTRY
CN 19-Norpregn-9-ene-3,20-dione,
11-{4-(dimethylamino)phenyl]-5,17-dihydroxy21-methoxy-, cyclic bis(1,2-ethanediyl acetal), (5.alpha.,11.beta.)(9CI)

(CA INDEX NAME)
STEREOSEARCH
C33 H47 N O7
CA
STN Files: CA, CAPLUS

Absolute stereochemistry.

L2 ANSWER 16 OF 50 REGISTRY COPYRIGHT 1999 ACS
RN 198414-28-7 REGISTRY
CN 19-Norpregn-9(11)-ene-3,20-dione, 5,10-epoxy-17-hydroxy-21-methoxycyclic bis(1,2-ethanediyl acetal), (5.alpha.,10.alpha.)- (9CI) (CA
INIEX
NAME)
FS STEREOSEARCH
HF C25 H36 O7
CR CA
LC STN Files: CA, CAPLUS

L2 ANSWER 17 OF 50 REGISTRY COPYRIGHT 1999 ACS

RN 198414-27-6 REGISTRY
CN 13-Norpregna-5(10),9(11)-diene-3,20-dione, 17-hydroxy-21-methoxy-,
cyclic
bis(1,2-ethanediyl acetal) (9CI) (CA INDEX NAME)

FS STEREOSEARCH
MF C25 H36 06
SR CA
LC STN Files: CA, CAFLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE) 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

ANSWER 18 OF 50 REGISTRY COPYRIGHT 1999 ACS
198414-26-5 REGISTRY
19-Norpregna-5(10),9(11)-diene-3,20-dione, 17,21-dihydroxy-, cyclic bis(1,2-ethanediyl acetal) (9CI) (CA INDEX NAME)
STEREOSEARCH
C24 H34 O6
CA
STN Files: CA, CAPLUS

FS MF SR LC

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L2 ANSWER 19 OF 50 REGISTRY COPYRIGHT 1999 ACS
RN 198414-25-4 REGISTRY
CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-dihydroxy- (9CI) (CA INDEX
NAME)
FS STEREOSEARCH
HF C20 H26 O4
CC STN Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

ANSWER 20 OF 50 REGISTRY COPYRIGHT 1999 ACS
198414-24-3 REGISTRY
19-Norpregna-4,9-diene-3,20-dione, 21-brono-17-hydroxy- (9CI) (CA

L2 ANSWER 21 OF 50 REGISTRY COPYRIGHT 1999 ACS
RN 198414-23-2 REGISTRY
CN Estra-5(10),9(11)-diene-17-carbonitrile,
17-[([bronomethy]) dimethylsily]o
xy]-3,3-[1,2-ethanediylbis(oxy)]-, (17.alpha.)- (9CI) (CA INDEX
NAME)
FS STEREOSEARCH
HF C24 H34 Br N 03 S1
SC CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE) 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L2 ANSWER 22 OF 50 REGISTRY COPYRIGHT 1999 ACS
RN 198414-22-1 REGISTRY
CN Estra-4,9-dlen-3-one,
17-(acetyloxy)-11-(4-(dimethylamino)phenyl)-17-(1cxcpropyl)-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)
FS STERCOSEARCH
MF C31 H39 N O4
SC CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry. Rotation (+).

1 REFERENCES IN FILE CA (1967 TO DATE) 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

ANSWER 23 OF 50 REGISTRY COPYRIGHT 1999 ACS

198414-21-0 REGISTRY
EStra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-hydroxy-17-(1oxopropyl)-, (11-beta.,17.alpha.)- (9CI) (CA INDEX NAME)
STEREOSEARCH
C29 H37 N O3
CA
STN Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

ANSWER 24 OF 50 REGISTRY COPYRIGHT 1999 ACS
198414-20-9 REGISTRY
Estr-9-en-3-one, 11-[4-(dimethylamino) phenyl]-5,17-dihydroxy-17-(1cxopropyl)-, cyclic 3-(1,2-ethanediyl acetal),
(5.alpha.,11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)
STRREOSEARCH
C31 H43 N O5
CA
STN Files: CA, CAPLUS

Absolute stereochemistry.

L2 ANSWER 25 OF 50 REGISTRY COPYRIGHT 1999 ACS
RN 198414-19-6 REGISTRY
CN Estr-9-en-3-one,
11-{4-(dine-thylamino)phenyl}-5-hydroxy-17-(1-oxopropyl)17-{(trime-thylamino)phenyl}-cyclic 3-(1,2-ethanediyl acetal),
(5.alpha.,11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C34 H51 N OS S1
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L2 ANSWER 26 OF 50 REGISTRY COPYRIGHT 1999 ACS
RN 198414-18-5 REGISTRY
CN Estr-9(11)-en-3-one, '
5,10-epoxy-17-(1-oxopropy1)-17-[(trimethylsily1)oxy], cyclic 3-(1,2-ethanediy1 acetal), (5.alpha.,10.alpha.,17.alpha.)(9C1)

(9CI)
(CA INDEX NAME)
FS STERROSEARCH
MF C26 H40 O5 Si
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE) 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L2 ANSWER 27 OF 50 REGISTRY COPYRIGHT 1999 ACS
RN 198414-17-4 REGISTRY
CN Estra-5(10),9(11)-dien-3-one,
17-{1-onepropyl-1-7-(Irtimethylsilyl)oxy]-,
cyclic 3-(1,2-ethanediyl acetal), (17.alpha.)- (9CI) (CA INDEX NAME)
FS STERGOSEARCH
MF C26 H40 O4 Si
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L2 ANSWER 28 OF 50 REGISTRY COPYRIGHT 1999 ACS
RN 198414-16-3 REGISTRY
CN Estra-5(10),9(11)-dien-3-one, 17-(1-hydroxypropyl)-17[(trimethylsilyl)oxy]-, cyclic 1,2-ethanediyl acetal, (17.alpha.)(9C1)

(CA INDEX NAME)
STEREOSEARCH
C26 H42 O4 Si
CA
STN Files: CA, CAPLUS

Absolute stereochemistry.

L2 ANSWER 29 OF 50 REGISTRY COPYRIGHT 1999 ACS
RM 198414-15-2 REGISTRY
CN Estra-5(10),9(11)-diene-17-carboxaldehyde,
3,3-[1,2-chanediylbis(oxy]]-17[(trimethylsilyl)oxy]-, (17.alpha.)- (9CI) (CA INDEX NAME)
F5 STREOSEARCH
MF C24 H36 O4 Si
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L2 ANSWER 31 OF 50 REGISTRY COPYRIGHT 1999 ACS
RN 198414-11-8 REGISTRY
CN 19-Norpregna-4,9-diene-3,20-diene,
17-(acetyloxy)-21-(acetylthio)-11-[4(dimethylamino)phenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)
SS STEROSEARCH
MF C32 H39 N O5 S
R CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L2 ANSWER 30 OF 50 REGISTRY COPYRIGHT 1999 ACS
RN 198414-13-0 REGISTRY
CN 19-Norprepna-4, 9-diene-3, 20-dione,
11-{4-(dinethylamino|phenyl]-17, 21-{(1-ethoxyethylidene|bis(oxy)}-, (11.beta.)- (9CI) (CA INDEX NAME)
FS STEROSEARCH
MF C32 H41 N OS
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

Absolute stereochemistry.

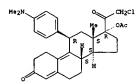
- ANSWER 33 OF 50 REGISTRY COPYRIGHT 1999 ACS
 198414-07-2 REGISTRY
 19-Norpregna-4,9-diene-3,20-diene, 17,21-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.bets.)- (9CI) (CA INDEX NAME)
 STERBOSEARCH
 C32 H39 N O6
 CA
 STN Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

- ANSWER 34 OF 50 REGISTRY COPYRIGHT 1999 ACS
 198414-05-0 REGISTRY
 19-Norpregna-4, 9-diene-3, 20-dione, 17-(acetyloxy)-21-chloro-11-[4-dimethylaminolphenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)
 STREDOSEARCH
 C30 H36 Cl N 04
 CA
 STN Files: CA, CAPLUS

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE) 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

- ANSWER 35 OF 50 REGISTRY COPYRIGHT 1999 ACS

 198414-03-8 REGISTRY

 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-fluoro-, (11.beta.)- (9CI) (CA INDEX NAME)

 STRROOSBARCH

 C30 H36 F N 04
- CA STN Files: CA, CAPLUS

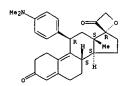
Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

- ANSWER 36 OF 50 REGISTRY COPYRIGHT 1999 ACS
 198414-01-6 REGISTRY
 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17,21-epoxy-, (11.beta.)- (9C1) (CA INDEX NAME)
 STEREOSEARCH C28 H33 N O3

- CA STN Files: CA, CAPLUS

Absolute stereochemistry.



L2 ANSWER 37 OF 50 REGISTRY COPYRIGHT 1999 ACS
RN 198414-00-5 REGISTRY
CN 19-Norpregne-4,9-diene-3,20-diene,
11-{4-dienethylaminolphenyl]-21-fluoro17-hydroxy-, (11.beta.)- (9C1) (CA INDEX NAME)
FS STERGOSTANCH
MF C28 H34 F N O3
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE) 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L2 ANSWER 38 OF 50 REGISTRY COPYRIGHT 1999 ACS
RN 196413-99-9 REGISTRY
CN 19-Norprepna-4, 9-diene-3, 20-dione,
11-[4-(dinethylamino)phenyl]-17-hydroxy21-[(methylaulfonyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)
FS STERCOSFARCH
MF C29 H37 N 06 S
CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

Absolute stereochemistry.

L2 ANSWER 41 OF 50 REGISTRY COPYRIGHT 1999 ACS
RN 19843-96-6 REGISTRY
CN 19-Norpregna-4,9-diane-3,20-dione,
21-chloro-11-[4-(dimethylamino)phenyl]17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)
FS STERDOSARCH
HF C28 H34 C1 N O3
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L2 ANSWER 42 OF 50 REGISTRY COPYRIGHT 1999 ACS
RN 198413-95-5 REGISTRY
CN Estra-4, 9-diena-17-carbonitrile,
17-{[(bronomethyl)dimethylsilyl]oxyl-11[4-(dimethylamino)phenyl]-3-oxo-, (11.beta.,17.alpha.)- (9CI) (CA
RNDEX
NAME)
FS STREBOSEARCH
MF C30 H39 Br N2 O2 Si
CA
LC STN Files: CA, CAPLUS
Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L2 ANSWER 44 OF 50 REGISTRY COPYRIGHT 1999 ACS
RN 91934-94-0 REGISTRY COPYRIGHT 1999 ACS
CN Estr-9-ene-17-carbonitrile, 11-[4-(dimethylamino)phenyl]-3,3-[1,2-ethanediylbis (oxy)]-5-hydroxy-17-[(trimethylsilyl)oxy)-,
(5.alpha.,11.beta.,17.alpha.)- (9C1) (CA INDEX NAME)
OTHER CA INDEX NAMES
CN Spiro[3H-cyclopenta[a]phenanthrene-3,2*-[1,3]dioxolane],
estr-9-ene-17-carbonitrile deriv.
FS STEREOSEANCH
MF C32 H66 N2 O4 Si
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

ANSWER 45 OF 50 REGISTRY COPYRIGHT 1999 ACS 80097-85-4 REGISTRY 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-hydroxy- (9CI)

INDEX NAME)
STEREOSEARCH
C22 H28 O5
STN Files: CA, CAPLUS, USPATFULL

2 REFERENCES IN FILE CA (1967 TO DATE) 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L2 ANSWER 46 OF 50 REGISTRY COPYRIGHT 1999 ACS
RN 54690-63-0 REGISTRY
CN Estra-5(10),9(11)-diene-17-carbonitrile,
3,3-[1,2-ethanediylbis(oxy)]-17[(trimethylsilyl)oxy]-, (17.alpha.)- (9CI) (CA INDEX NAME)
CTHER CA INDEX NAMES:
CN Spiro(3H-cyclopenta [a] phenanthrene-3,2'-[1,3] dioxolane],
estra-5(10),9(11)-diene-17-carbonitrile deriv.
FS STEREOSEARCH
MF C24 H35 N 03 Si
LC SIN Files: BEILSTEIN*, CA, CAPLUS, USPATFULL

(*File contains numerically searchable property data)

Absolute stereochemistry.

5 REFERENCES IN FILE CA (1967 TO DATE) 5 REFERENCES IN FILE CAPLUS (1967 TO DATE)

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L2 ANSWER 47 OF 50 REGISTRY COPYRIGHT 1999 ACS
RN 33403-21-3 REGISTRY
CN Estr-9(11)-ene-17-carbonitrile,
5.10-epoxy-3,3-[1,2-ethanediylbis(oxy)]-17-
[(trimethylsilyl)oxy]-, (5.alpha.,10.alpha.,17.alpha.)- (9CI) (CA
INDEX
NAME)
OTHER CA INDEX NAMES:
CN 5.alpha.,lo.alpha.-Estr-9(11)-ene-17.beta.-carbonitrile,
5.10-epoxy-3-oxo-17-(trimethylsiloxy)-, cyclic ethylene acetal (8CI)
CN
Spiro[1,3-dioxolane-2,3'(4'H)-[5,10]epoxy[6H]cyclopenta[a]phenanthrene],
estr-9(11)-ene-17-carbonitrile deriv.
FS SIEREOSEARCH
MF C24 H35 N O4 Si
LC SIN Files: BEILSTEIN', CA, CAPLUS, IFICDB, IFIPAT, IFIUDB,
USPATFULL
('File contains numerically searchable property data)
   INDEX
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Absolute stereochemistry.

19 REFERENCES IN FILE CA (1967 TO DATE)
19 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L2 ANSWER 48 OF 50 REGISTRY COPYRIGHT 1999 ACS
RN 33300-19-5 REGISTRY COPYRIGHT 1999 ACS
CN Estra-5(10),9(11)-diene-17-carbonitrile,
3,3-[1,2-ethanediylbis(oxy)]-17hydroxy-, (17.alpha,)- (9C1) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Estra-5(10),9(11)-diene-17.beta.-carbonitrile, 17-hydroxy-3-oxo-,
cyclic
ethylene aceral (9C1) cyclic
ethylene acetal (8CI)

Spiro(3H-cyclopenta[a]phenanthrene-3,2'-[1,3]dioxolane],
estra-5[10],9 (11)-diene-17-carbonitrile deriv.

STERROSEARCH
HC C21 H27 N 03

LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, 1FIUDB

Absolute stereochemistry.

```
L2 ANSWER 49 OF 50 REGISTRY COPYRIGHT 1999 ACS
RN 586-77-6 REGISTRY
CN Benzenamine, 4-bromo-N,N-dimethyl- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
(N Aniline, p-bromo-N,N-dimethyl- (5CI, 8CI)
OTHER NAMES:
(N 1-Bromo-4-(dimethylamino)benzene
CN 4-Dimethylamino)phenyl bromide
CN 4-Bromo-N,N-dimethylamine
CN 4-Bromo-N,N-dimethylamine
CN 4-Bromo-N,N-dimethylamine
CN 4-Dimethylamino)-bromobenzene
CN 4-Dimethylamino)-bromobenzene
CN N,N-Dimethyl-p-bromobaniline
CN N,N-Dimethyl-p-bromobaniline
CN N,N-Dimethyl-p-bromobaniline
CN P-Common-N-dimethylamino)benzene
CN P-Bromo (dimethylamino)benzene
CN P-Bromo (dimethylamino)benzene
CN P-Bromo-N,N-dimethylamino
CN P-Bromo-N,N-dimethylamino
CN P-Dimethylaminobromobenzene
FS 3D COMCORD
MP CR H10 Br N
CI COM
COM
COM COMPAN CHEMIST, CSCHEM, DETHERM*, GMELIN*, HODOC*, IFICOB,
IFIPAT, IFIUDB, MSDS-OHS, RTECS*, SPECINFO, TOXLIT, USPATFULL
(*VIle contains numerically searchable property data)
Other Sources: ENECS**, NDSL**, TSCA**

(**Enter CHEMLIST File for up-to-date regulatory information)
```

517 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
518 REFERENCES IN FILE CAPLUS (1967 TO DATE)
35 REFERENCES IN FILE CADLU (PRIOR TO 1967)

$$\bigcirc \text{CH}_2\text{--}\text{CH}_2\text{--}\text{C}\text{--}\text{C}1$$

=> d his

(FILE 'HOME' ENTERED AT 10:32:36 ON 29 JUL 1999)

FILE 'CAPLUS' ENTERED AT 10:32:42 ON 29 JUL 1999

E W09741145/PN

L1 1 S E3

SEL RN

FILE 'REGISTRY' ENTERED AT 10:33:08 ON 29 JUL 1999

L2 50 S E1-E50

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L5 ANSMER 1 OF 2 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1999:576939 CAPLUS
DOCUMENT NUMBER: 131:199885
TITLE: Preparation of 20-keto-11.beta.-arylsteroids and their
                                    derivatives having agonist or antagonist hormonal
                                   properties
Cook, C. Edgar: Kepler, John A.; Zhang,
INVENTOR (S):
Ping-sheng:
                                   Lee, Yue-wei; Tallent, C. Ray
Research Triangle Institute, USA
PCT Int. Appl., 95 pp.
CODEN: PIXXD2
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
                                    Patent
English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                             APPLICATION NO. DATE
       PATENT NO.
                               KIND DATE
       W9 9945022 A1 19990910 W0 1999-US3732 19990305
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
DE,
                  DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
JP,
                  KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
MN,
                  MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
TM.
                  TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,
TJ, TM
            RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE,
DK.
                  ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLM. INFO.:
US 1998-35949
OTHER SOURCE(S):
MARPAT 131:199885
       RITY APPLM. INSU.: US 1998-35949 1998U3U6 R SOURCE(S): MARPAT 131:199885 20-Keto-11.beta.-arylsteroids of formula I [X = 0, (substituted) NOH,
       OH, etc.; R1 = dialkylamino, imidazolyl, pyrrolyl, piperidino, etc.;
R2 =
       H, halo; R3 = H, Me, halo; R4 = H, acyloxy, (substituted) OH, alkyl,
       ,
R5 = H, alkyl, halo, acyloxy, etc.] are prepd. which exhibit potent
antiprogestational activity. Thus, II was prepd. from
17.alpha.-hydroxymethyl-3-methoxy-19-norpregna-1,3,5(10)-trien-20-one
       4-bromo-N,N-dimethylaniline in several steps. The affinity of II for
       progesterone hormone receptor was IC50 of 0.7 nM. 240806-28-4P
        RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
```

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LS ANSWER 1 OF 2 CAPLUS COPYRIGHT 2000 ACS (Continued)
(prepn. of 20-keto-11.beta.-arylateroids with antiprogestational
activity)
RN 240806-28-4 CAPLUS
CN 19,21-Dinorchola-4,9-dien-24-oic acid,
11-[4-(dimethylamino)phenyl]-17-
hydroxy-3,20-dioxo-, ethyl ester, (11.beta.)-, trifluoroacetate (salt)
(OCI) (CA INDEX NAME)
                CM 1
                CRN 240806-27-3
CMF C32 H41 N O5
  Absolute stereochemistry.
```

2

CRN 76-05-1 CMF C2 H F3 02

```
L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1971-740250 CAPLUS
DOCUMENT NUMBER: 127:358992
ITILE: Freparation of 21-mules
                                                     Preparation of 21-substituted progesterone
 derivatives
                                                     as new antiprogestational agents
Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.;
Cessac, James W.; Acosta, Carmie K.
United States Dept. of Health and Human Services,
INVENTOR(S):
PATENT ASSIGNEE(S):
USA;
                                                     Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.;
Cessac, James W.; Acosta, Carmie K.
PCT Int. Appl., 65 pp.
CODEN: PIXXD2
SOURCE:
 DOCUMENT TYPE:
```

English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. KIND DATE 145 A1 19971106 WO 1997-US7373 19970430 AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, C2, WO 9741145 DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, κz, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, HL, MR, NE, SN, TD, TG
CA 2253673 AA 19971106 CA 1997-2253673 19970430
AU 9729304 A1 19970110 AU 1997-22304 19970430
EP 900234 A1 19990310 EP 1997-923523 19970430
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE, FI PRIORITY APPLN. INFO.:

US 1996-16628 19960501 WO 1997-US7373 19970430

OTHER SOURCE(S): MARPAT 127:358992

AB Progesterone derivs. of formula I [R1 = OMe, SMe, NMe2, NEMe, CHO, Ac, CHOHCH3; R2 = halo, alkyl, acyl, OH, alkowy, etc.; R3 = OH, alkyl,

alkoxy,
acyloxy; R4 = H, alkyl; X = O, (substituted) NOH] are prepd. as
antiprogestational agents. The present invention provides methods

in the compds. of formula I are advantageously used, inter alia, to antagonize endogenous progesterone; to induce menses; to treat endometriosis; to treat dysmenorrhea; to treat endocrine

hormone-dependent to treat uterine fibroids; to inhibit uterine endometrial proliferation; to induce labor; and for contraception. Thus, II was

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2000 ACS (Continued) prepd. from 3,3-ethyleneddoxy-17.beta.-cyano-17.alpha-hydroxyestra-5(10),9(11)-diene and 4-bromo-N,N-dimethylaniline in 9 steps. II

ed 2.79 times the antiprogestational potency in the antiClauberg test compared to CDB-2914. 198414-07-29 198414-09-49 198414-31-29

RL: BAC (Biological activity or effector, except adverse); RCT

Absolute stereochemistry.

198414-09-4 CAPLUS 19-Norpregna-4, 9-diene-3, 20-dione, 21-(acetylthio)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-31-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

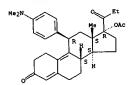
L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2000 ACS (Continued)

IT 198414-03-0P 198414-05-0P 198414-11-8P
198414-22-1P 198414-32-3P 198414-33-4P
198414-34-5P 198414-33-0P 198414-33-6P
RL: BCC (Biological activity or effector, except adverse); SPN
(Synthatic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
(prepn. of progesterone derivs. as antiprogestational agents)
RN 198414-03-8 CAPAUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4CN (dimethylamino)phenyl]-21-fluoro-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-05-0 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-chloro-11-{4-(dimethylamino)phenyl}-, (11.beta.)- (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2000 ACS (Continued)



RN 198414-32-3 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione,
21-(3-cyclopentyl-1-oxporpopxy)-11-[4(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-33-4 CAPUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(3-cyclopentyl-1-cyclopenyy)-11-[4-dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA

Absolute stereochemistry.

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2000 ACS (Continued)

RN 198414-11-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione,
17-(acetyloxy)-21-(acetylthio)-11-[4(dimethylamino)phanyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 198414-22-1 CAPLUS
CN Estra-4,9-dien-3-one,
17-(acetyloxy)-11-(4-(dimethylamino)phenyl]-17-(1oxopropyl)-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2000 ACS (Continued)

198414-34-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-39-0 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-ethoxy-, (11.beta.)- [9CI] (CA INDEX NAME)

Absolute stereochemistry.

RN 198414-43-6 CAPLUS CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-bromo-11-[4-

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2000 ACS (Continued) (dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

198413-97-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2000 ACS

RN 198414-00-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione,
11-[4-(dimethylamino)phenyl]-21-fluoro17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-21-0 CAPLUS
Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-hydroxy-17-(1-oxopropyl)-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 198414-30-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione,
11-[4-(dimethylamino)phenyl]-17-hydroxy-

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2000 ACS (Continued)

198413-98-8 CAPLUS
19-Norpregna-4, 9-diene-3, 20-dione, 11-[4-(dimethylamino)phenyl]-17, 21-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 198413-99-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-diene,
11-[4-(dienthylamino) phenyl]-17-hydroxy21-[(methylsulfonyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2000 ACS (Continued) 21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 198414-38-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione,
11-[4-(dimethylamino)phenyl]-21-ethoxy17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

RN 198414-42-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione,
21-bromo-11-{4-(dimethylamino)phenyl}17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2000 ACS (Continued)
- IT 198414-40-3P 198414-41-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of progesterone decivs. as antiprogestational agents)
 RN 198414-40-3 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, 3-oxime, (3E,11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 198414-41-4 CAPLUS
CN 19-Norpregna-4, 9-diene-3, 20-dione, 17-(acetyloxy)-11-[4-(acetyloxy)-11-[4-(bt.)]
(CA INDEX
NAME)

Absolute stereochemistry.
Double bond geometry unknown.

=> log h

COST IN U.S. DOLLARS FULL ESTIMATED COST	SINCE FILE ENTRY 8.55	TOTAL SESSION 136.55
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY -1.11	SESSION -1.11

SESSION WILL BE HELD FOR 60 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 12:20:00 ON 07 JAN 2000

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L9 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2000 ACS ACCESSION NUMBER: 1999:576939 CAPLUS DOCUMENT NUMBER: 131:199885
                                      Preparation of 20-keto-11.beta.-arylsteroids and
their
                                      derivatives having agonist or antagonist hormonal
                                      properties
Cook, C. Edgar, Kepler, John A.; Zhang,
INVENTOR(S):
Ping-sheng:
                                      Lee, Yue-wei; Tallent, C. Ray
Research Triangle Institute, USA
PCT Int. Appl., 95 pp.
CODEN: PIXXD2
Patent
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
      PATENT NO.
                  NO. KIND DATE APPLICATION NO. DATE

5022 A1 19990910 WO 1999-US3732 19990305
AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
DE,
                   DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
JP,
                   KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
MN.
                   MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
TM,
                   TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,
TJ, TM
             RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE,
DK,
                   ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLM. INFO: US 1998-35949 19980306
OTHER SOURCE(S): MARPAT 131:199885
AB 20-Keto-11.beta-arylsteroids of formula I [X = 0, (substituted) NOH, H2,
H2,
OH, etc.; R1 = dialkylamino, imidazolyl, pyrrolyl, piperidino, etc.;
R2 =
H, halo: R3 = H, Me, halo: R4 = H, acyloxy, (substituted) OH, alkyl,
       , R5 = H, alkyl, halo, acyloxy, etc.] are prepd. which exhibit potent antiprogestational activity. Thus, II was prepd. from 17.alpha.-hydroxymethyl-3-methoxy-19-norpregna-1,3,5(10)-trien-20-one
       4-bromo-N,N-dimethylaniline in several steps. The affinity of II for
       progesterone hormone receptor was IC50 of 0.7 nM. 240805-96-3P 240805-97-4P 240805-98-5P 240805-99-6P 240806-00-2P 240806-01-3P
L9 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2000 ACS
                                                                              (Continued)
Absolute stereochemistry.
       240805-99-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(benzoyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)
Absolute stereochemistry.
       240806-00-2 CAPLUS
19-Norpregna-4,9-dlene-3,20-dione, 17-(1-охоргороху)-11-[4-(1-pyrrolidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)
Absolute stereochemis
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RN 240806-01-3 CAPLUS

ANSWER 1 OF 23 CAPLUS COPYRIGHT 2000 ACS 240806-02-4P 240806-03-5P 240806-04-6P 240806-05-PP 240806-12-6P 240806-14-4P (Continued) RL: BAC (Biological activity or effector, except adverse); SPN thetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
(prepn. of 20-keto-11.beta.-arylsteroids-with antiprogestational
activity)
240805-96-3 CAPLUS
19-Norpregna-4,9-diene-3,20-dione 17-(acetyloxy)-11-(4-(1piperidinyl)phenyl]-, (11.beta-)
(9CI) (CA INDEX NAME) Absolute stereochemistry. 240805-97-4 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-(1-oxopropoxy)-, (11.beta.)- (9CI) (CA INDEX NAME) Absolute stereochemistry. Me₂N 240805-98-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-(4-(dimethylamino)phenyl]-17[(phenylacetyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME) ANSWER 1 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued) 19-Nopregna-4, 9-diane-3, 20-dione, 11-(1-methyl-lH-indol-5-yl)-17-(1-oxopropoxy)-, (11.beta.)- (SCI) (CA INDEX NAME) Absolute stereochemistry. 240806-02-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione,
(acetyloxy)-11-(2,3-dihydro-1-methyl1H-indol-5-yl)-, (11.beta.)- (9CI) (CA INDEX NAME) Absolute stereochemistry. 240806-03-5 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, acetyloxy)-11-(4-methoxyphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME) Absolute stereochemistry.

L9 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued)

240806-04-6 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-{4-(1-pyrrolidinyl)phenyl}-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 240806-05-7 CAPLUS CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(1-methyl-1H-indol-5-y1)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued)

RN 240806-44-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione,
11-(2,3-dihydro-1-methyl-1H-indol-5-yl)17-(1-oxopropoxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 33 CAPLUS COPYRIGHT 2000 ACS (Continued)

RN 240806-06-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-diene,
17-(acetyloxy)-11-(4-(dimethylamino)-3-fluorophenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

240806-12-6 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(1-охоргороху)-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1999:416361 CAPLUS
DOCUMENT NUMBER: 131:243453
TITLE: Synthesis of N-desmethyl derivatives of

17. alpha.-acetoxy-11.beta.-(4-N,N-dimethylaminophenyl)19-norpregna-4,9-diene-3,20-dione and mifepristone:

19-norpregna-4,9-disene-3,20-dione and substrates for the synthesis of radioligands Rao, Pemmaraju N., Acosta/C. Kirk, Cessac, James Bahr, Martin L., Kim, Myun K. Department of Organic Chemistry, Southwest AUTHOR(S):

CORPORATE SOURCE: Foundation for Biomedical Research, San Antonio, TX,

78245-0549,

78245-0549,

SOURCE: Steroids (1999), 64(3), 205-212
CODEN: STEEDAN, ISSN: 0039-128X

PUBLISHER: Elsevier Science Inc.

DOCUMENT TYPE: Journal
LANGUAGE: English
AB The syntheses of N-desmethyl derivs. of CDB-2914 and the
monon-N-desmethyl derivs, as substrates for the synthesis of
N-tritionethyl

N-tritiomethyl derivs. of CDB-2914 and mifepristone with high specific activity (ca. 80

IT

cerivs. or CDB-291s and mitepristone with high specific activity (ca. Ci/mmol), which serve as radioligands for RIA. 126784-99-4, CDB-2914
RL: RCT (Reactant)
(synthesis of N-desmethyl derivs. of CDB-2914 and mifepristone as substrates for synthesis of radioligands)
126784-99-4 CAPLUS
19-Norpfeapa-4, 9-diene-3, 20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

159681-66-OP, CDB 3877 244206-53-99
RL: RCT (Reactant): SPM (Synthetic preparation): PREP (Preparation)
(synthesis of N-desmethyl derivs. of CDB-2914 and mifepristone as substrates for synthesis of radioligands)

ANSWER 2 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued) 159681-66-0 CAPLUS 19-Norprepan=4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

244206-53-9 CAPLUS

CN Acetamide, N-[4-[(11.beta.)-17-(acetyloxy)-3,20-dioxo-19-norpregna-4,9-dien-11-yl]phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)

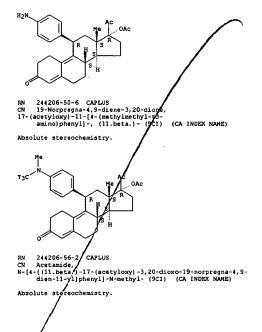
Absolute stereochemistry.

244206-49-3P 244206-50-6P 244206-56-2P
RL: SPN (Synthetic preparation), PREP (Preparation)
(synthetic of N-desmethyl deriva, of CDB-2914 and mifepristone as substrates for synthesis of radioligands)
244206-49-3 CAPUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-aminophenyl)-,
(11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued)

L9 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued)



L9 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2000 ACS ACCESSION NUMBER: 1999:154103 CAPLUS DOCUMENT NUMBER: 130:291788

The novel progesterone receptor antagonists RTI 3021-012 and RTI 3021-022 exhibit complex glucocorticoid receptor antagonist activities: implications for the development of dissociated TITLE:

AUTHOR(S): Webster, J. Wagner, B. L.; Pollio, G.; Giangrande, P.;

C.; Breslin, M.; Mais, D. E.; Cook, C. E.;

Vedeckis,

CORPORATE SOURCE:

W. V., Cidlowski, J. A., McDonnell, D. P.
Department of Pharmacology and Cancer Biology,
University Medical Center, Durham, NC, 27710, USA
Endocrinology (1999), 140(3), 1449-1458
CODEN: ENDOAD: ISSN: 0013-7227
Endocrine Society
Journal

SOURCE:

PUBLISHER:

ISHER: Endocrine Society
HERT TYPE: Journal
JAGE: English
The authors have identified two novel compds. (RTI 3021-012 and RTI
3021-022) that demonstrate similar affinities for human progesterone
receptor (PR) and display equiv. antiprogestenic activity. As with

antiprogestins, such as RU486, RTI 3021-012, and RTI 3021-022 also

bind to the glucocorticoid receptor (GR) with high affinity. Unexpectedly,

when compared with RU486, the RTI antagonists manifest significantly less

antagonist activity. This finding indicates that, with respect to antiglucocorticoid function, receptor binding affinity is not a good predictor of biol. activity. The authors have detd. that the lack of

clear correlation between the GR binding affinity of the RTI compds. their antagonist activity reflects the unique manner in which they modulate GR signaling. Previously, the authors proposed a two step "active inhibition" model to explain steroid receptor antagonism: (1) competitive inhibition of agonist binding; and (2) competition of the antagonist bound receptor with that activated by agonists for DNA onse

onse elements within target gene promoters. Accordingly, the authors obsd. that RU486, RTI 3021-012, and RTI 3021-022, when assayed for PR

activity, accomplished both of these steps. Thus, all three compds.

"active antagonists" of PR function. When assayed on GR, however,

alone functioned as an active antagonist. RTI 3021-012 and RTI 3021-022,

SUZ1-UZZ,
functioned solely as "competitive antagonists" since they were capable of

high affinity GR binding, but the resulting ligand receptor complex

unable to bind DNA. These results have important pharmaceutical

L9 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued) implications supporting the use of mechanism based approaches to identify nuclear receptor modulators. Of equal importance, RTI 3021-012 and RTI 3021-022 are two new antiprogestins that may have clin. utility and are likely to be useful as research reagents with which to sep. the effects of antiprogestins and antiglucocorticoids in physiol. systems. IT 18678-99-4, RTI 3021-012 Rb: BAC (Biological study) (progesterone receptor antagonists RTI 3021-012 and RTI 3021-022 exhibit complex glucocorticoid receptor antagonist activities)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4, 9-diene-3, 20-diene, 17-(acetyloxy)-11-(4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued)
RL: BAC (Biological activity or effector, except adverse); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)
(antiovulatory and postcoital antifertility activity of
antiprogestin
CDB-2914 compared to mifepristone as single, multiple, or
continuous
doses to rats)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2000 ACS ACCESSION NUMBER: 1998:646581 CAPLUS DOCUMENT NUMBER: 130:20723 DOCUMENT NUMBER: TITLE: Antiovulatory and postcoital antifertility activity of the antiprogestin CDB-2914 when administered as single, multiple, or continuous doses to rats Reel, Jerry R.; Hild-Petito, Sheri; Blye, Richard AUTHOR (S): CORPORATE SOURCE: BIOQUAL, Inc., Rockville, MD, 220852-3336, USA
SOURCE: Contraception (1998), 58(2), 129-136
CODEN: CCPTAY; ISSN: 0010-3824
FUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The present studies in rats were undertaken to investigate the
potential
contraceptive for women. When given pitally at noon on the day of
proestrus, both CDB-2914 and mifepristone displayed dose-dependent
antiovulatory activity; however, CDB-2914 was about eight times more
potent than mifepristone. Both addirected were considerably less
potent in blocking ovulation when injected s.c. To evaluate
antifertility antifertility activity during continuous low dose administration, rats were dosed orally with 0.5 mg of either CDB-2914 or mifepristone daily, commencing on day of estrus and continuing for 24 days. Females were cohabited with proven fertile males on day 8 of treatment and were removed 1-3 days later after confirmed mating. The pregnancy rate was significantly reduced only in the CDB-2914-treated females; however, the mean no. of normal implantation sites per pregnant rat was significantly reduced by mifepristone as compared with the vehicle control group. CDB-2914 was also found to prevent pregnancy when administered orally after mating from days 0-3 during tubal egg transport, or from days 4-6 during the preperi-implantation periods. To det. the day of maximal sensitivity to CDB-2914, 3 single 2-mg dose per rat was given orally on days 0, 1, 2, 3, 4, or 5 postmating. This dose of CDB-2914 was without effect on at days 0, 1, 2, or 3 postmating. In contrast, 2 mg CDB-2914 per rat highly effective in blocking pregnancy when given on either day 4 or 5 postfating. Collectively, these data demonstrate that CDB-2914 is an orally active postcoital antifertility agent that is more potent than mifepristone in the rat. Hence, CDB-2914 may prove to be an effective emergency postcoital contraceptive in women. 128784-99-4, CDB-2916 ΙT ANSWER 5 OF 23 CAPLUS COPYRIGHT 2000 ACS SSION NUMBER: 1998:424125 CAPLUS MENT NUMBER: 129:50105 ACCESSION NUMBER: DOCUMENT NUMBER: TITLE: Uses of anti-qlucocorticoid compounds for the treatment of psychoses or addictive behaviors Oberlander, Clauder Piazza, Pier Vincenzo Hoechst Marion Roussel, Fr.; Oberlander, Clauder Piazza, Pier Vincenzo INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 41 pp. CODEN: PIXXD2 Patent DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE W: 9826783 A1 19980625 WO 1997-FR2320 19971217
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL. RO, SG. SI. SK. SL. TR. TT. UA. US. UZ. VN. YU. AM. AZ. RY. KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
FR 2757400 A1 19980626 FR 1996-15649
FR 2757400 B1 19991217
AU 9855632 A1 19980715 AU 1998-55632
EP 892641 A1 19990127 FP 1997-952078 2757400 B1 19991217 9855632 A1 19980715 AU 1998-55632 19971217 892641 A1 19990127 EP 1997-952078 19971217 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, HC, PT. IE, FI PRIORITY APPLN. INFO.: FR 1996-15649 19961219 WO 1997-FR2320 19971217

OTHER SOURCE(S): MARPAT 729:50105

AB 01ucocotticOid antagofilists, except mifepristone, are used as dopamine type
II receptor antagonists to treat psychotic or addictive behavior.

Thus,

17.beta.-hydroxy-10.beta.-[(4-methylphenyl)methyl]-17.alpha.-(1-propynyl)estra-4,9(11)-dien-3-one considerably reduced the response to morphine in vivo.

II 126784-99-4

AB: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (use of anti-plucocotticoid compds. as dopamine type II receptor blocking agents for the treatment of psychoses or addictive behaviors)

RN 126784-99-4 CAPLUS

CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

L9 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued)

ANSWER 6 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued) (methylthio)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 202062-94-0 CAPLUS
CN 18,19-Dinopregna-4,9-diene-3,20-dione,
17-(acetyloxy)-11-(4-acetylpheny1)13-ethyl-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L9 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2000 ACS ACCESSION NUMBER: 1998:13308 CAPLUS DOCUMENT NUMBER: 128:128177 DOCUMENT NUMBER: TITLE: 11.beta.-substituted 13.beta.-ethvl gonane derivatives exhibit reversal of antiprogestational activity Rao, Pemmaraju N.; Cessac, James W., Blye, AUTHOR (S): Kim, Hyun K.
Department of Organic Chemistry Southwest CORPORATE SOURCE: Foundation for Biomedical Research, SansAntonio, TX, SOURCE: Steroids (1998), 63(1), 50-57

CODEN: STEDAM; ISSN: DOMS-128X

PUBLISHER: Elsevier Science Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The syntheses of three 17.alpha-acetoxy-13.beta.-ethyl-11.beta.-aryl
18,19-dinorpregna-4,9-diene-3,20 diones from levonorgestrel are

described.

Despite their close structural similarity to the antiprogesterone

CDB-2914, one of the compds. are/totally inactive.

IT 20202-92-89 202062-93-99 202062-94-09

RL: BAC (Siological activity or effector, except adverse); SPN

(Synthetic

preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of acetoxyethylaryldinorpregnadienediones with reversal of
antiprogestational activity)

PN 20206-92-8 CAPUS

CN 18,19-Dinorpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4(dimethylamino) phefyl]-13-ethyl-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotstion (+). 78245-0549. 202062-93-9 CAPLUS 18,19-Dinorpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-13-ethyl-11-[4-

L9 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER:
1997:745947 CAPLUS
128:19047
1ITLE: 128:19047
1Improvement of implantation rates after in vitro fertilization by administering a nitric oxide substrate and/or donor
INVENTOR(S): Chwalsz, Krzysztof; Garfield, Robert E. Schering Aktiengseslischaft, Germany PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: LANGUAGE: Patient English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9741866 Al 19971113 WO 1997-EP2371 19970507
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, C2, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS,

LT, LU, LV, MD, MG, MK, MN, MW, MK, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG. KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, S2, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB. GR, 1E, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN. ML, MR, NE, SN, TD, TG
AU 9728947 A1 19971126 AU 1997-28947 19970507
EP 906105 A1 19990407 EP 1997-923032 19970507
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, HC, CN 1218402 A 19990602 CN 1997-194452 19970507
NO 9805204 A 19990106 NO 1998-5204 19981106
PRIORITY APPIN. INFO.: US 1996-646518 19960506
AB A method is provided for the improvement of implantation rates and pregnancy rates in a female mammal, comprising administering to a female

Mammal in whom pregnancy is desired an effective amt. of: (a) a nitric oxide synthase substrate, a nitric oxide donor, or both, optionally in combination with, (b) a progestin, and, (c) optionally, in further combination with an estrogen. A method is also provided for fertility control for a female mammal, comprising administering to a female

in whom pregnancy is not desired and at risk of becoming pregnant an effective amt. of nitric oxide synthase inhibitor in combination with

antiprogestin. Pharmaceutical compns. are also provided. 126784-99-4, CDB2914 RE: BAC (Biological activity or effactor, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

ANSWER 7 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued)
(fertility control using a nitric oxide synthase inhibitor in
combination with an antiprogestin)
126794-99-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued) endometricoris; to treat dysmenorrhea; to treat endocrine hormone-dependent

one-dependent tumors; to treat uterine fibroids; to inhibit uterine endometrial proliferation; to induce labor; and for contraception. Thus, II,was prepd. from 3,3-ethylenedioxy-17,beta.-cyano-17.alpha.-hydroxyestra-5(10),9(11)-diene and 4-bromo-N,N-dimethylaniline in 9 steps. Jil

showed
2.79 times the antiprogestational potency in the antiClauberg test
compared to CDB-2914.

IT 198414-07-2P 198414-31-2P
RL: BAC (Biological activity or effector, except adverse); RCT
(Reactant);

ctant)
SPN (Synthetic preparation), THU (Therapeutic use), BIO (Biological study), PREP (Preparation), USES (Uses)
(prepn. of progesterone derivs. as antiprogestational agents)
198414-07-2 CAPUS
198414-07-2 CAPUS
19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

198414-31-2 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, (dimethylamino) phenyl]-21-methoxy-, 17-(acetyloxy)-11-(4--, (11.beta.)- (9CI) (CA INDEX NAME)

198414-03-8P 198414-05-0P 198414-11-8P 198414-22-1P 198414-33-4P 198414-34-5P 198414-39-0P 198414-43-6P

L9 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1997:740250 CAPLUS
DOCUMENT NUMBER: 127:358992
TITLE: Preparation of 21-subst
derivatives Preparation of 21-substituted progesterone as new antiprogestational agents Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K. United States Dept. of Health and Human Services, INVENTOR (5): PATENT ASSIGNEE(S): Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K. PCT Int. Appl., 65 pp.
CODEN: PIXXD2
Patent SOURCE: DOCUMENT TYPE: Patent LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English 1 PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9741145 A1 19971106 WO 1997-US7373 19970430

W: AL, AM, AT, AU, AZ, BA, BB, EG, BR, BY, CA, CH, CN, CU, CZ,

DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ. LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, υz. VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RM: GH, KE, LS, AW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR,

COURT OF THE COURT

PRIORITY APPLM. INFO::

US 1996-16628 19960501
WO 1997-US7373 19970430

OTHER SOURCE(S):

HARPAT 127:358992

AB Progesterone derivs. of formula I [Rl = OHe, SHe, NHe2, NIPMe, CHO, Ac, CHOHHH); R2 = halo, alkyl, acyl, OH, alkoxy, etc.; R3 = OH, alkyl, acyl, OH, alkoxy, acyloxy, R4 = H, alkyl; X = O, (substituted) NOH] are preped. as antiprogestational agents. The present invention provides methods wherein

the compds. of formula I are advantageously used, inter alia, to antagonize endogenous progesterone; to induce menses; to treat

L9 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued) RL: BAC (Biological activity or effector, except adverse), SPN (Synthetic

thetic
preparation); THU (Therapautic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
(prepn. of progesterone derivs. as antiprogestational agents)
198414-03-8 CAPLUS
198414-03-8 CAPLUS
19-Norpregan-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4(dimethylamino)phenyl]-21-fluoro-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-05-0 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-chloro-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (SCI) (CA INDEX NAME)

198414-11-8 CAPLUS
19-Norpregna-4,9-diene-3,20-dione,
(acetyloxy)-21-(acetylthio)-11-{4(dimethylamino)phenyl}-, (11.beta.)- (9CI) (CA INDEX NAME)

L9 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued)

RN 198414-22-1 CAPLUS CN Estra-4,9-dien-3-one, 17-(acetyloxy)-11-[4-(disethylamino)phenyl]-17-(1-oxopropyl)-, (11.beta.,17.alpha.)- (9Cl) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

198414-33-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(3-cyclopentyl-1-cxcpropoxy)-11-[4-(dimethylamino)phenyl]-, {11.beta.}- {9CI} (CA

Absolute stereochemistry.

L9 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued)

198414-43-6 CAPLUS 19-Norpregna-4,9-diene-3,20-diene, 17-(acery (dimethylamino)phenyl]-, (11.beta.)- (9CI) /loxy)-21-bromo-11-{4-(CA INDEX NAME)

198414-40-3P 198414-41-4P
RL: SPN (Synthetic preparation), PREP (Preparation)
(prepn. of progesterone derivs. as antiprogestational agents)
198414-40-3 CAPLUS
198414-40-3 CAPLUS
19-Norpregna-4, 9-diene 3, 20-dione, 17, 21-bis(acetyloxy)-11-[4(dimethylamino)phenyl-, 3-oxime, (3E,11.beta.)- (9CI) (CA INDEX

Absolute stereochemistry.
Double bond geometry as s

L9 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued)

198414-34-5 CAPLUS 19-Norpregna-4,9-diene-3,20;dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-Nydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198.14-39-0 CAPLUS
19.Norpregna-4,9-diene-3,20-dione, 17-(scetyloxy)-11-[4-gdimethylamino)phenyl]-21-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME) fute stereochemistry.

L9 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued)

198414-41-4 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-(dimethylamino)phenyl]-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

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L9 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2000 ACS ACCESSION NUMBER: 1996:705614 CAPLUS DOCUMENT NUMBER: 125:329114
TITLE: improved preparation of 17.alpha.-acetoxy-11.beta.-(4-
N.N-dimethylaminophenyl) -19-norpregna-4,9-diene-3,20-
dione and its intermediates
INVENTOR(S): Kin, Hyun K., Rao, Pemmaraju Narasinha, Burdett,
INVENTOR(S):
James
                                                 E., Jr., Acosta, Carmie Kirk
United States Dept. of Health and Human Services,
PATENT ASSIGNEE(S):
USA
SOURCE:
                                                PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE:
                                                 Patent
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                                                                                    APPLICATION NO. DATE
          PATENT NO.
                                           KIND DATE
                  9630390 A2 19961003 WO 1996-US3660 19960318
9630390 A3 19970109
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DX,
          WO 9630390
WO 9630390
EĒ.
                         ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS,
LT.
                         LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD,
SE.
                  SG, SI
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,
GR.
         IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML US 592262 A 19990727 US 1995-413755 19950330 CA 2216737 AA 19961003 CA 1996-2216737 19960318 AU 9653145 A1 19961016 AU 1996-23145 19960318 EP 817793 A2 19980114 EP 1996-903749 19960318 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,
PT.
         RITT APLN. INFO::

US 1995-413755 19950330
WO 1996-US3660 19960318
R SOURCE(S):

CASREACT 125:329114, MARPAT 125:329114
Improved method for prepn. of 19-norprogesterone (I) and its intermediates, in cryst. and amorphous forms is given. I is prepd. in seven steps by silylation of 3-ethylenedioxy-17.beta.-cyano-17.alpha.-hydroxyestra-5(10),9(11)-diene followed by oxidn., ketalization, idn.,
                         IE. FI
PRIORITY APPLN. INFO .:
 OTHER SOURCE(S):
         idn.,
arylation, deprotection and acetylation.
126784-99-4P
          RL: SPN (Synthetic preparation); PREP (Preparation) (improved prepn. of 17.alpha.-acetoxy-11.beta.-(4-N,N-
```

L9 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1996:540408 CAPLUS
DOCUMENT NUMBER: 125:238850
TITLE: Effects of two antiprogestins on early pregnancy the long-tailed macaque (Macaca fascicularis) Tarantal, Alice F.; Hendrickx, Andrew G.; Matlin, Stephen A.; Lasley, Bill L.; Gu, Quin-Quin; AUTHOR(S): Thomas, Charles A.A.; Vince, Pamela M.; Van Look, Paul California Regional Primate Research Center, University of California, Davis, CA, 95616, USA Contraception (1996), 54(2), 107-115 CODEN: CCPTAY, ISSN: 0010-7824 Journal F.A. CORPORATE SOURCE: SOURCE: DOCUMENT TYPE: UNGE: English
The abortifacient effects of mifepristone and HRP 2000 were compared gravid long-tailed macaques. Thirty-six animals were studied with treatment administered either by the oral (0.5 or 5.0 mg/kg, N = 5 per antiprogestin per dose) or i.m. (IM) routes (0.5 mg/kg, N = 5 per antiprogestin) on gestational days (GD) 23-26; six vehicle controls included. Blood samples were collected for assay of progesterone (P4) and and each of the antiprogestins (pre-treatment, daily GD 23-28, every other day (G) 30-40), and animals were monitored sonog, throughout gestation. Results of these studies indicated high rates of abortion with IM administration (3/5 mifepristone, 4/5 HRP 2000) and 5.0 mg/kg oral (4/5, 2/5, resp.), with less effects noted at oral doses of 0.5~mg/kg (2/5, 0/5, resp.). No early abortions were obsd. in the control groups.
Following daily IM treatment, peak levels of 8-16 ng/mL mifepristone were detected whereas 6-10 ng/mL of HRP 2000 were noted (GD 26-27). No serum levels of mifepristone were detected following either of the oral doses whereas serum levels of 2-6 ng/mL HRP 2000 were noted with high dose oral administration. Results of these studies suggest: (1) both andinastration. Assures of these studies sayyout (1) some antiprogestins are roughly comparable in terminating early pregnancy although HRP 2000 may be more efficacious when administered IM whereas mifepristone may be more effective when administered orally: (2) similar levels of biol. activity are seen with the IM and high dose oral dosing regimens, with little or no activity with the oral low dose; and (3) infants resulting from surviving pregnancies were not affected by early gestation exposure.

17 126784-99-4 RL: BPR (Biological process); THU (Therapeutic use); BIOL (Biological

L9 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued) dimethylaminophenyl)-19-norpregna-4,9-diene-3,20-dione and its intermediates)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued) study); PROC (Process); USES (Uses) (abortifacient effects of antiprogestims in early pregnancy in long-tailed macaque in relation to dose and administration route) RN 126784-99-4 CAPLUS (Not 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

```
L9 ANSWER 11 OF 23
ACCESSION NUMBER:
DOCUMENT NUMBER:
1996:498851 CAPLUS
125:238820
16.alpha.-Substituted analogs of the antiprogestin RU466 induce a unique conformation in the human progesterone receptor resulting in mixed agonist activity

AUTHOR(S):
Wagner, Brandee L., Pollio, Glusepper, Leonhardt, Susan; Wani, Mansukh C., Lee, David Y.-W., Imhof, Markus O., Edwards, Dean P., Cook, C. Edgar;
HCDonnell, Donald P.
Department Pharmacology Molecular Cancer Biology, Duke
  CORPORATE SOURCE:
Duke
                                                                   University Medical Center, Durham, NC, 27710, USA
Proc. Natl. Acad. Sci. U. S. A. (1996), 93(16),
8739-8744
CODEN: PNASA6; ISSN: 0027-8424
Journal
  SOURCE:
OODEN: PNASA6, ISSN: 0027-8424

DOCUMENT TYPE: Journal
LANGUAGE: English
AB Previously, the authors have shown that agonists and antagonists interact
with distinct, though overlapping regions within the human projecterone
receptor (hPR) resulting in the formation of structurally different complexes. Thus, a link was established between the structure of a ligand-receptor complex and biol. activity. In this study, the authors
              have utilized a series of in vitro assays with which to study hPR pharmacol. and have identified a third class of hPR ligands that
              ce a receptor conformation which is distinct from that induced by agonists
               antagonists. Importantly, when assayed on PR-responsive target genes
these compds. were shown to exhibit partial agonist activity, an
  activity
that was influenced by cell context. Thus, as has been shown
 previously
for estrogen receptor, the overall structure of the ligand-receptor
complex is influenced by the nature of the ligand. It appears,
             efore,
that the obsd. differences in the activity of some PR and estrogen
receptor ligands reflect the ability of the cellular transcription
machinery to discriminate between the structurally different complexes
that result following ligand interaction. These data support the
increasingly favored hypothesis that different ligands can interact
 with
             different regions within the hormone binding domains of steroid
 hormone
             one
receptors resulting in different biologies.
126784-99-4, RTI 3021-012
RL: BAC (Biological activity or effector, except adverse); BPR
  (Biological
              process); PRP (Properties); BIOL (Biological study); PROC (Process)
```

ANSWER 11 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued)
(16.alpha.-substituted analogs of the antiprojectin RU486 induce a
unique conformation in the human progesterone receptor resulting in mixed agonist activity) 126784-99-4 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2000 ACS Absolute stereochemistry. (Continued)

L9 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1995:985962 CAPLUS
124:22540
ITITLE: Compounds for treating or preventing symptoms of spontaneous or nacrotic-induced withdrawal.
PATENT ASSIGNEE(S): Petit, Francis; Philibert, Dániel; Ulmann, Andre Roussel-UCLAF, Fr.
SOURCE: EUR. Pat. Appl., 30 pp.
CODEN: EPXXOW
PATENT INFORMATION: 1
French
FAMILY ACC. NUM. COUNT: 1
FORMATINE: PATENT INFORMATION: 1 LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:) PATENT NO. KIND DATE

19951011 EP 1995-400764 19950406

7, DK, ES, FR, GB, GR, IE, IT, LI, LI, NL, 19951013 FR 1994-4156 19940408
19960503 2A 1995-2058
19951009 CA 100F EF 676203 A1 199510011
FR 2718354 B1 199510031
FR 2718354 B1 199600313
CA 2146600 AA 19951009
FI 9501683 A 19951009
AU 9516326 A1 19951009
AU 9516326 A1 19951009
AU 71468 A2 19951024
HU 71468 A2 19951128
CN 1116929 A 19960221
PRIORITY APPIM. INFO:: , LU, NL, PT, SE 19940408 ZA 1995-2058 CA 1995-2146600 FI 1995-1683 AU 1995-16326 JP 1995-107071 HU 1995-1019 CN 1995-104015 FR 1994-4156 19950313 19950407 19950407 19950407 A 1950 A1 19951019 A2 19951024 A2 19951128 A 19960221 19950407 19950407 PRIORITY APPLN. INFO .: MARPAT 124:22540 OTHER SOURCE(S):

HERR SOURCE(S): MARPAT 124:22540 Antiglucocorticoid steroids such as mifepristone, onapristone, lilopristone and related steroids are proposed for the prevention or treatment of withdrawal syndromes, either spontaneous or pptd. by narcotics or mixts. of narcotics. These antiglucocorticoids would be useful in the withdrawal from morphinomimetics such as heroin, morphine or

methadone as well as cocaine. Pharmacol. activity was demonstrated by the

effect of the antiglucocorticoids on the stereotypic behavior of mice

response to narcotics. Spontaneous withdrawal syndrome was induced by administration of the opioid antagonist, naloxone. An $\,$

antiprogesterone
activity of the steroids in their action mechanism was eliminated.
Results confirmed the involvement of endogenous glucocorticoids in
morphine withdrawal since this is inhibited by antiglucocorticoids or

RI: THU (Therapeutic use): BIOL (Biological study): USES (Uses)
(RU 486 related: antiglucocorticodd steroids for treatment or
prevention of spontaneous opioid or narcotic-induced drug
withdrawal

syndrome.)
126784-99-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

L9 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1995:499191 CAPLUS
DOCUMENT NUMBER: 122:256542
TITLE: The anti-progestin CDB

122:250582
The anti-progestin CDB 2914 has no antifertility effect in male rats
Wang, Christine; Sinha-Hikim, Amiya; Leung, Andrew Department of Medicine, Cedars-Sinai Medical

Los Angeles, CA, USA Contraception (1995), 51(3), 215-18 CODEN: CCPTAY; ISSN: 0010-7824 Journal

DOCUMENT TYPE: Journal LANGUAGE: English
AB This study examines the effect of an anti-progestin (CDB 2914) with anti-progestational potencies similar to RU 486 on spermatogenesis,

m maturation, and fertility in male rats. Adult male rats of proven fertility were administered the anti-progestin (10 mg/kg/day) or

vehicle (control group) for 14, 35, and 70 days to study the possible effect

of
this compd. on epididymal sperm maturation, post-meiotic sperm
development, spermatogenesis, and fertility, resp. Fertility rates
of the
rats were detd. by mating studies. The anti-progestin, CDB 2914, had

effect on testis or accessory organ wts., epididymal sperm content or motility, testicular sperm count, spermatogenesis, and fertility of

rats. This study suggests that anti-progestins, when administered

even at higher doses than those used in humans, have no contraceptive effect

adult male rate.

126784-99-4, CDB 2914

RL: RAC (Biological activity or effector, except adverse), BIOL (Biological study)

(anti-progestin CDB 2914 has no antifertility effect in male rats)

126784-99-4 CAPLUS

19-Norpregna-4, 9-diene-3, 20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1995:86211 CAPLUS
DOCUMENT NUMBER: 122:31745
CX:dative demethylation of 4-substituted
N,N-dimethylanilines with iodine and calcium

oxide in

the presence of methanol Acosta, Kirk; Cessac, James W.; Rao, P. Narasimha; Kim, Kyun K. Dep. Org. Chem., Southwest Foundation Biomed. AUTHOR(S):

Res.,

San Antonio, TX, 78228-0147, USA

SOURCE: J. Chem. Soc., Chem. Commun. (1994), (17), 1985-6

CODEN: JOCCATY ISSN: 0022-4936

DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 122:31745

AB Reaction of p-substituted N,N-dimethylarylamines with iodine-calcium

e in tetrahydrofuran-methanol affords N-methylarylamines in good yield. 126784-99-4

126786-99-4
RL: RCT (Reactant)
(oxtidative demethylation of 4-substituted N,N-dimethylanilines with iodine and calcium oxide in methanol)
126784-99-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione,17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

139681-66-OP
RL: SPN (Synthetic preparation), PREP (Preparation)
(oxidative demethylation of 4-substituted N,N-dimethylanilines with iodine and calcium oxide in methanol)
159681-66-O CAPUS
159Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued)

ANSWER 14 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued)

(Continued)

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L9 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1994:290311 CAPLUS
DOCUMENT NUMBER: 120:290311
A comparison of the pregnancy-terminating
potencies of
                                                               three anti-progestins in guinea pigs, and the
            of sulprostone
OR(S): Poyser, N. L., Forcelledo, M. L.
ORATE SOURCE: Med. Sch., Univ. Edinburgh, Edinburgh, EH8 9JZ, UK
CE: Prostaglandins, Leukotrienes Essent. Fatty Acids
(1994), 50(5), 245-7
CODEN: PLEAREU, ISSN: 0952-3278

HENT TYPE: Journal
UAGE: English
The anti-progestins mifepristone, lilopristone (ZK 98734) and HRP 2000
were equipotent at terminating the pregnancy of guinea-pigs during
mid-gestation, although mifepristone was more effective at low doses.
Sulprostone administration on the day following anti-progestin
  AUTHOR(S):
CORPORATE SOURCE:
SOURCE:
 DOCUMENT TYPE:
LANGUAGE:
              tended to increase the effectiveness of mifepristone and HRP 2000,
 tended to increase the state of the antiprogestin treatment and the day of abortion. It is concluded that, of the three afferent anti-progestins used, none is more potent than the other two
 terminating pregnancy in the animal model used. The co-administration of a PGE2 analog tends to increase the effectiveness of the
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L9 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1993:73787 CAPLUS
DOCUMENT NUMBER: 118:73787
TITLE: Reversal of activity profile in analogs of the antiprogestin RU 486: effect of a 16. alpha. -substituent on progestational (agonist) activity
AUTHOR(S): Cook, C. Edgar, Wani, Mansukh C., Lee, Yue Wei;
 AUTHOR(S):
Fail,
                                                                                                                                  Patricia A.; Petrow, Vladimir
Research Triangle Inst., Research Triangle Park,
  CORPORATE SOURCE:
 NC,

27709-2194, USA

SOURCE: Life Sci. (1993), 52(2), 155-62

CODEN: LIFSAK, ISSN: 0024-3205

DOCUMENT TYPE: Journal
LANGUAGE: Replish
AB RU 486 enalogs (I, R = H, OAc; RI = H, Et; R2 = H, Me) were tested for binding to progestagen receptors and for progestational and antiprogestational activity. The IT, beta.-sectory analogs showed antiprogestational activity, whereas the 16.alpha.-Et analogs were progestogenic. The analog I (R = RI = R2 = H) exhibited mixed activity.

Examan. of structure-activity relationships in combination with computer
Examm. of structure-activity relationships in combination with computer
computer
aided mol. modeling suggests that a binding interaction of the 16.alpha.-Et group with the progesteron receptor (PR) or the PR-progestin response element complex may play the major role in this reversal of activity profile.

IT 12650-264-126704-99-4
Ri: BAC (Biological activity or effector, except adverse); BIOL (Biological study) (antiprogestogenic activity of, mol. structure in relation to)
RN 126690-26-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-6-methyl-, (6.alpha.,11.beta.)- (9CI) (CA INDEX
 INDEX
                          NAME)
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Absolute stereochemistry.

ANSWER 16 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued) 126784-99-4 CAPLUS 19-Nocpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

L9 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2000 ACS

Me 2N

L9 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2000 ACS ACCESSION NUMBER: 1990:198892 CAPLUS DOCUMENT NUMBER: 112:198892 TITLE: Preparation of 11.beta. 112:198892
Preparation of 11.beta.-aryl-19-norsteroids as antiplucocrticoids, progestogens, and antiprogestogens
Cook, C. Edgar; Wani, Mansukh C.; Lee, Yue Wei; INVENTOR (S): Reel. Jerry R.; Rector, Douglas Research Triangle Institute, USA PCT Int. Appl., 50 pp. CODEN: PIXXD2 Patent PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE W0 8912448 A1 19891228 W0 1989-US2706

W1: AN, DR, JR, KR, NO
RW: AT, BE, CH, DE, FR, GE, IT, LU, NL, SE

US 4954490 A1 19970211 CA 1989-60368 6
AU 8938406 A1 19970211 CA 1989-60368 6
AU 8938406 A1 19970112 A2 1989-88506
AU 635211 B2 19930318
EP 422100 B1 19970312
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE

JP 03505542 T2 19911205
JP_2953729 B2 19990927
AT 149839 B 19970315 AT 1989-907924
W1 149839 B 19970315 AT 1989-907924
W1 149839 B 19970315 AT 1989-507392
W2 S073548 A 19911217 US 1990-504129
W3 5073548 A 19911217 US 1990-504129
W3 1978264 B 19951113
W0 178264 B 19951113
W1 178264 C 19960221
W1 1990-3053
W1 1990-3053
W1 1990-3053
W1 1990-3053 19890623 19880623 19890622 19890623 19890623 19890623 19890623 19900403 19901221 DK 1990-3053 US 1988-210503 WO 1989-US2706 19901221 PRIORITY APPLN. INFO.: OTHER SOURCE(S): R SOURCE(S): HARPAT 112:198892
The title compds. [I: R1 = H, alkyl, alkenyl, etc.; R2 = H, R3 = H, alkvl ., alkenyl, alkynyl; R4 = H, Me, F, Cl; R6 = H, Me2N, MeO, MeCo, MeS, etc.; X

= 0, MeON; or RIR2 = bond; or RIR3 = CH2, N:NCH2; or R2R3 = CH2] were prepd. Grignard reaction of 5.alpha.,6.alpha.-epoxy-6.alpha.-methyl-3,3:20,20-bis(ethylenedioxy)-19-norpregn-9(II)-en-17.alpha.-ol (prepn. given) with p-He2NCGHMQBF followed by 17-0-acetylation and deketalization
gave I [R1 = AcO, R2 = R3 = H, R4 = He, R6 = He2N, X = O]. The binding
affinity of I for progesterone receptor in cytosol obtained from estrogen-primed immature rabbit uterus was 8-80% that of progesterone.

L9 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued) (dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued)
Several I had glucocorticoid receptor binding affinities up to
2.5-fold
that of dexamethasone, and one compd. had in vivo antiprogestational
activity comparable to that of RU-486.
IT 12659-26-4P 126590-29-4P 126794-99-4P
RL: SPN (Synthetic preparation): PREF (Preparation)
(prepn. of, as antiglucocorticoid and/or (anti)progestogen)
RN 126590-26-4 CAPLUS
CN 19-Norpegna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4(dimethylamino)phenyl]-6-methyl-, (6.alpha.,11.beta.)- (9CI) (CA
INNEX

INDEX

NAME)

Absolute stereochemistry.

RN 126690-29-7 CAPLUS CN 19-Morpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-acetylphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

126784-99-4 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-

L9 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1989:213172 CAPLUS
TITLE: 13(Alpha) -alkylgonane, their production, and pharmaceutical preparations containing same
Neef, Guenter; Wiechert, Rudolf; Beier, Sybille;
Elger, Walter; Henderson, David
SOURCE: US.S. 5 pp. Cont. of U.S. Ser. No. 621,308. Patent English DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. DATE PATENT NO. KIND DATE

US 1985-810148 19851218
DE 1983-3321826 19830615
DE 1984-3413036 19840015
DE 1984-3446661 19841218
DE 1983-3321826 19830615
DE 1984-3413036 19840015
DE 1984-3413036 19840615
DE 1984-3446661 19841218 US 4780461 DE 3321826 DE 3413036 DE 3446661 19881025 19841220 19851017 19860619 PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 110:213172
AB 11.alpha.-Alkylgonanes [I, R = C1-4 acyl; X = 0, NOH; II, R1 = aminor R2 =

H, Me, Et; R3 = (substituted) alkyl; R4 = OH, alkoxy, alkanoyloxy; or

- Q: R5 - H, alkyl: III: Z - CH2CH2, CH2CMe2CH2], having

- Q; R5 = H, alkyl; III; Z = CH2CH2, CH2CMe2CH2], having antigestagenic activity and useful as postcoital contraceptives, or for triggering abortion and menstruation (no data), are prepd. via photochem. epimerization of the 13.beta.-gonanes IV. 11.beta.-(4-Dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.-methyl-17.beta.-(3-hydroxypropyl)-4,9-gonadien-3-one (V) was acetylated with Ac2O in pyridine to give 11.beta.-(4-dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.-methyl-17.beta.-(3-acetoxypropyl)-4,9-gonadien-3-one. A tablet was formulated contg. V 10.0, lactose 140.0, corn starch 69.5, polyvinylpyrcolidone 25 2.5, Aerosil 2.0, and Mg stearate 0.5 mg. RI: SRN (Synthetic preparation); PREP (Preparation)

96285-40-49 96285-50-69
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as postcoital contraceptive)
96285-40-4 CAPLUS
19-Norprepna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

L9 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued)

96285-50-6 CAPLUS 18,19-Dinorpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-13-ethyl-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 19 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued)
116421-73-99 116421-74-09

RL: SPN (Synthetic preparation), THU (Therapeutic use), BIOL RL: STR (Synthetic Preparation); USES (Uses)
(prepn. of, as drug)
RN 116421-73-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-diene, 17-(acetyloxy)-11-[4-(1-propynyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

116421 74-0 CAPLUS CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-ethynylphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 19 OF 23 CAPIUS COPYRIGHT 2000 ACS
ACCESSION NUMBER:
109:129463 CAPIUS
109:129463 New 11-(alkynylphenyl)-substituted 19-nor and
19-nor-0-homo steroids, their formation and
pharmacological activity, and processes for their preparation Teutsch, Jean Georges: Klich, Michel, Philibert, INVENTOR(S): Daniel PATENT ASSIGNEE(S): SOURCE: Roussel-UCLAF, Fr. Eur. Pat. Appl., 88 pp. CODEN: EPXXDW DOCUMENT TYPE: Patent LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: French APPLICATION NO. PATENT NO. KIND DATE DATE 1/ A/B-rings = Q1-Q5/ D-ring = Q6, Q7/ R3, R4 = H, C1-4 alkyl/ R5 = H, acycloxy, (un) substituted C1-6 alkoxy; R6 = H, C1-8 alkyl, C7-15 kyl; R7, R8 = H, GH, etc.; R7R8 = lactones and related groups; YZ = CH2CH2, CH:CH, 1,2-cyclopropanediyl, CHR9CH2, CH2CHR10; R9, R10 = C1-4 alkyl) are
prepd. for use as progestogens, antiprogestogens, and/or
antiglucocorticoids.
3,3-Ethylened/byr-5,10-epoxy-estr-9(11)-en-17-one
was treated with 4-(Ma35ic;C)CGRMMgBr and CUCl in THF, and the product
treated with CH2:CRCH2MgBr and deprotected and dehydrated (NH4OH in MeOH, 'then aq. HCl) to give (ethylnylphenyl)allylhydroxyestradienone II. At 10-64 in vitro, II gave 99% reversal of the dexamethasone-induced redn. of ur dine uptake by rat thymocytes (5 .times. 10-8M dexamethasone). Tables were prepd. from 50 mg of the 17.alpha.-(chloroethynyl) og of analog of I II, and 120 mg of a mixt. of talc, starch, and Mg stearate.

L9 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1987:5324 CAPLUS
DOCUMENT NUMBER: 106:5324
TITLE: compositions

CAPLUS COPYRIGHT 2000 ACS
1987:5324 CAPLUS
10:5524
11.beta.-Phenylgonanes and pharmaceutical containing them Neef, Guenter; Wiechert, Rudolf; Ottow, Eckard; INVENTOR(S): Ralph; Beier, Sybille; Elger, Walter; Henderson, David
PATENT ASSIGNEE(S):
SOURCE: Schering A.-G. , Fed. Rep. Ger. Eur. Pat. Appl., 55 pp. CODEN: EPXXDW Patent DOCUMENT TYPE: German 2 FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE

^				
(EP 190759	A2	19860813	EP 1986-101548	19860206
EP 190759	A3	19861120		
EP 190759	B1	19890830		
	CH, DE		, LI, LU, NL, SE	
DE 3504421	A1	19860807	DE 1985-3504421	19850207
DE 3527517	A1	19870129	DE 1985-3527517	19850729
AT 45956	E	19890915	AT 1986-101548	19860206
			DE 1985-3504421	19850207
PRIORITY APPLN. INFO.				
			DE 1985-3527517	19850729
			EP 1986-101548	19860206

11.beta.-Phenylgonane derivs. I [Z = O, CH2, bond; X = O, NOH; R1 = 4-hydrocarbyl contg. C:X; R2 = .alpha.- or .beta.-Me or -Et; R3 and

various group combinations (e.g. R3 or R4 = OH, acyloxy, other = (un)substituted C.tplbond.CH, R3R4 = CHZCHZCO2); R5-8 = H, OH, alkyl, alkoxy, acyloxy, halo] were prepd. as antigestagens and antiglucocorticoids, with a notable dissocn. of the two activities.

Thus,
4-BrC6H4Ac was ketalized with Me2C(CH2OH)2, and the ketal was coupled

epoxyestrenol deriv. II by a Cu-catalyzed Grignard reaction. The resulting arylgonene deriv. III (R3 = OH, R4 = H) was oxidized to $\rm III$

III
(R3R4 = 0), which underwent alkynylation by LiC.tplbond.CMe or LiC.tplbond.CCH2OTHP (THP = 2-tetrahydropyranyl) to give III (R3 =

OH, R4 - C.tplbond.CR9, R9 - Me or CH2OTHP). The former was hydrolyzed by

HOAc, and the latter was hydrogenated and then hydrolyzed, to give IV (R4 = C.tplbond.CMe) (V) and (Z)-IV (R4 = CH:CHCH2OH) (VI). V and VI

snowed, rep., 10- and 30-fold the abortifacient activity of the known compd. RU-38486 in gravid rats, while showing 30% and <1% of its antigluccorticoid activity. IT 105114-79-2P

L9 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued)
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic

thetic
preparation); BIOL (Biological study); PREP (Preparation)
(preph. of, as antigastagen and antiglucocorticoid)
105114-79-2 CAPLUS
Benzaldehyde, 4-[(11.beta.,13.alpha.)-17-(acetyloxy)-3,20-dioxo-19norpregna-4,9-dien-11-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued)
- Me, Et; R3, R4 - OH, acyloxy, alkynyl, acyl, Me, H, (substituted) alkyl, l, alkenyl, tetrahydrofuran-5-on-2-yl], useful as contraceptives, antiprogestins, and antiglucocorticoids (data given), were prepd. 17.alpha.-Ethynyl-11.beta.-(4-formylphenyl)-17.beta.-hydroxy-4,9-estradien-3-one was prepd. in 5 steps from 4-BrC6H4CHO, (HOCH2)2CMe2, HC(OMe)3,

and

4-MeC6H4SO3H. IT 105114-79-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic

nthetic
preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. of, as antigestagen and antiglucocorticoid)
105114-79-2 CAPLUS
Benzaldehyde, 4-[(11.beta.,13.alpha.)-17-(acetyloxy)-3,20-dioxo-19-norpregna-4,9-dien-11-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

	L9 ANSWER 21 OF 23 ACCESSION NUMBER: DOCUMENT NUMBER:	19	S COPYRIC 87:5323 (6:5323		acs	
	TITLE:	11	.betaPhe	envloonane	3	
	INVENTOR (5):	Ne	ef, Guente	er, Beier,	Sybille: Elg	
	PATENT ASSIGNEE(S):				Rep. Ger.	Milode, Kaip
	SOURCE:		r. Offen.,			
		co	DEN: GWXXI	3X		
	DOCUMENT TYPE:	Pa	tent			
	LANGUAGE:		rman			
	PATENT INFORMATION:	IT: 2				
	PATENT NO.	KIND	DATE	API	LICATION NO.	DATE
	DE 3504421	A1	19860807		1985-3504421	19850207
	AU 8652913	A1	19860814 19890202 19920818 19861008 19970108 19861216 19860808 19910805 19920113 19860808 19930215 19930526 19860813 19861120	AU	1986-52913	19860131
	AU 580843	BZ	19890202		**** ****	
	IL 77762	Al	19920818	I L	1986-77762	19860202
	CN 86100994	A	19861008	CN	1986-100994	19860203
	CN 1033753 ES 551625	В	19970108	77.0	1006 551635	19860204
	DK 8600560	Ϋ́Ι	10040000	E3	1986-551625 1986-560	19860204
	DK 161709	Α.	1001000	DK	1380-200	19860205
	DK 161709	۶	19910003			
	NO 8600425	A	19860808	NO	1986-425	19860206
	NO 171994	R	19930215		1500 120	13000200
	NO 171994	č	19930526			
	EP 190759	A2	19860813	EP	1986-101548	19860206
	EP 190759	A3	19861120			
	EP 190759	B1	19890830			
	R: AT, BE,	CH, DE	, FR, GB,	IT, LI,	LU, NL, SE	
	HU 40453	A2	19861228	ĦU	1986-499	19860206
	HU 194904	В	19880328			
	DD 261166	A5	19881019		1986-286860	
	AT 45956	E	19890915		1986-101548	19860206
	CA 1310630	A1 A B	19921124		1986-501252	19860206
	FI 8600559	A	19860808		1986-559	19860207
	FI 85377	В	19911231			
	FI 85377	C	19920410		1006 24260	10060007
	JP 61183296	A2 B4	19860815		1986-242 6 0	19860207
	JP 04037080 ZA 8600936	84	19920618 19860924		1986-936	19860207
_	US 5089635	^	19920218		1986-827050	19860207
	NO 8604209	^	19860808		1986-4209	19861021
	NO 170285	A A B C	19920622		1500-1205	13001021
	NO 170285	č	19920930			
	PRIORITY APPLN, INFO.		23320330	DE	1985-3504421	19850207
		••			1985-3527517	19850729
					1986-101548	19860206

EP 1986-101548 19860206 NO 1986-425 19860206 AB Gonanes I [AB = 0, CH2, bond; X = 0, NOH; n = 0, 1; R1 = H, C1-4 alkyl; R2

L9 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER:
1986:34230 CAPLUS
TITLE:
New steroids with antiprogestational and
antiglucocorticoid activities
Newf, Guenter: Beier, Sybille; Elger, Walter;
Henderson, David, Wiechert, Rudolf
Res. Lab., Schering A.-G./Bergkamen, Berlin,
D-1000/65, Fed. Rep. Ger.
SOURCE:
Steroids (1984), 44(4), 349-72
COEMENT TYPE:
JOURNAM ISSN: 0039-128X
JOURNAM ISSN: 0039-128X
JOURNAM ACO,
HC. tplbond.c, NeC. tplbond.C, HOCH2CH2CH2; R2 = HO. Ac. HC. tplbond.C

HC.tplbond.C, MeC.tplbond.C, HCH2CH2CH2; R2 = HO, Ac, HC.tplbond.C, HOCH2CH2CH2, HCCH2CH:CH) with inverse configuration at C-13 were synthesized. 11.beta.-Aryl compds. possess antiprogestational and antiglucocorticoid activities.

96285-40-4P
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and antiglucocorticoid activity of)

96285-40-4 CAPUS
19-Norprepna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-(dimethylamino)phenyl]-, (11.beta.,13.alpha.)- (9C1) (CA INDEX NAME)

L9 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2000 ACS (Continued) Absolute stereochemistry.

RN 96285-50-6 CAPLUS CN 18,19-Dinorpregna-4,9-diene-3,20-diene, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-13-ethyl-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

=> d ibib ab fqhit 1-18

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L10 ANSWER 1 OF 18 HARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 131:199885 MARPAT
TITLE: Preparation of 20-keto-11.beta.-arylsteroids and
                                                                                                                                                                                                     L10 ANSWER 1 OF 18 MARPAT COPYRIGHT 2000 ACS
                                                                                                                                                                                                                                                                                                                     (Continued)
                                                                                                                                                                                                                 G29-CH2-
                                                                                                                                                                                                                                       G27
 their
                                                        derivatives having agonist or antagonist hormonal
                                                        properties
Cook, C. Edgar: Kepler, John A.: Zhang,
INVENTOR(S):
                                                       Lee, Yue-wei; Tallent, C. Ray
Research Triangle Institute, USA
PCT Int. Appl., 95 pp.
CODEN: PIXXD2
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
LANGUAGE:
                                                        Patent
                                                                                                                                                                                                                  phenylene (SO (1) G3)128
                                                        English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                                                                                                                                                     128 G15
                                                                                                APPLICATION NO. DATE
                                                KIND DATE
           PATENT NO.
                          5022 A1 19990910 WO 1999-US3732 19990305
AL, AN, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
           WO 9945022
                                                                                                                                                                                                                        and pharmaceutically a claim 1 substitution is estri
DE.
                             DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
                                                                                                                                                                                                                                                              estricted; also incorporates claim 3
JP.
                             KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
 MN.
                             HW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
 TM.
                             TR. TT. UA. UG. UZ. VN. YU. ZW. AM, AZ, BY, KG, KZ, MD, RU,
TJ. TM
                    RW: GH. GM. KE. LS. MW. SD. SL. SZ. UG. ZW. AT, BE, CH. CY, DE,
 DK.
                             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG.
           CI, CM, GA, GN, GW, ML, MR, NE, SN, TO, TG
RITY APPLN. INFO.: US 1998-35949 19980306
20-Keto-11.beta.-arylsteroids of formula I (X = 0, (substituted) NOH,
 PRIORITY APPLA
 H2,
           OH, etc.; R1 = dialkylamino, imidazolyl, pyrrolyl, piperidino, etc.;
 R2 =
           H, halo: R3 = H, Me, halo: R4 = H, acyloxy, (substituted) OH, alkyl,
 etc.;
           ,

R5 = H, alkyl, halo, acyloxy, etc.] are prepd. which exhibit potent

antiprogestational activity. Thus, II was prepd. from

17.alpha.-hydroxymethyl-3-methoxy-19-norpregna-1,3,5(10)-trien-20-one
           4-bromo-N,N-dimethylaniline in several steps. The affinity of II for
            progesterone hormone receptor was IC50 of 0.7 nM.
 MSTR 18
LIO ANSWER 2 OF 18 MARPAT COPYRIGHT 2000 ACS

ACCESSION NUMBER:

TITLE:

Method for the preparation and pharmaceutic formulation of 11.beta.-benzaldoxime-
9.alpha.10.alpha.-epoxy-estr-4-ene derivatives
Schubert, Gerd, Ring, Svenz, Kaufmann, Guenter;
Schneider, Birgitt; Elger, Walter
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:

MARPAT COPYRIGHT 2000 ACS

130:282222 NARPAT

Nethod for the preparation and pharmaceutic formulation of the preparation and pharmaceutic formulation of 10.beta.-benzaldoxime-
9.alpha.10.alpha.-epoxy-estr-4-ene derivatives schubert, Gerd, Ring, Svenzi Kaufmann, Guenter;
Schneider, Birgitt, Elger, Walter
Jensen and Jens
                                                                                                                                                                                                     L10 ANSWER 2 OF 18 MARPAT COPYRIGHT 2000 ACS
                                                                                                                                                                                                                                                                                                                     (Continued)
                                                                                                                                                                                                     з8-
                                                                                                                                                                                                                -G3
                                                                                                                                                                                                     G3
                                                                                                                                                                                                                    = 33
 DOCUMENT TYPE:
                                                         Patent
                                                                                                                                                                                                      35
 FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                                                  APPLICATION NO. DATE
                                                                                                                                                                                                     GB
                                                                                                                                                                                                                    - 51
            PATENT NO.
                                                  KIND DATE
                     77
19745085 Al 19990415 DE 1997-19745085 19971011
909764 Al 19990421 EP 1998-118613 19981001
909764 Bl 19990929 EP 1998-118613 19981001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,
            DE 19745085
             EP 909764
EP 909764
                                                                                                                                                                                                                -G12
                                                                                                                                                                                                      ۶Ÿ
                             IE, SI, LT, LV, FI, RO
45 E 19991015

    alkyl<(1-10)>
or pharmaceutically acceptable salts
claim 1

                                                                                                 AT 1998-118613 19981001
DE 1997-19745085 19971011
            AT 185145
 AB 11.beta. Benraldoxime-9.alpha.,10.alpha.-epoxy-estr-4-ene derivs.,
             : (R1 = H, C1-6-alkyl, R2 = H, C1-10-alkyl, aryl, aralkyl, alkylaryl, C1-10-acyl, CONHR4, C02R4; R3 = H, C1-10-alkyl, aryl, aralkyl,
 alkylaryl, (CH2) nCH2Y; R4 = H, C1-10-alkyl, aryl, aralkyl, alkylaryl; Y = F, C1,
            I, CN, N3, SCN, OR5, SR5; n = 0, - 2; R5 = H, C1-10-alkyl, aryl,
 aralkyl,
alkylaryl, C1-10-acyl), are described. Thus, (E)-I (R1 = R2 = Me, R3
            CH20Me, 2 = H) was prepd. via regioselective epoxidn. of estradienone
            (R1 = R2 = Me, R3 = CH2OMe, Z = H) with m-chloroperbenzoic acid in (E). (E)-I (R1 = R2 = Me, R3 = CH2OMe, Z = H) showed 88% affinity for the progesterone receptor but only 12% affinity for the glucocorticoid receptor.
 ΙI
 CH2C12
 MSTR 2
 G17-N=
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L10 ANSWER 3 OF 18 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 129:50105 MARPAT
ITILE: Uses of anti-glucocorticoid compounds for the treatment of psychoses or addictive behaviors
Oberlander, Clauder, Piezza, Pier Vincenzo
ODEN: PIACORE, Piezza, Pier Vincenzo
DOCUMENT TYPE: Patent
DOCUMENT TYPE: Patent

MARPAT COPYRIGHT 2000 ACS
ARPAT
129:50105 MARPAT
LUSE: Discrete for anti-glucocorticoid compounds for the treatment of psychoses or addictive behaviors
Oberlander, Piezcent, Piezcent
   DOCUMENT TYPE:
LANGUAGE:
  FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:
                   PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9826783 Al 19980625 WO 1997-FR2320 19971217
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID,
  IL.
                                               IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ,
  PL.
                                               RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY,
   KG,
                                KZ, ND, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, S2, UG, ZW, AT, BE, CH, DE, DK, ES,
  FI,
                                              FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
  CM,
                  RR 2757400 A1 19980626 FR 1996-15649 19961219
FR 2757400 B1 19991217
AU 9855632 A1 19980715 AU 1998-55632 19971217
EP 892641 A1 19990127 EP 1997-952078 19971217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,
 IE, FI
PRIORITY APPLN. INFO.:
                  RITY APPLM. INFO.: FR 1996-15649 19961219
WO 1997-FR2320 19971217
Glucocorticoid antagonists, except mifepristone, are used as dopamine
                  II receptor antagonists to treat psychotic or addictive behavior.
                  17.beta.-hydroxy-10.beta.-[(4-methylphenyl)methyl]-17.alpha.-(1-propynyl)estra-4,9(11)-dien-3-one considerably reduced the response to morphine in vivo.
 L10 ANSWER 4 OF 18 MARFAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 128:188869 MARFAT
TITLE: Mixed agonists of the
                                                                                      128:188869 MARPAT
Mixed agonists of the progesterone receptor and
                                                                                   for them
McDonnell, Donald P., Wagner, Brandee L.
Duke University, USA
PCT Int. Appl., 62 pp.
CODEN: PIXXD2
Patent
English 1
   INVENTOR (S)
   PATENT ASSIGNEE(S):
SOURCE:
   DOCUMENT TYPE:
  FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                           A2 19980212
                  PATENT NO.
                                                                                                                                                     APPLICATION NO. DATE
                                                                                                                                                     VO 1997-US13754 19970805
                  WO 9805679
                              W: CA
RW: AT, BE, CH, DB, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT. SE
PRIORITY APPLN. INFO.:

BY A third class of PR-ligand (i.e. mixed agonist) is identified which induces a progesterone receptor conformation distinct from that induced by

a PR agonist or antagonist; the agonists are estra-4,9-dien-3-one derivs.

PR mixed agonists exhibit partial agonist activity which is influenced by

cell context. These compds, provide useful pharmacol. profiles for treating progesterone related diseases and/or conditions, such as uterine

proliferation from estrogen administration. endometricals breast
                  proliferation from estrogen administration, endometricsis, breast
cancer,
fibroids, endometrial cancer, and brain meningiomas. The agonists can
also be used as contraceptives. Assays are provided to screen for PR
mixed agonists. Mol. designs are provided to convert a PR antagonist
                 PR mixed agonist.
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L10 ANSWER 3 OF 18 MARPAT COPYRIGHT 2000 ACS and pharmaceutically acceptable acid or basic addition salts claim 18 L10 ANSWER 4 OF 18 MARPAT COPYRIGHT 2000 ACS G3 - OCOMe Claim 9

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L10 ANSWER 5 OF 18 MARPAT COPYRIGHT 2000 ACS (Continued) tumors to treat uterine fibroids, to inhibit uterine endometrial proliferation; to induce labor; and for contraception. Thus, II was prepd. from 3, 3-ethylenedioxy-17.beta.-cyano-17.alpha.-hydroxyestra-5(10),9(11)-diene and 4-bromo-N,N-dimethylaniline in 9 steps. II
 L10 ANSWER 5 OF 18 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 127:338992 MARPAT
TITLE: Preparation of 21-substituted progesterone
  derivatives
                                                                       as new antiprogestational agents
Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.;
Cessac, James W.; Acosta, Carmie K.
United States Dept. of Health and Human Services,
 INVENTOR(S):
                                                                                                                                                                                                                                                                        12
2.79 times the antiprogestational potency in the antiClauberg test
compared to CDB-2914.
  PATENT ASSIGNEE(S):
                                                                       Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K. PCT Int. Appl., 65 pp. CODEN: PIXXD2
  SOURCE:
  DOCUMENT TYPE:
  LANGUAGE: Fatent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                                                                                                                                                                                                                                                          G1
               PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9741145 A1 19971106 WO 1997-US7373 19970430
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
 DE.
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                                     DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR
 KZ,
                                     LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ,
                                                                                                                                                                                                                                                          G8
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 PL,
                                     PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US,
                                                                                                                                                                                                                                                                        -C (0)-G6
  uz.
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                          VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR,
  GB.
                                                                                                                                                                                                                                                          MPL:
                                                                                                                                                                                                                                                                                    claim 1
                                      GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
                ML, MR, NE, SN, TD, TG
CA 2253673 AA 19971106 CA 1997-2253673 19970430
AU 9729304 A1 19971119 AU 1997-29304 19970430
EP 900234 A1 19990310 EP 1997-923523 19970430
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,
  IE, FI
PRIORITY APPLN. INFO.:
  IE, FI
PRIORITY APPIN. INFO:

US 1996-16628 19960501
W0 1997-US7373 19970430

AB Progesterone derivs. of formula I [R1 - CM+, SM+, NM+2, NEM+, CHO, Ac, CHONCH3, R2 - halo, alkyl, acyl, OH, alkoxy, etc., R3 - OH, alkyl, acylcxy, R4 - H, alkyl, X - O, (substituted) NOH] are prepd. as antiprogestational agents. The present invention provides methods wherein
  wherein
the compds. of formula I are advantageously used, inter alia, to
antagonize endogenous progesterone; to induce menses; to treat
endometriosis; to treat dysmenorrhea; to treat endocrine
hormone-dependent
 LIO ANSWER 6 OF 18
ACCESSION NUMBER:
TITLE:
LIVENITY Antiplucocritical steroids for the treatment of anxiety disorders
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
CODEN: PIXXOZ
DOCUMENT TYPE:
PALENT
LANGINGER:
POOLUMENT TYPE:
PALENT
LANGINGER:
POOLUMENT TYPE:
PALENT
LANGINGER:
POOLUMENT
LONGINGER:
POOLUME
                                                                                                                                                                                                                                                                        ANSWER 6 OF 18 MARPAT COPYRIGHT 2000 ACS (Continued)
  LANGUAGE: English FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:
                PATENT NO.
                                                                                                                           APPLICATION NO. DATE
                                                               KIND DATE
                                                                  A1 19950216
                WO 9504536 A1 19950216 WO 1994-EP2513 ,19940728
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KP,
  KR,
                                      KZ, LK, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ,
  TŤ,
                                                                                                                                                                                                                                                                              UA, US, UZ, VN
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU.
  MC,
                                  NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN,
  TD, TG
               TG AU 9474968 Al 19950228 AU 687088 B2 19980219 EP 712311 Al 19960522 EP 712311 B1 19981007 R: AT, BE, CH, DE, DK, ES, FR, SE
                                                                                                                           AU/1994-74968 19940728
                                                                                                                                                                                                                                                                    .-G11
                                                                                                                                                                                                                                                           39 G16
                                                                                                                            EP 1994-924819 19940728
PT, SE
JP 09501172
AT 171873
ES 2124905
US 5741787
                                                                                                                       GB, GR, IE, IT, LI, LU, MC, NL,
                                                                                                                                                                                                                                                           MPL:
                                                                                                                                                                                                                                                                                    claim 2
                SE JP 09501172 T2 19970204 JP 1994-506200 19940728 AT 171873 E 19981015 AT 1994-924819 19940728 E5 2124905 T3 19990216 ES 1994-924819 19940728 US 5741787 A 19980421 US 1996-581631 19960128 RITY APPLN. INFO: EP 1993-202304 19930804 EP 1994-924819 19940728 WO 1994-EP2513 19940728 Antiglucocorticoid steroids are used for the manuf. of a macceutical
  PRIORITY APPLN. INFO.:
  AB Antiglucocorticoid sterolog are used ---
pharmaceutical
compn. for the treatment of anxiety disorders. The anxiolytic effect
  11.beta.-(4-dimethylaminophenyl)-17.beta.-hydroxy-17.alpha.-(prop-1-ynyl)-estra-4,9-dien-3-one (RU38486) was demonstrated in animal testing (antagonism of fear-potentiated startle). Prepn. and activity
  (antagonism
of stress-induced hyperthermia) of selected steroids of the invention
                 also described.
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(Continued)

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L10 ANSWER 7 OF 18 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 116:35156 MARPAT
TITLE: Preparation and use of antiprogestomimetics for synchronization of parturition in livestock grandadam, Jean Andre
Grandadam, Jean Andre
Grandadam, Jean Andre
ENT. Pat. Appl., 13 pp.
COODM: EPXXDW
DOCUMENT TYPE: LANGUAGE: PROPAGE 1 PROPAGE 
   FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                    PATENT NO.
                                                                                                                                                   KIND DATE
                                                                                                                                                                                                                                                                                             APPLICATION NO.
                             EF 446124
R: AT, EE,
FR 2659233
FR 2659233
FR 2659233
FR 2659233
AU 9172608
AU 9172608
AU 9172608
CM 1055665
FU 59006
FU 59006
FU 59006
FU 59006
FU 59006
                                                                                                                                                                 12 19910911

13 19920527

DE, DK, FR, GB,

14 19940913

14 19940912

15 19940917

16 19940917

17 19940917

18 19910917

19 19930107

19 19920527

19 19950619

19 19950619

19 19950619

19 19950619
                                                                                                                                                       A2
A3
                                                                                                                                                                                                                                                                                             EP 1991-400594
                                                                                                                                                                                                                                                                                                                                                                                                          19910305
                                                                                                                                       A3
CH, I
A1
B1
AA
A1
B2
A
A2
C1
                                                                                                                                                                                                                                                                             GR, IT, LI, LU, NL, SE
FR 1990-2783 19900306
                                                                                                                                                                                                                                                                                           CA 1991-2037549
AU 1991-72608
AU 642975 B2 19931104
ZA 9101603 A 19920627 ZA 1991-1603 19910305
JP 04211610 A2 19920803 JP 1991-62496 19910305
RU 2037295 C1 19950619 RU 1991-4895041 19910305
CN 1055665 A 19911030 CN 1991-102108 19910306
PRIORITY APPLM. INFO.: FR 1990-2783 19900306
AB The title antiprogestomimetics are I (R1 = C1-18 hydrocarby1 optionally
substituted with .gtoreq.1 heteroatoms and bonded to the steroid by a
                                  R2 = C1-8 hydrocarbyl: X = remainder of 5- and 6-membered ring
optionally
optionally
optionally
substituted and optionally unsatd., C = A = CNOH, oxo (free or
blocked as
ketal), etc., B and C together form a double bond or epoxide bridge)
                                acid addn. salts thereof. Prepn. of 2 I are described. 17.beta.-Hydroxy-11.beta.-(4-dimethylaminophenyl)-17.alpha.-(prop-1-ynyl)estra-4,9-dien-3-one (II) was more effective at synchronizing parturition than cloprostenol when tested in sows. Injectable pharmaceuticals contg. II are disclosed.
 MSTR 1C
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L10 ANSWER 8 OF 18 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 115:214857 MARPAT
TITLE: Injectable microspheres containing antiestrogenic TITLE: antiprogestomimetic steroids Cohen, Gerardi Dubois, Jean Luc Roussel-UCLAF, Fr. Ger. Offen., 15 pp. CODEN: GWXXBX Patent German 1 INVENTOR (S) PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. DATE APPLICATION NO. KIND DATE PATENT NO. KIND DATE APPLICATION NO. DATE

DE: 4036425 Al 19910516 DE 1990-4036425 19901115

FR: 762654337 Al 19910517 FR 1989-14976 19891115

FR: 7654337 Bl 19940805

SE 9003570 A 19910516 SE 1990-1062 19901109

BE 1005511 A4 19930831 BE 1990-1062 19901109

DK 9002709 A 19910516 DK 1990-2709 19901109

DK 9002709 AA 19910516 DK 1990-2709 19901110

JP 03294229 A2 19911225 JP 1990-206374 19901114

JP 03294229 A2 19911225 JP 1990-306374 19901114

ML: 9002492 A 19910603 NL 1990-2492 19901115

GB: 2239798 A1 19910717 GB 1990-2492 19901115

GB: 2239798 B2 19931027

AAT- 9002315 A 19950415 AT 1990-2313 19901115

AT 400298 B 19951127

PRIORITY APPLN. INFO.: FR 1989-14976 19891115

AB Biodegradable microspheres comprise the title steroids (Markush given) and copolymers of lactic acid with glycolic acid. A mixt. of 250 mL aq. hydrolyzed PVA soln., 1 g poly(DL-lactic acid-glycolic acid), 17 g CH2Cl2, CH2C12,
and 0.5 g

17.beta.-hydroxy-11.beta.-[4-(dimethylamino)phenyl]-17.alpha.-(1propynyl)estra-4,9-dien-3-one was emulsified, followed by stirring at
22.degree. and decreasing pressure (.gtoreq.400 mm Hg) to give
microspheres, which were used for the preph. of injections. G1-G3 G1 - 3

- 55-13 57-14 G15 - 40 48 (O)-CH2—OH = alkylcarbonyloxy<(1-8) >
 and protected derivatives
 and acid addition salts DER: DER: MPL: claim 1 L10 ANSWER 8 OF 18 MARPAT COPYRIGHT 2000 ACS (Continued) G5 - 68-26 70-27 G13 G9 - 74 75 (0)--CH2-G10

= alkylcarbonyloxy<(1-8)>
claim 6

L10 ANSWER 7 OF 18 MARPAT COPYRIGHT 2000 ACS

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L10 ANSWER 9 OF 18 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 115:151901 MARPAT
TITLE: Use of antiprogestomimetics for stimulating
                                                                       and new preparation for use in pharmaceutical compositions Grandadam, Jean Andre Roussel-UCLAF, Fr. Eur. Pat. Appl., 24 pp. CODEM: EFXXDW Patent French 1
   INVENTOR(S)
  PATENT ASSIGNEE (S):
SOURCE:
  DOCUMENT TYPE:
  FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                PATENT NO.
                                                                 KIND
                                                                               DATE
                                                                                                                          APPLICATION NO. DATE
EP 417003 A2 19910313 EP 1990-402449 19900906

EP 417003 A3 19911204

EP 417003 B1 19940629

R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE

FR 2651435 A1 19910308 FR 1999-11699 19890907

FR 2651435 A1 19910308 FR 1990-5024728 19900905

CA 2024728 AA 19910308 CA 1990-2024728 19900906

AU 9062259 A1 19910314 AU 1990-62259 19900907

AU 623805 B2 19920521 JP 1990-62259 19900907

PRIORITY APPLM. INFO::

FR 1989-11699 19890907

PRIORITY APPLM. INFO::

FR 1989-11699 19890907

AB Anti-progestomimetic compds., e.g. I [R1 = C1-18 hydrocarbyl with optionally .gtoreq.1 heteroatoms, bonded to the steroid by a C, R2 = C1-8
                hydrocarbyl: X = rest of 5- or 6-membered (substituted) (unsatd.)
               A:C = OXO (free or in ketal), CH(OH), CH(OR3), CH(O2CR3), etc.; R3 =
              alkyl, C7-15 atalkyl; B and C together form a double bond or epoxide bridge] and their acid and base addn. salts, are used for making pharmaceuticals for stimulating ovulation, e.g. in cows. The compds.
of
the invention are preferably used following treatment with
progesterone or
a progestominemetic, e.g. 3-oxo-17.alpha.-allyl-17.beta.-hydroxyestra-
4,9,11-triene (II). Thus, heifer cows were let administered II for 17
days; on the day following the last administration, the animals were
injected with
17.beta.-hydroxy-11.beta.-(4-dimethylaminophenyl)-17.alpha.-
(prop-1-ynyl)estra-4,9-dien-3-one. All of the heifers came to heat
after
a very short delay period, and LH levels rose very rapidly. Prepn. of 12
               anti-progestomimetics is presented.
```

vitro gave 82% inhibition of uridine incorporation into rat

thymocytes

L10 ANSWER 10 OF 18 MARPAT COPYRIGHT 2000 ACS (Continued)

G(0)G6-G4-G3 G1
G7 G2
17
G9 = phenylene
G9 = 39-18 37-17

3G16-G10-3GH2
G10 = (1-2) 45
G11-45-G12
G13 = alkylcarbonyloxy<(1-8) > / 53
S5(0)-CH2-OH
G16 = 68
G13-G8-G13
MPL: claim 1

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ACCESSION NUMBER:

ACCESSION NUMBER:

114:229227 MARPAT

Perparation of 19-nor 3-oxo steroids with an amine substituted 17-chain as antioxidants and antinflammatories: their use as medicines and pharmaceutical composition containing them clauser, Andrer Leclaire, Jacques Medelec, Decient Philibert, Daniel

PATENT ASSIGNEE(S):

PATENT ASSIGNEE(S):

Claussner, Andrer Leclaire, Jacques Medelec, Decient Philibert, Daniel

PATENT ASSIGNEE(S):

COUNCES:

DOUMENT TYPE:

PATENT INFORMATION:

PAT
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MSTR 1C

L10 ANSWER 12 OF 18 MARPAT COPYRIGHT 2000 ACS							
ACCESSION NUMBER:			3:115677 !				
TITLE: INVENTOR(S):			eparation of	of androstanone deriva	itives as drugs		
Elger,			noiz, Stei	an; Neef, Guenter; Ott	ow, Eckhard;		
	·			, Sybille: Chwalisz,	Krzysztof		
	Ent assignee (s) rce:			3., Fed. Rep. Ger.			
500	KUB:		r. Pat. Apj DEN: EPXXDV	ol., 38 pp.			
DOC	JMENT TYPE:		tent				
	GUAGE:		rman				
FAM:	ILY ACC. NUM. C						
PAT	ENT INFORMATION	:					
	PATENT NO.	. KIND	DATE	APPLICATION NO.	DATE		
(F)				ATTECATION NO.	DATE		
	EP 360369	A1	19900328	EP 1989-250040	19890920		
Lie	EP 360369	B1	19950503				
	R: AT, B	E, CH, DE	, ES, FR, C	B, GR, IT, LI, LU, NI	, SE		
	DE 3832303	A1	19900412	DE 1988-3832303	19880920		
	IL 91672	A1	19941229		19890918		
	WO 9003385	A1	19900405	WO 1989-EP1090	19890920		
	W: AU, D. AU 8943049	K, FI, HU, Al	JP, NO, L				
	AU 640616	B2	19900418 19930902	AU 1989-43049	19890920		
	ZA 8907191	λ	19901031	ZA 1989-7191	19890920		
	DD 284682	Ã5	19901121	DD 1989-332836	19890920		
	HU 56851	A2	19911028	HU 1989-5541	19890920		
	HU 208151	В	19930830		13030320		
	JP 04501712	T 2	19920326	JP 1989-509963	19890920		
	JP 2760870	В2	19980604				
	AT 122052	E	19950515		19890920		
	ES 2074073	Т3	19950901	ES 1989-250040	19890920		
	NO 9101102	A	19910319	NO 1991-1102	19910319		
	DX 9100504	A A	19910320	DK 1991-504	19910320		
	US 5244886 NO 9104772		19930914	US 1991-663819			
DDTC	RITY APPLN. IN	. A	19910319	NO 1991-4772 DE 1988-3832303	19911204		
rkic	WILL WEEPN. IN			WO 1989-EP1090	19880920		
				NO 1991-1102	19910319		
AB	The title comm	oda. (I; 2	- 0. hvdr	oxyimino; LM = bond,	or L = H and M =		
	.alphaOH; Al	= bond a	nd D = H a	nd R1 = heteroaryl; o	r A = H and BD		
- CE	12						
	and 2 = H2; R3	3, R4 - te	trahydropy	ranyloxyalkyl,			
	tetrahydropyra	inyloxyalk	ynyl, etc.], useful as antigluc	ocorticoids,		
and	neobrasm junit	oltors (es	p. for bre	ast cancer), progesto	gen inhibitors,		
and	antiprolife	ive sees		epd. 3-(Tetrahydropy	man 2		
	propyne was li	thisted w	ith Ruli i	n THF-hexane and the	oroduct treated		
with	,,, 11		2001 1		Prompte craned		
	14.betaandro	stan-17-o	ne II (R3R	4 = 0) (prepn. given)	to give II (R3		
~ Q,							
(CH2	R4 = OH) treat	ed with 4	N HCl to g	ive I [R1 - OMe, R2 -	Me, R3 -		
•	BD - CH2, LM -	bond, Z	- 0, а - н] (III). III had high	her affinity		
for	the				•		

gestagen receptor than the known EP-A 0277676 [11.beta.-[4-

L10 ANSWER 12 OF 18 MARPAT COPYRIGHT 2000 ACS (Continued)

(dimethylamino)phenyl)-=17.alpha.=hydroxy-17-(3-hydroxypropyl)-14.beta.-estra-4,9-dian-3-one].

MSTR 1A

G29 = OCHO MPL: claim

```
L10 ANSWER 13 OF 18 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 112:235680 MARPAT
TITLE: Preparation of 13-alkyl-11.beta.-phenylgonanes as antigetagens and antiglucocorticoids
INVENTOR(S): Scholz, Stefan; Ottow, Eckhard; Neef, Guenter;
                                                                               Walter, Beier, Sybille, Chwalisz, Krrysztof
Schering A.-G., Fed. Rep. Ger.
Ger. Offen, 22 pp.
CODEN: GWXXEX
Patent
 PATENT ASSIGNEE (S):
SOURCE:
 DOCUMENT TYPE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                 PATENT NO.
                                                                      KIND DATE
                                                                                                                                          APPLICATION NO.
                                                                                                                                                                                               DATE
                                                                        A1
A1
A1
A1
B1
                                                                                                                                         DE 1988-3822770
IL 1989-90826
CA 1989-604596
EP 1989-730155
                 DE 3822770
                                                                                         19900104
                                                                                                                                                                                               19880701
19890630
19890630
19890703
               IL 90826
CA 1334668
EP 349481
EP 349481
                                                                                        19940624
19950307
              EP 349481 B1 19951102
R: AT, BE, CH, DE, ES, FR,
WO 9000174 A1 19900113
AU 6938568 A1 19900123
AU 644060 B2 19931202
A2 8905058 A 19900425
DD 287511 A5 19910228
HU 56114 A2 19910729
HU 208021 B 19930728
DD 295638 A5 19911107
JP 03505727 T2 19911212
JP 2956776 B2 19010004
                                                                                         19951102
                                                                                                                                  GR, IT, LI, LU, NL, SE
WO 1989-DE443 19890703
                                                                                                                                         AU 1989-38568
                                                                                                                                                                                                19890703
                                                                                                                                         ZA 1989-5058
                                                                                                                                                                                                19890703
                                                                                                                                        DD 1989-330342
HU 1989-4130
                                                                                                                                                                                               19890703
19890703
                                                                                                                                        DD 1989-341722
JP 1989-507188
                                                                                                                                                                                                19890703
                                                                                        19911212
19991004
19931228
                 JP 2956776
US 5273971
                                                                                                                                        US 1989-374809
AT 1989-730155
ES 1989-730155
NO 1990-5609
                                                                                                                                                                                               19890703
19890703
19890703
19901227
               US 5273971
AT 129717
ES 2080079
NO 9005609
NO 180451
NO 180451
US 5446036
FI 9504856
                                                                                        19951115
19960201
19910228
19970113
           NO 180451 C 19970423
US 5446036 A 19950829 US 1993-144474 19931102
FF 9504836 A 19951012 FI 1995-4856 19951012
NO 9600829 A 19910228 NO 1996-823 19960229
ORITY APPLN. INFO:

DE 1988-3822770 19880701
US 1983-374809 19880701
WO 1983-DEX43 19880703
WO 1983-DEX43 19880703
WO 1990-5609 19901227
The title compds. [I, R1 = heterocyclyl, cycylalkyl, cycylalkyl, cycylalkyl, cycylalkyl, alkynyl, etc.; Z = O, NOH, antigestagens and antiglucocorticoids useful for induction of abortion, were prepd. via Grignard reaction of the corresponding S.alpha., 10.alpha.-epoxy-9(11)
                                                                                         19970423
PRIORITY APPLN. INFO. :
```

L10 ANSWER 13 OF 18 MARPAT COPYRIGHT 2000 ACS (Continued) unsatd. steroids with p-R1C6H4X (X = halo). Grignard reaction of unsatd. steroids with p-RICSH4X (X = halo). Grignard reaction of epoxy steroid II (prepn. given) with p-CH2:CHCSH4X (X = Br, iodo) gave I [R1 = [R1 = CH2:CH, R2 = .beta.-Ne, R3 = OH, R4 = C.tplbond.CMe, Z = OCH2CHe2CH2O], which was hydrolyzed to give I [Z = O, R1-R4 same as above]. This at mg s.c./day induced abortion in 100% of rats tested. - 37 35 (0)-CH2-G10 **- 32** 32 -G8 CHO claim 1 substitution is restricted

L10 ANSWER 14 OF 18 HARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER:
TITLE: Perparation of 11.beta.-aryl-19-norsteroids as antiglucocorticoids, progestogens, and antiprogestogens Cook, C. Edgar: Wani, Mansukh C.: Lee, Yue Wei; INVENTOR(S): Reel, Jerry R.: Rector, Douglas Research Triangle Institute, USA PCT Int. Appl., 50 pp. CODEN: PIXXD2 PATENT ASSIGNEE(5): SOURCE: DOCUMENT TYPE: Patent English FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA3	ENT I	ю.		KI	D DATE		AP	PLICATION NO.	DATE
							WO	1989-US2706	19890623
	¥:	ΑU,	DK,	JP,	KR, NO				
	R₩:	AT,	BE,	CH,	DE, FR, GB,	IT,	LU, I	NL, SE	
US	49544	190		Α	19900904		US	1988-210503	19880623
CA	13389	06		A:	19970211		CA	1989-603686	19890622
AU	89385	06		A:	19900112		AU	1989-38506	19890623
					19930318				
EP	42210	0		A.	19910417		EP	1989-907924	19890623
					19970312				
							LI.	LU, NL, SE	
								1989-507392	19890623
JP	29537	125		R2	19990927				
AT	14983	19		E	19970315		AT	1989-907924 1990-504129	19890623
US	50735	48		Ā	19911217		IIS	1990-504129	19900403
NO	90055	46		A	19901221		NO	1990-5546	19901221
NO	17826	4		В	19951113				
NO	17826	4		č	19951113 19960221				
							UK	1990-3053	19901221
	APPL							1988-210503	
								1300 110303	13000023

The title compds. [I; Rl = H, alkyl, alkenyl, etc.; R2 = H, R3 = H, alkenyl, alkynyl: R4 = H, Me, F, Cl: R6 = H, Me2N, MeO, MeCO, MeS,

alkenyl, alkynyl, R4 = H, Me, F, Clr R6 = H, Me2N, MeO, MeCO, MeS, etc.; X

= O, MeON; or RIR2 = bond; or RIR3 = CH2, N:KCH2; or R2R3 = CH2] were prepd. Grignard reaction of 5.alpha., 6.alpha.-epoxy-6.alpha.-methyl-3, 3:20, 20-bis (ethylenedioxy)-19-norpregn-9(11)-en-17.alpha.-ol (prepn. given) with p-Me2NCGHMyBr followed by 17-O-acetylation and deketalization.

deketalization
gave I [Rl = AcO, R2 = R3 = H, R4 = Me, R6 = Me2N, X = O]. The
binding
affinity of I for progesterone receptor in cytosol obtained from
estrogen-primed immature rabbit uterus was 8-80% that of progesterone.
Several I had glucocorticoid receptor binding affinities up to
2.5-fold

told that of dexamethasone, and one compd. had in vivo antiprogestational activity comparable to that of RU-486.

L10 ANSWER 14 OF 18 MARPAT COPYRIGHT 2000 ACS

G1 MPL:

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L10 ANSWER 15 OF 18 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 111:233356 MARPAT
TITLE: New 11-aryl steroids useful as antiprogestins,
their
                                                                                                        preparation, and pharmaceuticals containing them De Jongh, Hendrik Paul, Van Vliet, Nicolaas Pieter AKZO N. V., Neth.
Eur. Pat. Appl., 10 pp.
CODEN: EPXXOW
Patent
English 1
   INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
   DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                        PATENT NO.
                                                                                                                      DATE
                                                                                                                                                                                      APPLICATION NO. DATE
                                                                      KIND DATE APPLICATION NO.

A1 19890621 EP 1988-202678
B1 19930203
BE, CH, DE, ES, FR, GB, GR, IT, LI, MI, SE
E 19930215 AT 1988-202678
A 19890801 ES 1988-202678
A1 19890615 AU 1988-26469
B2 19910801
A 19900519 CA 1988-26469
B2 19910801
A1 19920519 CA 1988-58527
A 19890613 DK 1988-6880
B1 19940328
A 19890613 TF 1988-5717
B1 19940301
B1 19940303
B1 19930810
C 19930810
A 19890816 CN 1988-108484
B1 19921230
JR A2 19890824 JF 1988-313643
INFO.:

WH 1987-3008
PATENT NO. K
EP 321010
EP 321010
R: AT, BE, CH
AT 85342
ES 2053714
LA 8080996
AU 8226469
AU 8226469
AU 613433
US 4921845
CA 1301162
DK 806880
DK 168444
FI 8805717
FI 89056
CN 1034731
CN 1019807
JP 01211597
PRIORITY APPLN. INFO.:
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CN 1019807 B 19921230
JP 01211597 A2 19890824 JP 1988-313643 19881212
RITY APPLN. INFO.: NL 1987-3008 19871212
EP 1988-202678 19881125
Aryl steroids I [Rl = aryl substituted by -NxY, X, Y = H, Cl-4
hydrocarbyl; or XY = C2-6 hydrocarbyl forming 3- to 7-membered ring;

CN 1988-108484 19881212

H, OH, acyloxy, alkoxy, (un) satd. C1-8 hydrocarbyl with .gtoreq.1 OH,

oxo,
N3, cyano, and/or halo group; R3 = OH, acyloxy, alkoxy, or acyl
optionally
substituted by OH, alkoxy, acyloxy, or halo; or R2R3 forms ring; R2
.noteq. H or OH when R3 = OH; R4 = Me, Et], which are strong
antiprogestins with little or no antiglucocorticoid activity (no data)

, are prepd. Thus, 7.beta.-methylestr-5-(10)-ene-3,17-dione 3,3-di-Me acetal underwent NaBH4 redn., deketalization, bromination/dehydrobromination, reketalization, and epoxidn., to give 5.alpha.,

3.aipna., ipha.-epoxy-17.beta.-hydroxy-7.beta.-methylester-9(11)-en-3-one 3,3-ethylene acetal. This underwent CuCl-catalyzed coupling with

L10 ANSWER 15 OF 18 MARPAT COPYRIGHT 2000 ACS (Continued)
p-(Me2N)C6H4MgBr, Oppenauer oxidm. of 17-0H, alkynylation with
THP-OCH2C.tplbond.CMgBr (THP = tetrahydropyranyl), and deprotection, give (dimethylaminophenyl) hydroxy (hydroxypropynyl) methylestradienone

- phenylene - 31

39-C(0)-G11

- 31 / 35

31-C(0)-G11 35(0)-G12

G10 - 31

31-C(0)-G11

= Ak (SO (1-) G10) = 42

G5 G5

MPL: claim 1

LIO ANSWER 16 OF 18 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 110:213172 MARPAT
TITLE: 13(Alpha)-alkylgonanes, their production, and pharmaceutical preparations containing same (NewTOR(S): Section A.-G., Fed. Rep. Gar. Source: U.S., Spp. Cont. of U.S. Ser. No. 621,308.

DOCUMENT TYPE: CANGULAGE: Emplish
FAMILY ACC. NUM. COUNT: 4

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4780461	λ	19881025	US 1985-810148	19851218
DE 3321826	A1	19841220	DE 1983-3321826	19830615
DE 3413036	A1	19851017	DE 1984-3413036	19840404
DE 3446661	A1	19860619	DE 1984-3446661	19841218
PRIORITY APPLN. INFO.	:		DE 1983-3321826	19830615
			DE 1984-3413036	19840404
			US 1984-621308	19840615

US 1984-621308 19840615
DE 1984-346661 19941218
AB 13.alpha.-Alkylgonanes [I; R = C1-4 acyl; X = 0, NOH; II; R1 = amino; R2 = H, Me, Et; R3 = (substituted) alkyl; R4 = OH, alkoxy, alkanoyloxy; or

R3R4

= Q; R5 = H, alkyl; III; Z = CH2CH2, CH2CMe2CH2], having

antigestagenic
activity and useful as postcoital contraceptives, or for triggering
abortion and menstruation (no data), are prept. via photochem.
epimerization of the 13-beta-gonanes IV. 11.beta-(4Dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.-methyl-17.beta-(3hydroxypropyl)-4,9-gonadien-3-one (V) was acetylated with Ac20 in
pyridine

ine
to give 11.beta.-(4-dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.methyl-17.beta.-(3-acetoxypropyl)-4,9-gonadien-3-one. A tablet was
formulated contg. V 10.0, lactose 140.0, corn starch 69.5,
polyvinylpyrrolidone 25 2.5, Aerosil 2.0, and Mg stearate 0.5 mg.

MSTR

G4 - 59

L10 ANSWER 16 OF 18 MARPAT COPYRIGHT 2000 ACS (Continued) 5G(O)-CH2-G11

= alkylcarbonyloxy<(1-3)>
= 66 G8 G12

Ģ4 6€\ 68

- 33 <RC (1), RS (1) M5 (1) X6, EC (0-) O (1-) N (0-) S (0) OTHERQ, AN (1) N, ED (ALL) SE> and acid addition salts GGA

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L10 ANSWER 17 OF 18 HARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 110:95624 MARPAT
TITLE: Preparation of novel 11-arylestrane and
11-arylpregnane derivatives as antiprogestins
  with low
                                                                       or no antiglucocorticoid activity
Groen, Marinus Bernard De Jongh, Hendrik Paul
AXZO N. V., Neth.
Eur. Pat. Appl., 11 pp.
CODEN: EXXXVW
  INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
  DOCUMENT TYPE:
  FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                PATENT NO.
                                                               KIND DATE
                                                                                                                         APPLICATION NO. DATE
EP 289073
EP 289073
ER 187, BE, CP
AT 69820
ES 2045082
28 8802643
FI 8801826
FI 880396
FI 880396
US 4871724
CA 1297472
DK 8802218
DK 168294
AU 8815072
AU 608831
JP 63280097
CN 88102416
CN 1019978
PRIORITY APPLIN. INFO.:
                                                                         A1
B1
                                                                                                                         EP 1988-200689
                                                                                                                                                                       19880412
                                                         CH, DE,
E
T3
A
B
C
A
A1
A
B1
A1
B2
A2
A
                                                                                                                         US 1988-183851
CA 1988-564606
DK 1988-2218
                                                                                                                         AU 1988-15072
                                                                                                                                                                         19880422
                                                                                                                         JP 1988-100010
CN 1988-102416
             CN 1019978 B 19930303

RITY APPLN. INFO: NL 1987-970 19870424

EP 1988-200689 19880412

The title compds. [I; R1 = aminoaryl; R2 = C1-4 alkyl; R3 = H, OH, substituted (unsatd.) C1-8 hydrocarbyl; R4 = OH, acyloxy, substituted acyl; R3R4 = atoms to complete a ring; R5 = C1-4 hydrocarbyl] useful
as antiprogestins (no data) were prepd.

5.alpha., 6.alpha.-Epoxy-11.beta.-
hydroxyestrane-3,17-dione-3,17-diethylene acetal (prepn. given) was treated with MeMgCl in PhMe/THF and the product was dehydrated with PCCl3/pyridine to give
6-beta.-methylestra-5(10), 9(11)-diene-3,17-dione-3,17-diethylene acetal. The latter was converted in several steps to
 11.beta.-[4-(dimethylamino) phenyl]-17.beta.-hydroxy-17.alpha.-(3-hydroxy-1-propynyl)-6.beta.-methylestra-4,9-diene-3-one.
```

L10 ANSWER 18 OF 18 M	ARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER:	109:170799 MARPAT
TITLE:	Antiprogestinic
11.betaaryl-14.beta	estra-4,9-dien-
	3-one derivatives, a process for their
preparation,	
	and pharmaceuticals containing them
INVENTOR(S):	Loozen, Hubert Jan Jozef
PATENT ASSIGNEE(S):	AKZO N. V., Neth.
SOURCE:	Eur. Pat. Appl., 15 pp.
	CODEN: EPXXDW
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 277676	A1	19880810	EP 1988-200071	19880118
EP 277676	B1	19920304		
R: AT, BE,	CH, DE	, ES, FR, GB,	GR, IT, LI, NL, SE	
CA 1339570	A1	19971209	CA 1988-556625	19880115
ZA 8800317	Α.	19880928	ZA 1988-317	19880118
AT 73137		19920315	AT 1988-200071	
ES 2031991	T3	19930101	ES 1988-200071	
FI 8800257	A	19880724	FI 1988-257	
FI 89054		19930430		
FI 89054		19930810		
AU 8810669	Ä1	19880728	AU 1988-10669	19880121
AU 603637		19901122		
DK 8800304	A	19880724	DK 1988-304	19880122
DK 163307	В	19920217		
DK 163307	ċ	19920706		
CN 88100979		19880817	CN 1988-100979	19880122
CN 1030081	В	19951018		
		19880909	JP 1988-12431	19880122
US 5272140	λ	19931221		
PRIORITY APPLN. INFO.			NL 1987-157	
	•		EP 1988-200071	
			US 1988-146895	
30 0403			05 1900-140095	

Title steroids I [R1 = monosubstituted homo- or heterocyclic arvl; R2

C1-4 alkyl; R3, R4 = H, OH, C1-18 acyloxy, C2-8 alkoxyalkyl, C1-8

C1-12 alkoxy, (un) satd. (un) substituted C1-8 hydrocarbyl; R3R4 = C1-6 alkylidene, or atoms needed to form ring; .DELTA.16 optionally

present, with R3 or R4 absent], having strong antiprogestinic activity, are prepd.
Estrone 3-Me ether was brominated, dehydrobrominated, and

17-position

give the isomeric 14.beta.-estrone 3-Me ether. This underwent NaBH4 redn., Birch redn., hydrolysis, and bromination-dehydrobromination to

17.alpha.-hydroxy-14.beta.-estra-4,9-dien-3-one. The latter was ketalized at the 3-position, oxidized to the 17-one, alkynylated at the

L10 ANSWER 17 OF 18 MARPAT COPYRIGHT 2000 ACS

(Continued)

= 63 / 64 / 65

- alkylcarbonyloxy (SR (1-) G12)
- alkylcarbonyl (SO (1-) G10)
- 69 <(1-7)>
claim 1

ANSWER 18 OF 18 MARPAT COPYRIGHT 2000 ACS (Continued) by the tetrahydropyranyl ether of propargyl alc., epoxidized to the 5.alpha., 10.alpha.-epoxide, coupled with 4-(McZM)CGH4MgEr in the presence of CuCl, hydrogenated in the side chain, hydrolyzed and dehydrated, cyclized in the sidechain by tosylation in pyridine to give (dimethylaminophenyl)dihydrospiro(estradienefuran)one II. At 1 $\rm mg$ orally,
twice daily in pregnant rats on days 6-10, II caused 100% pregnancy
interception, but only slightly reversed dexamethasone-induced thymus redn. in rats. MSTR 18

= biphenylyl (SR) = 37 / 39

3§10-C (0)-G11

0 27 31 <(1-10)> 37 <(1-8)> claim 1

=> d ibib ab hitstr 1-6

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LI1 ANSWER 1 OF 6 USPATFULL

ACCESSION NUMBER: 1999:85613 USPATFULL

TITLE: Method for preparing

17.alpha.-acetoxy-11.beta.-(4-N, Accession Number: 17.alpha.-acetoxy-11.beta.-(4-N, Nc. Accession Numb
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L11 ANSWER 2 OF 6 USPATFULL ACCESSION NUMBER: 92:13 TITLE: 11.b ATFULL
92:13091 USPATFULL
11 .beta.-phenyl-gonanes, their manufacture and
pharmaceutical preparations containing them
Neef, Gunter, Berlin, Germany, Federal Republic of
Beier, Sybille, Berlin, Germany, Federal Republic INVENTOR(S): of Elger, Walter, Berlin, Germany, Federal Republic of Henderson, David, Berlin, Germany, Federal Republic of Otto, Eckard, Berlin, Germany, Federal Republic of Rohde, Ralph, Berlin, Germany, Federal Republic of Schering Aktiengesellschaft, Berlin and Bergkamen, Germany, Federal Republic of (non-U.S. corporation) PATENT ASSIGNEE(S): NUMBER DATE US 5089635 19920218 US 1986-827050 19860207 (6) PATENT INFORMATION: APPLICATION INFO.: NUMBER DE 1985-3504421 1985 DE 1985-3527517 1985 Utility Killos, Paul J. Millen, White & Zelano 45 PRIORITY INFORMATION: DE 1985-3527517 19850729

DOCUMENT TYPE: Utility
PRIMARY EXMINER: Killos, Paul J.

LEGAL REPRESENTATIVE: Millen, White & Zelano
NUMERR OF CLAIMS: 45

EXEMPLARY CLAIM: 1
LINE COUNT: 1284
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB 13-alkyl-11.beta.-phenyl-gonanes of general formula I ##STR1## AB 13-alkyl-11.beta.-pnenyr-yonenec - ,
wherein A
and B together stand for an oxygen atom, a CH.sub.2 group or a bond between carbon atoms 9 and 10, X is an oxygen atom or the hydroxyimino grouping N.about.OH, R.sub.l is a straight-chained or branched, saturated or unsaturated alkyl radical with up to 8 carbon atoms, which contains the grouping #85TR28# with X as described above, R.sub.2 is a methyl or ethyl radical in the .alpha. or .beta. position, R.sub.9, R.sub.10, R.sub.11 and R.sub.12 each stand for a hydrogen a hydroxy, alkyl, alkoxy or acyloxy group with 1 to 4 carbon atoms respectively or a halogen atom and R.sub.3 and R.sub.4 have a variety of meanings, have antigestagenic and antiglucocorticoid effects.
IT 105114-79-2P

(prepn. of, as antigestagen and antiglucocorticoid) 105114-79-2 USPATFULL

Absolute stereochemistry.

Benzaldehyde, 4-[(11.beta.,13.alpha.)-17-(acetyloxy)-3,20-dioxo-19-norpregna-4,9-dien-11-yl]- (9CI) (CA INDEX NAME)

L11 ANSWER 1 OF 6 USPATFULL (Continued)
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

L11 ANSWER 2 OF 6 USPATFULL (Continued)

L11 ANSWER 3 OF 6 USPATFULL (Continued)

R.sup.4, R.sup.6 and X are as defined above. IT 126690-26-4P 126690-29-7P 126784-99-4P

R.sup.1

L11 ANSWER 3 OF 6 USPATFULL (Continued)
(prepn. of, as antiglucocorticoid and/or (anti)progestogen)
RN 126690-26-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-dimethylamino)phenyl)-6-methyl-, (6.alpha.,11.beta.)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

RN 126690-29-7 USPATFULL CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-acetylphenyl)-, (11.beta:)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

INDEX NAME)

RN 126784-99-4 USPATFULL CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

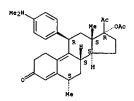
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L11 ANSWER 4 OF 6 USPATFULL
ACCESSION NUMBER: 90:69718 USPATFULL
TITLE: 11.beta.-substituted progesterone analogs
Cook, C. Edgar, Durham, NC, United States
Wani, Mansukh C., Research Triangle Park, NC,
 United
                                                     States
Lee, Y.-W, Chapel Hill, NC, United States
Reel, Jerry R., Delmar, NY, United States
Rector, Douglas, Raleigh, NC, United States
Research Triangle Institute, Research Triangle
 PATENT ASSIGNEE(S):
Park,
                                                      NC, United States (U.S. corporation)
                                                                NUMBER
                                                                                               DATE
                                                    US 1984-20 19900904
US 1988-210503 19880623 (7)
Utility
Lipovsky, Joseph A.
Oblon, Spivak, McClelland, Maier & Neustadt
31
PATENT INFORMATION:
APPLICATION INFO.:
DOCUMENT TYPE:
PRIMARY EXAMINER:
LEGAL REPRESENTATIVE:
NUMBER OF CLAIMS:
EXCMPLARY CLAIM:
NUMBER OF DRAWINGS:
LIME COUNTY
                                                  J<sup>1</sup> Drawing Figure(s); 1 Drawing Page(s)
NUMBER OF DAVISION: A ULTERING FAGURES, FOR THIS PATENT.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A 11.beta-aryl-19-norprogesterone steroid of the formula: ##STR1##
wherein (i) R.sup.1 is H, C.sub.1-4 alkyl, C.sub.2-4 alkenyl,
C.sub.2-4
               2-4 alkynyl, OH, OC(O)CH.sub.3, or OC(O)R.sup.5, wherein R.sup.5 is C.sub.2-8 alkyl, C.sub.2-8 alkenyl, C.sub.2-8 alkynyl or aryl,
 alkynyl, R.sup.3 is H, C.sub.1-4 alkyl, C.sub.2-4 alkenyl or C.sub.2-4 alkynyl, R.sup.4 is H, CH.sub.3, F or Cl, R.sup.6 is H, (CH.sub.3).sub.2
(CH.sub.3) -3ub.2
N. CH.sub.3 O, CH.sub.3 CO, CH.sub.3 S, CH.sub.3 SO, CH.sub.3
SO.sub.2,
and X is O or NOCH.sub.3 / or
                (ii) R.sup.1 and R.sup.2 taken together are a carbon-carbon bond and R.sup.3, R.sup.4, R.sup.6 and X are as defined above; or
                (iii) R.sup.1 and R.sup.3 taken together are --CH.sub.2 -- or --N.dbd.N--CH.sub.2 --, R.sup.2 is H and R.sup.4, R.sup.6 and X are
               defined above; or
                (iv) R.sup.2 and R.sup.3 taken together are .dbd.CH.sub.2 and
R. Sup. 4, R. Sup. 6 and X are as defined above.
IT 126690-26-49 126690-29-79 126784-99-49
          (prepn. of, as antiglucocorticoid and/or (anti)progestogen)
12650-26-4 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-
(dimethylamino)phenyl]-6-methyl-, (6.alpha.,11.beta.)- (9CI) (CA
```

L11 ANSWER 4 OF 6 USPATFULL (Continued)

Absolute stereochemistry.



RN 126690-29-7 USPATFULL CN 19-Morpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-acetylphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 126784-99-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 4 OF 6 USPATFULL (Continued)

L11 ANSWER 5 OF 6 USPATFULL

ACCESSION NUMBER: 90:23597 USPATFULL

NOVEL 11 . Deta.-alkynylphenyl-10-nor-steroids

THUENTOR(S): Teutach, Jean-George, Pantin, France

Klich, Michel, Villemomble, France

PATENT ASSIGNEE(S): ROUSSEL UCLE, France (non-U.S. corporation)

NUMBER DATE

PATENT INFORMATION: US 4912097 19900327

APPLICATION INFO:: US 1987-44958 19870430 (7)

NUMBER DATE

PRIORITY INFORMATION: FR 1986-6517 19860506

DOCUMENT TYPE: Utility

PRIMARY EXAMINER: Berch, Mark L.

LEGAL REPRESENTATIVE: Blerman & Muserlian

NUMBER OF CLAIMS: 21

EXEMPLARY CLAIM: 1,9

LINE COUNT: 2174

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB NOVEL 11. beta.-alkynylphenyl-19-nor-steroids of the formula ##STR1##

substituted

with at least one member of the group consisting of --OH halogen, trialkylsilyl of 1 to 6 alkyl carbon atoms, alkowy and alkylthio of 1 to

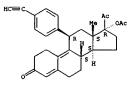
1 to

6 carbon atoms and dialkylamino of 1 to 6 alkyl carbon atoms having remarkably antiprogestomimetic and antiglucocorticoidal activity.

IT 116421-73-99 116421-74-0P (pepn. of, as drug)
RN 116421-73-9 USPATFULL
CN 19-Norpregna-4, 9-diene-3, 20-dione, 17-(acetyloxy)-11-(4-(1-propynyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 116421-74-0 USPATFULL CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-ethynylphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME) L11 ANSWER 5 OF 6 USPATFULL (Continued)
Absolute stereochemistry.



L11 ANSWER 6 OF 6 USPATFULL

ACCESSION NUMBER:

TITLE:

13.alpha.-alkyl-gonanes, their production, and pharmaceutical preparations containing same

INVENTOR(S):

Neef, Gunter, Berlin, Germany, Federal Republic of Wiechert, Rudolf, Berlin, Germany, Federal Republic of Beier, Sybille, Berlin, Germany, Federal Republic Elger, Walter, Berlin, Germany, Federal Republic of Henderson, David, Berlin, Germany, Federal Republic of PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Berlin and Bergkamen, Germany, Federal Republic of (non-U.S. corporation) NUMBER DATE

US 4780461 19881025
US 1985-810148 19851218 (6)
Continuation-in-part of Ser. No. US 1984-621308, PATENT INFORMATION: APPLICATION INFO.: RELATED APPLN. INFO.: filed on 15 Jun 1984, now abandoned NUMBER NUMBER

DE 1983-3321826

DE 1984-3413036

DE 1984-3446661

Utility
Schenkman, Leonard
Lipovsky, Joseph A.
Millen & White

41
18
310

LE FOR THIS PATENT. DATE 19830615 19840404 19841218 PRIORITY INFORMATION: DE 1984-3446661 19841218

DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Schenkman, Leonard
ASSISTANT EXAMINER: Lippovsky, Joseph A.
LEGAL REPRESENTATIVE: Millen & White
NUMBER OF CLAIMS: 41
LINE COUNT: 18
LINE COUNT: 18
LINE COUNT: SAVAILABLE FOR THIS PATENT.
AB 13.alpha.-alkylgonanes of formula I ##STR1## where R is an acyl radical
with as many as 10 C-atoms, and ${\tt X}$ is an oxygen atom or the grouping N--OH, have a strong antigestagenic effect and can be used for postcoital fertility control.

IT 95285-40-4P 95285-50-6P
(prepn. of, as postcoital contraceptive)

RN 95285-40-4 USPATFULL

NOTE: (dimethylamino)phenyl]-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME) NAME)

Absolute stereochemistry.

RN 96285-50-6 USPATFULL (19,19-Dinorpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(inderhylamino)phenyl]-13-ethyl-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

=> d his

	(FILE 'HOME' ENTERED AT 12:17:50 ON 07 JAN 2000)
L1 L2 L3	FILE 'REGISTRY' ENTERED AT 12:17:55 ON 07 JAN 2000 STRUCTURE UPLOADED 0 S L1 25 S L1 FULL
L4	FILE 'USPATFULL' ENTERED AT 12:18:43 ON 07 JAN 2000 0 S L3
L 5	FILE 'CAPLUS' ENTERED AT 12:18:54 ON 07 JAN 2000 2 S L3
L6 L7 L8	FILE 'REGISTRY' ENTERED AT 12:57:23 ON 07 JAN 2000 STRUCTURE UPLOADED 1 S L6 41 S L6 FULL
L9	FILE 'CAPLUS! ENTERED AT 12:58:14 ON 07 JAN 2000 23 S L8
L10	FILE 'MARPAT' ENTERED AT 13:01:43 ON 07 JAN 2000 18 S L8 FULL
L11	FILE 'USPATFULL' ENTERED AT 13:04:11 ON 07 JAN 2000 6 S L8

Printed by EAST

UserID: BBadio

Computer: WS06692

Date: 01/07/2000

Time: 13:10

Document Listing

Document	Image pages	Text pages	Error pages	
US 4780461 A	6	2	0	
Total	6	2	0	

=> d ibib ab hitstr 1-8

t

L3 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2000 ACS ACCESSION NUMBER: 1999:576939 CAPLUS DOCUMENT NUMBER: 131:19985 Preparation of 20-keto-11.beta.-arylateroids and derivatives having agonist or antagonist hormonal properties Cook, C. Edgar: Kepler, John A.: Zhang, INVENTOR(S): Ping-sheng; Lee, Yue-wei; Tallent, C. Ray Research Triangle Institute, USA PCT Int. Appl., 95 pp. CODEN: PIXXD2 PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English

PATENT NO. ENT NO. KIND DATE APPLICATION NO. DATE

9945022 A1 19990910 WO 1999-US3732 19990305
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, WO 9945022 DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK. ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG. CI, CM, GA, GN, GW, ML, MR, NE, SN, 1D, TG
PRIORITY APPLN. INFO.: US 1998-35949
OTHER SOURCE(S): MARPAT 131:199885 PRIORITY APPLN ORITY APPIM. INFO.: US 1998-35949 1998U3U6 EBR SOURCE(S): MARPAT 131:199885 20-Keto-11.beta.-arylsteroids of formula I (X = 0, (substituted) NOH, 19980306 OH, etc., R1 = dialkylamino, imidazolyl, pyrrolyl, piperidino, etc., R2 -H, halo: R3 = H, Me, halo: R4 = H, acyloxy, (substituted) OH, alkyl, etc. , R5 = H, alkyl, halo, acyloxy, etc.] are prepd. which exhibit potent antiprogestational activity. Thus, II was prepd. from 17.alpha.-hydroxymethyl-3-methoxy-19-norpregna-1,3,5(10)-trien-20-one and 4-bromo-N,N-dimethylaniline in several steps. The affinity of II for the progesterone hormone receptor was IC50 of 0.7 nM. 240805-96-3P 240805-97-4P 240805-99-5P 240805-99-6P 240806-00-2P 240806-01-3P

L3 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2000 ACS (Continued) Absolute stereochemistry.

240805-99-6 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(benzoyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAM (CA INDEX NAME)

Absolute stereochemistry.

240806-00-2 CAPLUS /
19-Norpregna-4,9-diene-3,20-dione, 17-(1-oxopropoxy)-11-[4-(1-pyrrolidinyl)phenyl]-, (11/beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 240806-01-3 CAPLUS

L3 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2000 ACS 240806-02-4P 240805-03-5P 240805-04-6P 240806-05-8P 240805-12-6P 240805-44-4P (Continued) $\times \text{subu6-44-4P}$ RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic thetic
preparation); THU (Therapeutic use); BIOL (Biological study);
PREP (Preparation); USES (Uses)
(preph. of 20-keto-11.beta.-srylsteroids with antiprogestational activity)
240805-96-3 CAPLUS
19-Norprepara-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

240805-97-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-[10X0propoxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

240805-98-5 CAPLUS

19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17[(phenylacetyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

ANSVER 1 OF 8 CAPLUS COPYRIGHT 2000 ACS (Continued)
19-Norpregna-4,9-diene-3,20-dione, 11-(1-methyl-1H-indol-5-yl)-17-(1-oxopropoxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

240806-02-4 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, (acetyloxy)-11-(2,3-dihydro-1-methyl-1H-indo1-5-yl)-, (11.beta.)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

240806-03-5 CAPLUS 19-Morpregna-4,9-diene-3,20-dione, acetyloxy)-11-(4-methoxyphenyl)-, (11.beta.)- (9C1) (CA INDEX NAME)

L3 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2000 ACS (Continued)

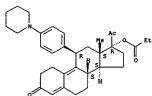
240806-04-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(1-pyrrolidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 240806-05-7 CAPLUS CN 19-Norpregna-4,9-diene-3,20-diene, 17-(acetyloxy)-11-(1-methyl-1H-indol-5-yl)-, (11.beta.)- (9CI) (CA INDEX NAME)

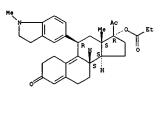
Absolute stereochemistry.

L3 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2000 ACS (Continued)



240806-44-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione,
2,3-di.hydro1-methyl-1H-indo1-5-y1)17-(1-oxopropoxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2000 ACS

RN 240806-06-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione,
17-(acetyloxy)-11-[4-(dimethylamino)-3-fluorophenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

240806-12-6 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(1-охоргороху)-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1998:646581 CAPLUS
DOCUMENT NUMBER: 130:20723
TITLE: Antiovulatory and postcoital antifertility

the antiprogestin CDB-2914 when administered as single, multiple, or continuous doses to rats Reel, Jerry R.; Hild-Petito, Sheri; Blye, Richard AUTHOR (S):

AUTHOR(S):

Reel, Jerry R., Hald-Petitor, Sherih Blye, Richard P.

CORPORATE SOURCE:

EIOQUAL, Inc., Rockville, MD, 20852-3336, USA
CODEN: COTTAN, ISSN: 0010-7824

FUBLISHER:

FUBLISHER:

Elsevier Science Inc.
Journal
LANGUAGE:

AB The present studies in rats were undertaken to investigate the potential

of a new antiprogestin, CDB-2914, for use as an emergency postcoital contraceptive for women. When given orally at noon on the day of proestrus, both CDB-2914 and mifepristone displayed dose-dependent antiovulatory activity however, CDB-2914 was about eight times more potent than mifepristone. Both antiprogestins were considerably less potent in blocking ovulation when injected s.c. To evaluate antifertility
activity during continuous low dose administration, rats were dosed orally

with 0.5 mg of either CDB-2914 or mifepristone daily, commencing on the

day of estrus and continuing for 24 days. Females were cohabited with proven fertile males on day 8 of treatment and were removed $1-3~{\rm days}$

after confirmed mating. The pregnancy rate was significantly reduced

in the CDB-2914-treated females; however, the mean no. of normal implantation sites per pregnant rat was significantly reduced by mifepristone as compared with the vehicle control group. CDB-2914 was also found to prevent pregnancy when administered orally after making

days 0-3 during tubal egg transport, or from days 4-6 during the pre-

peri-implantation periods. To det. the day of maximal sensitivity to CDB-2914, a single 2-mg dose per rat was given orally on days 0, 1, $^{\circ}$

4, or 5 postmating. This dose of CDB-2914 was without effect on

pregnancy at days 0, 1, 2, or 3 postmating. In contrast, 2 mg CDB-2914 per rat

highly effective in blocking pregnancy when given on either day 4 or 5 postmating. Collectively, these data demonstrate that CDB-2914 is an orally active postcoital antifactuity agent that is more potent than mifepriatone in the rat. Hence, CDB-2914 may prove to be an effective emergency postcoital contraceptive in vomen. 126784-99-4, CDB-2914

RE: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (antiovulatory and postcoital antifertility activity of antiprogestin

- L3 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2000 ACS (Continued)
 CDB-2914 compared to mifepristone as single, multiple, or
 continuous
 doses to rats)
 RN 126784-99-4 CAPLUS
 CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 8 CAPLUS COPYRIGHT 2000 ACS (Continued)
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1998:424125 CAPLUS
1998:424125 CAPLUS
129:S0105
11TLE: 129:S0105
Uses of anti-glucocorticoid compounds for the treatment of psychoses or addictive behaviors
Oberlander, Clauder Piazza, Pier Vincenzo
PATENT ASSIGNEE(S): Hochard Assignment (Assignment of psychoses)
SOURCE: Oberlander, Clauder Piazza, Pier Vincenzo
PCI Int. Appl., 41 pp.
COURS: PIXXD2
PATENT INFORMATION: COUNT: 2
French
PATENT INFORMATION: 2 DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9826783 A1 19980625 WO 1997-FR2320 19971217
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL. IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG. KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI. FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, GA, GN, ML, MR, NE, SN, TD, TG
FR 2757400 A1 19980626 FR 1996-15649 19961219
FR 2757400 B1 19991217
AU 9855632 A1 19980715 AU 1998-55632 19971217
EP 892641 A1 19990127 EP,1997-952078 19971217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PRIORITY APPLN. INFO.: IE, FI
PRIORITY APPLM. INFO::

FR 1996-15649
19971217

OTHER SOURCE(s):

MARPAT 129;50105
AB Glucocorticoid antagonists, except mifepristone, are used as dopamine type
II receptor antagonists to treat psychotic or addictive behavior. 17. beta.-hydroxy-10.beta-[(4-methylphenyl)methyl]-17.alpha.-(1-propynyl)estra-4,9(11)/dien-3-one considerably reduced the response to morphine in vivo.

126784-99RL: THU (Therspeutio use); BIOL (Biological study); USES (Uses) (use of anti-glucocorticoid compds. as dopamine type II receptor blocking agents for the treatment of psychoses or addictive viors) behaviors) RN 126784-99-4 CAPLUS L3 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER:
DOCUMENT NUMBER:
11997:745947 CAPLUS
128:19047
11TLE: from the provement of implantation rates after in vitro fertilization by administering a nitric oxide substrate and/or donor
INVENTOR(S): Chwalsz, Krzysztof, Garfield, Robert E.
Schering Aktiengesellschaft, Germany
PCT Int. Appl., 38 pp.
CODEN: PIXED2
DOCUMENT TYPE: English
FAMHLY ACC. NUM. COUNT: 1 LANGUAGE: Er
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION: PATENT NO. PATENT NO. KIND DATE APPLICATION NO. DATE

10 9741866 A1 19971113 W0 1997-EP2371 19970507
W: AL, AH, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, APPLICATION NO. DATE ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, S2, UG, AT, BE, CH, DE, DK, ES, FI, FR, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, ML, MR, NE, SN, TD, TG
AU 9728947 A1 19971126 AU 1997-28947 19970507
EP 906105 A1 19990407 EP 1997-923032 19970507
R: AT, BE, CH, DE, DX, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI CN 1218402 A NO 9805204 A PRIORITY APPLN. INFO.; CN 1218402 A 19990602 CN 1997-194452 19970507
NO 9805204 A 19990106 NO 1998-5204 19981106
PRIORITY APPLN. INFo.: US 1996-646518 199605507
WO 1997-P22771 19970507
AB A method is provided for the improvement of implantation rates and/or pregnancy rates in a female mammal, comprising administering to a female le mammal in whom pregnancy is desired an effective amt. of: (a) a nitric oxide synthase substrate, a nitric oxide donor, or both, optionally in combination with, (b) a progestin, and, (c) optionally, in further combination with an estrogen. A method is also provided for fertility control for a female mammal, comprising administering to a female in whom pregnancy is not desired and at risk of becoming pregnant an effective amt. of nitric oxide synthase inhibitor in combination with antiprogestin. Pharmaceutical compns. are also provided. 126784-99-4, CDB2914 IT RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

ANSWER 4 OF 8 CAPLUS COPYRIGHT 2000 ACS (Continued)
(fertility control using a nitric oxide synthase inhibitor in
combination with an antiprogestin)
126784-99-4 CAPLUS
19-Nocpregna-4,9-diene-3,20-diene, 17-(acetyloxy)-11-(4(dimethylamino)phenyl]-, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2000 ACS (Continued) endometriosis; to treat dysmenorrhea; to treat endocrine

endometriosis; to treat dysmenorrnea; to treat endocrine hormone-dependent tumors; to treat uterine fibroids; to inhibit uterine endometrial proliferation; to induce labor; and for contraception. Thus, II/was prepd. from 3,3-ethylenedioxy-17.beta.-cyano-17.alpha.-hydroxyestra-5(10),9(11)-diene and 4-bromo-N,N-dimethylaniline in 9 steps. // showed

ed
2.79 times the antiprogestational potency in the antiClauberg test
compared to CDB-2914.
198414-91-29 198414-91-2P
RL: BAC (Biological activity or effector, except adverse);/RCT

ctant);
SPM (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of progesterone derivs. as antiprogestational agents); 198414-07-2 CAPLUS
198414-07-2 CAPLUS
19-Norprepana-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-{4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-31-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-,/(11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-03-89 198414-05-09 198414-11-89 198414-22-19 198414-33-49 198414-34-59 198414-39-09 198414-43-69

L3 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1997:740250 CAPLUS
DOCUMENT NUMBER: 127:358992
TITLE: Preparation of 21-substituted progesterone/ derivatives as new antiprogestational agents
Kim, Hyun K., Blye, Richard P., Rao, Pemmaraju N.,
Cessac, James W., Acosta, Carmie K.,
United States Dept. of Health and Human Services,
Kim, Hyun K., Blye, Richard P., Rao, Pemmaraju N.,
Cessac, James W., Acosta, Carmie K.
PCT Int. Appl., 65 pp.
CODEN: PIXXU2
Patent
English INVENTOR(S): PATENT ASSIGNEE(S): USA; SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE WO 9741145 A1 19971106 WO 1997-US7373 19970430
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,

DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, DE. ĸz. LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL. PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ. VN, YU., AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,/IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, ML, MR, NE, SN, TD, TG
CA 2253673 AA 19971106 CA 1997-2253673 19970430
AU 9729304 A1 19971119 AU 1997-23304 19970430
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PRIORITY APPLN. IMFO.:

US 1996-16628 19960501

WO 1997-US7373 19970430

OTHER SOURCE(s): MARPAT 127:358992

AB/ Progesterone derivs. of formula I [R] = OMe, SMe, NMe2, NHMe, CHO, Ac, / CHORCH3, R2 = halo, alkyl, acyl, OH, alkoxy, etc.; R3 = OH, alkyl, alkoxy, Alkoxy, R4 = H, alkyl; X = O, (substituted) NOH] are prepd. as antiprogestational agents. The present invention provides methods wherein
the compds. of formula I are advantageously used, inter alia, to
antagonize endogenous progesterone; to induce menses; to treat

L3 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2000 ACS (Continued) RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic

thetic
preparation); THU (Therapeutic use); BIOL (Biological study);
PREP (Preparation); USES (Uses)
(prepn. of progesterone derivs. as antiprogestational agents)
198414-03-8 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4(dimethylamino)phenyl]-21-fluoro-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-05-0 CAPLUS 19-Norpregna-4,9-diena-3,20-dione, 17-(acetyloxy)-21-chloro-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

198414-11-8 CAPLUS To Soute-11-6 CARDOS

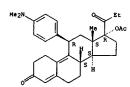
N 19-Norpegna-4, 9-diene-3, 20-dione,

17-(acetyloxy)-21-(acetylthio)-11-[4-(dimethylamino)phenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

L3 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2000 ACS (Continued)

RN 198414-22-1 CAPLUS
CN Estra-4,9-dien-3-one,
17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(1oxopropyl)-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

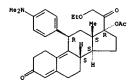
Absolute stereochemistry. Rotation (+).



198414-33-4 CAPLUS 13-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(3-cyclopentyl-1-oxopropoxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX

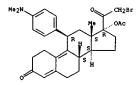
Absolute stereochemistry.

L3 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2000 ACS (Continued)



198414-43-6 CAPLUS 19-Worpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-bromo-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2000 ACS (Continued)

198414-34-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

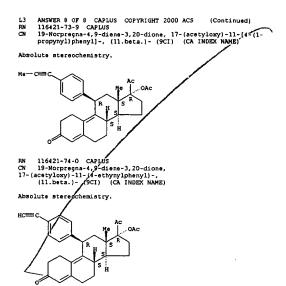
Absolute stereochemistry.

198414-39-0 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

ANSWER 6 OF 8 CAPLUS COPYRIGHT 2000 ACS ESSION NUMBER: 1996:540408 CAPLUS UMENT NUMBER: 125:238850 ACCESSION NUMBER: Effects of two antiprogestins on early pregnancy TITLE: the long-tailed macaque (Macaca fascicularis) Tarantal, Alice F.; Hendrickx, Andrew G.; Matlin, Stephen A.; Lasley, Bill L.; Gu, Quin-Quin; AUTHOR(S): Thomas. Charles A.A.; Vince, Pamela M.; Van Look, Paul F.A. CORPORATE SOURCE: California Regional-Primate Research Center, University of California, Davis, CA, 95616, USA Contraception (1996), 54(2), 107-115 CODEN: CEPTAY: ISSN: 0010-7824 Journal English SOURCE: DOCUMENT TYPE: DOCUMENT TYPE: Journal LANGUAGE: English As The abortifacient effects of mifepristone and HRP 2000 were compared gravid long-tailed macaques. Thirty-six animals were studied with treatment administered either by the oral (0.5 or 5.0 mg/kg; N = 5 per antiprogestin per dose) or i.m. (IM) routes (0.5 mg/kg; N = 5 per antiprogestin) on gestational days (GD) 23-26; six vehicle controls included. Blood samples were collected for assay of progesterone (P4) and each of the antiprogestins (pre-treatment, daily GD 23-28, every each of the antiprovession (product of the day of the d (4/5, 2/5, resp.), with less effects noted at oral doses of 0.5 mg/kg (2/5, 0/5, resp.). No early abortions were obsd. in the control groups.

Following daily IM treatment, peak levels of 8-16 ng/mL mifepristone detected whereas 6-10 ng/mL of HRP 2000 were noted (GD 26-27). No levels of mifepristone were detected following either of the oral whereas serum levels of 2-6 ng/mL HRP 2000 were noted with high dose administration. Results of these studies suggest: (1) both antiprogestins are roughly comparable in terminating early pregnancy although HRP may be more efficacious when administered IM whereas mifepristone may be more effective when administered orally: (2) similar levels of biol. activity are seen with the IM and high dose oral dosing regimens, with little or no activity with the oral low dose; and (3) infants resulting from surviving pregnancies were not affected by early gestation

RL: BPR (Biological process); THV (Therapeutic use); BIOL



=> d all 1-6

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L4 ANSWER 1 OF 6 BEILSTEIN COPYRIGHT 2000 BEILSTEIN CDES
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Beilstein Reg. No. (BRN): 7958451 Beilstein Molecular Formula (MF): C31 H39 N O4 Autonom Name (AUN): a 17-acetyl-11-(4-dimethylamino no-pneny1,-13-ethyl-3-oxo-2,3,6,7,8,11,12,13,14,15,16,17-dodecahydro-1H-cyclopenta<a>phenanthren-17-yl

ester Beilstein Reference (SO): General Comments (NTE): Formula Weight (FW): Lawson Number (LN):

6-14 Stereo compound 489.65 15935; 2817; 1155

Ring System Data:

Number of Rings (CNR): 5 Ring Systems (CNRS): 2 Diff. Ring Systems (CNDRS): 2 Ring Heteros (CNRH): 0 Acyclic Heteros (CNAH): 5

Beilstein Ring Index (BRIX)	- 1	(RF)	-	i	BRIX Count
17.4.32-0.0-2.20 6.1.0-0.0-3.1		C17 C6	,	 1	1

Biological Function: BF in vitro relative binding affinities for progesterone and glucocorticoid

```
1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun
       Steroids, 63 <1998> 1, 50-57, LA: EN, CODEN: STEDAM
NMR Absorption:
NMRA
     Nucl: 1H
Solv: CDC13
Reference(s):
1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun
       Steroids, 63 <1998> 1, 50-57, LA: EN, CODEN: STEDAM
Infrared Maximum:

IRN 2943 - 1610 cm**-1

Solv: KBr
Reference(s):

1. Rao, Pemmaraju N., Cessac, James W., Elye, Richard P., Kim, Hyun
        Steroids, 63 <1998> 1, 50-57, LA: EN, CODEN: STEDAM
```

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L4 ANSWER 1 OF 6 BELLSTEIN COPYRIGHT 2000 BELLSTEIN CDAS (Continued) receptors; in vivo progestational (Clauberg), and antiprogestational (anti-Clauberg) no activity in immature New Zeland withe rabbits (p.o)
         1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun
             Steroids, 63 <1998> 1, 50-57, LA: EN, CODEN: STEDAM
Preparation:
PRE
Start: BRN=506007 acetic acid, BRN=7954622
13.beta.-ethyl-11.beta.-<4-
(N, N-dimethylamino) phenyl>-17. alpha. -hydroxy-18, 19-dinorpregna-4,9-diene-3,20-dione
        Clene-3,20-Glone

Reag: 1.) trifluoroacetic anhydride, 2.) p-TsOH*H2O

Detail: 1.) CH2C12, RT, 30 min, 2.) CH2C12, 0 deg C, 1 h

Reference(s):

1. Rao, Pemmeraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun
            Steroids, 63 <1998> 1, 50-57, LA: EN, CODEN: STEDAM
        Note(s):
2. Yield given. Multistep reaction
CTCPL Coupling Phenomena: Spin-spin coupling constants
Reference(s):
1. Rao, Pemmaraju N.:-Cessac, James W.: Blye, Richard P.: Kim, Hyun
       Steroids, 63(1998> 1, 50-57, LA: EN, CODEN: STEDAM Note(s):
2. 1H-1H. Solvent(s): CDC13
Melting Point:
 Value
(MP)
(Cel)
                           |Solv. |Ref.| Note
|(.SOL) | |
| | |
233.00 - 236.00 |CH2C12 |1 | 1
```

Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K., Steroids, 63 <1998> 1, 50-57, LA: EN, CODEN: STEDAM

Notes(s):
1. Crystallization with 0.25 Mol(s) H20

Optical Rotatory Power: ORP 210.730 deg Type: <alpha> Conc: 1.03 g/100ml

Reference(s):

L4 ANSWER 2 OF 0 Land Belistein Reg. No. (BRN): 7958075 Beilstein Molecular Formula (MF): C30 H36 O4 S Autonom Name (AUN): acetic acid 17-acetyl-13-ethyl-11-(4-methylsulTanyl-phenyl)-3-oxo-2,3,6,7,8,11,12,13,14,15,16,17-dodecahydro-1H-cyclopenta<a>phenanthren-17-yl ester Beilstein Reference (SO): General Comments (NTE): Formula Weight (FW):

Stereo compound 492.67 9938; 1155; 292 Lawson Number (LN):

Ring System Data:

Number of Rings (CNR): 5
Ring Systems (CNRS): 2
Diff. Ring Systems (CNDRS): 2
Ring Heteros (CNRH): 0
Acyclic Heteros (CNAH): 5

Beilstein Ring Index (BRIX)	ł	(RF)	-	i	BRIX Count
17.4.32-0.0-2.20 6.1.0-0.0-3.1	i	C17 C6		 	1

Atom/Bond Notes: 1. CIP Descriptor: R 2. CIP Descriptor: S

Biological Function:
BF in vitro relative binding affinities for progesterone and glucocorticoid
receptors; in vivo progestational activity (Clauberg), and in vivo antiprogestational (anti-Clauberg) no activity in immature New Zeland withe rabbits (p.o)
Reference(s):
1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K.;

NMR Absorption: NMRA Nucl: 1H

Solv: CDC13 Reference(s):

```
Preparation:
 Start: BRN=506007 acetic acid, BRN=7953710
13.beta.-ethyl-11.beta.-<4-
 (methylthio)phenyl>-17.alpha.-hydroxy-18,19-dinorpregna-4,9-diene-
3,20-dione
Reag: 1.) trifluoroacetic anhydride, 2.) p-TsOH*H2O
Detail: 1.) CH2Cl2, RT, 30 min, 2.) CH2Cl2, 0 deg C, 1 h
            Reference(s):
1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun
                Steroids, 63 <1998> 1, 50-57, LA: EN, CODEN: STEDAM
           Note(s):
2. Yield given. Multistep reaction
 CTCPL Coupling Phenomena: Spin-spin coupling constants
Reference(s):
1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun
                Steroids, 63 <1998> 1, 50-57, LA: EN, CODEN: STEDAM
           Note(s):
2. 1H-1H. Solvent(s): CDC13
 Melting Point:
    Value
                                ISolv.
                                                          [Ref.| Note
    (MP)
                                 (.SOL)
    (Cel)
  270.00 - 275.00 |ethyl acetate|1
 Reference(s):

1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K., Steroids, 63 <1998> 1, 50-57, LA: EN, CODEN: STEDAM
 Notes(s):
1. Crystallization with 0.125 Mol(s) H20
Optical Rotatory Power:
ORP 213.900 deg
    Type: <alpha>
    Conc: 1.01 g/100ml
    Len: 10.0 nm
    Solv: CHC13
    Wavel: 589.00 nm
    Temp: 26.0 Cel
    Reference(s):
L4 ANSWER 3 OF 6 BEILSTEIN COPYRIGHT 2000 BEILSTEIN CDES
Beilstein Reg. No. (BRN): 7957866 Beilstein
Molecular Formula (MF): C31 H36 O5
17. alpha.-acetcxy-13.beta.-ethyl-11.beta.-(4-acetylphenyl)-18,19-dinorpregna-4,9-diene-3,20-dione
Autonom Name (AUN): acetic acid
17-acetyl-11-(4-acetyl-phenyl)-13-ethyl-
3-oxo-2,3,6,7,8,11,12,13,14,15,16,17-dodecahydro-1H-
cyclopenta<a>phenanthren-17-yl ester
6-08
General Comments (NTE):
Formula Weight (FW):
Lawson Number (LN):

5-08
Stereo compound
88.62
9954; 1155
Ring System Data:
Number of Rings (CNR): 5
Ring Systems (CNRS): 2
Diff. Ring Systems (CNDRS): 2
Ring Heteros (CNRH): 0
Acyclic Heteros (CNAH): 5
 Beilstein Ring Index | Ring System Formula | BRIX
(BRIX) | (RF) | Count
 17.4.32-0.0-2.20
6.1.0-0.0-3.1
                                       | C17
```

L4 ANSWER 2 OF 6 BEILSTEIN COPYRIGHT 2000 BEILSTEIN CDAS Steroids, 63 <1998> 1, 50-57, LA: EN, CODEN: STEDAM

```
Preparation:
PRE
                                                                                                                                             Start: BRN=506007 acetic acid, BRN=7953599
13.beta.-ethyl-11.beta.-{4-
                                                                                                                                                     Note(s):
2. Yield given. Multistep reaction
                                                                                                                                                    Note(s):
2. 1H-1H. Solvent(s): CDC13
                                                                                                                                             Melting Point:
                                                                                                                                              Value
(MP)
(Cel)
                                                                                                                                                                        ISolv.
                                                                                                                                                                                                 |Ref. | Note
                                                                                                                                                                         (.SOL)
                                                                                                                                              268.00 - 270.00 |CH2C12, diethyl!1
                                                                                                                                             Reference(s):
                                                                                                                                            Notes(s):
1. Decomposition
      Atom/Bond Notes:

1. CIP Descriptor: F

2. CIP Descriptor: S
                                                                                                                                            Optical Rotatory Power:
ORP 184.400 deg
Type: <alpha>
Conc: 1.03 g/100ml
Len: 10.0 nm
Solv: GEC13
Biological Function:
BF in vitro relative binding affinities for progesterone and
glucocorticoid
                                                                                                                                                    Solv: CHC13
Wavel: 589.00 nm
```

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ANSWER 3 OF 6 BELLSTEIN COPYRIGHT 2000 BELLSTEIN CDas (Continued) receptors; in vivo progestational (Clauberg), and antiprogestational (anti-Clauberg) no activity in immature New Zeland withe rabbits (p.o) Reference(s):

1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun
           Steroids, 63 <1998> 1, 50-57, LA: EN, CODEN: STEDAM
acetylphenyl)-17.alpha.-hydroxy-18,19-dinorpregna-4,9-diene-3,20-
       dione

Reag: 1.) trifluoroacetic anhydride, 2.) p-TsOH*H2O

Detail: 1.) CH2C12, RT, 30 min, 2.) CH2C12, 0 deg C, 45 min
       Reference(s):
1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun
            Steroids, 63 <1998> 1, 50-57, LA: EN, CODEN: STEDAM
CTCPL Coupling Phenomena: Spin-spin coupling constants
       Reference(s):
1. Rao, Pemmaraju N., Cessac, James W., Blye, Richard P., Kim, Hyun
           Steroids, 63 <1998> 1, 50-57, LA: EN, CODEN: STEDAM

    Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K.,
Steroids, 63 <1998> 1, 50-57, LA: EN, CODEN: STEDAM
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L4 ANSWER 2 OF 6 BEILSTEIN COPYRIGHT 2000 BEILSTEIN CDES (Continued) 1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun

1. Rao, Pemmaraju N., Cessac, James W., Blye, Richard P., Kim, Hyun Steroids, 63 <1998> 1, 50-57, LA: EN, CODEN: STRDAM

Infrared Maximum:
IRM 2948 - 1595 cm*-1
Solv: XBr
Reference(s):
1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun

Steroids, 63 <1998> 1, 50-57, LA: EN, CODEN: STEDAM

Steroids, 63 <1998> 1, 50-57, LA: EN, CODEN: STEDAM

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L4 ANSWER 3 OF 6 BEILSTEIN COPYRIGHT 2000 BEILSTEIN CDAS (Continued)
Temp: 2.6.0 Cel
Reference(s):
1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun
K.,
Steroids, 63 <1998> 1, 50-57, LA: EN, CODEN: STEDAM
NNRA Absorption:
NNRA Absorption:
NNC1: 1H
Solv: CDC13
Reference(s):
1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun
K.,
Steroids, 63 <1998> 1, 50-57, LA: EN, CODEN: STEDAM
Infrared Maximum:
INM 2951 - 1596 cm*-1
Solv: KBr
Reference(s):
1. Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun
K.,
Steroids, 63 <1998> 1, 50-57, LA: EN, CODEN: STEDAM
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L4 ANSWER 4 OF 6 BELLSTEIN COPYRIGHT 2000 BELLSTEIN CDAS (Continued)

2. CIP Descriptor: S

Biological Function:
BF in vitro relative binding affinities for progesterone and glucocoticoid receptors in vivo antiprogestational activity (anti-Clauberg) in immature

New Zeland withe rabbits (p.o)
Reference(s):
1. Rao, Pemmaraju N., Cessac, James W., Blye, Richard P., Kim, Hyun

K.,
Steroids, 63 <1998> 1, 50-57, LA: EN, CODEN: STEDAM

BF agonistic activity in female breast cancer cells BT-474 and T47-D (by measuring amount of prostate-specific antigen (PSA) gene);
antagonistic
activity in T47-D cells (blocking of norgestrel, norgestimate and dihydrotestosterone activities)
Reference(s):
1. Rao, Pemmaraju N., Wang, Zhiqiang; Cessac, James W., Rosenberg, Rachel
S., Jenkins, David J. A., Diamandis, Eleftherios P., Steroids, 63 <1998> 10, 523-530, LA: EN, CODEN: STEDAM

L4 ANSWER 4 OF 6 BEILSTEIN COPYRIGHT 2000 BEILSTEIN CD4S

Beilstein Reg. No. (BRN): 6946364 Beilstein
Molecular Formula (MF): C30 H37 N O4
Autonom Name (AUN): acetic acid
17-acetyl-11-(4-dimethylamino-phenyl)13-methyl-3-oxo-2,3,6,7,8,11,12,13,14,15,16,17dodecahydro-1H-cyclopenta<a>phenanthren-17-yl
ester
Beilstein Reference (SO): 6-14
General Comments (MTE): Stereo compound
Rltd. Stereoisomer (RSI): 5673666
Formula Weight (FW): 475.63
Lawson Number (LN): 15934, 2817, 1155

Ring System Data:

Number of Rings (CNR): 5
Ring Systems (CNR): 2
Diff. Ring Systems (CNDR): 2
Ring Heteros (CNRH): 5
Acyclic Heteros (CNRH): 5
Beilstein Ring Index | Ring System Formula | BRIX
(BRIX) | (RF) | Count

17.4.32-0.0-2.20 | C17 | 1
6.1.0-0.0-3.1 | C6 | 1

Aton/Bond Notes:

1. CIP Descriptor: R

L4 ANSWER 5 OF 6 BEILSTEIN COPYRIGHT 2000 BEILSTEIN CDES

Beilstein Reg. No. (BRN): 6945949 Beilstein
Molecular Formula (HF): C29 H35 N O4
Synonym (SY): 17-acetoxy-11.beta.-(4-N-methylaminophenyl)-19norpregna-4,9-diene-3,20-dione
acetic acid
17-acetyl-13-methyl-11-(4-methylaminophenyl)-3-oxo-2,3,6,7,8,11,12,13,14,15,16,17dodecahydro-1H-cyclopenta<a>phenanthren-17-yl

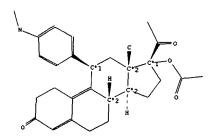
ester

Beilstein Reference (SO):
General Comments (NTE):
Formula Weight (FW):
Lawroon Number (LN):
1534; 2817; 1155

Ring System Data:

Number of Rings (CNR):
Ring Systems (CNRS):
Diff. Ring Systems (CNDRS):
Ring Heteros (CNRH):
Acvelic Heteros (CNAH):

Beilstein Ring Index (BRIX)	1	(RF)	-	i	BRIX Count
17.4.32-0.0-2.20 6.1.0-0.0-3.1	1	C17 C6		 -+: 	1



Atom/Bond Notes:
1. CIP Descriptor: R
2. CIP Descriptor: S

Preparation:
PRE
Start: BRN-6946364
17-acetoxy-11.beta.-(4-N,N-dimethylaminophenyl)-19-

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L4 ANSWER 5 OF 6 BEILSTEIN COPYRIGHT 2000 BEILSTEIN CDAS (Continued)
notpregna-4,9-diene-3,20-diene
Reag: 12, CaO
Solv: tetrahydrofuran, methanol
Reference(s):
1. Acosta, Kirk; Cessac, James W., Rao, P. Narasimha; Kim, Hyun K.,
J.Chem.Soc.Chem.Commun., <1994> 17, 1985-1986, LA: EN, CODEN:
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L4 ANSWER 6 OF 6 BEILSTEIN COPYRIGHT 2000 BEILSTEIN CDAS

Beilstein Reg. No. (BRN): 5673666 Beilstein
Molecular Formula (MF): C30 H37 N 04
Synonya (SY):
17. alpha.-acetoxy-11.beta.-(4-dimethylaminophenyl)-
13. alpha.-methyl-18,19-dinor-pregna-4,9-diene-3,20-
dione
Autonom Name (AUN): acetic acid
17-acetyl-11-(4-dimethylamino-phenyl)-
13-methyl-3-oxo-2,3,6,7,8,11,12,13,14,15,16,17-
dodeahydro-1H-cyclopenta<a>phenanthren-17-yl
ester
Beilstein Reference (SO): 6-14
General Comments (NTE): Stereo compound
CAS Reg. No. (RN): 9625-40-4; 126784-99-4
Rltd. Stereoiomomers (RSI): 6946364
Formula Weight (FW): 475.63
Lawson Number (LN): 15934; 2817; 1155

Ring System Data:

Number of Rings (CNR): 5
Ring Systems (CNRS): 2
Rlff. Ring Systems (CNRS): 2
Rlff. Ring Systems (CNRS): 2
Rlnff. Ring Systems (CNRS): 3
Beilstein Ring Index | Ring System Formula | BRIX (BRIX) | (RF) | (Count
17.4.32-0.0-2.20 | C17 | 1
6.1.0-0.0-3.1 | C6 | 1
```

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Atom/Bond Notes:

1. CIP Descriptor: R
2. CIP Descriptor: S
Biological Function:
BF reversal of dexamethasone induced tyrosine aminotransferase activity in rat hepatoma cells (antiglucocorticoid activity)
Reference(s):

1. Neef, Guenter: Beier, Sybiller Elger, Walter: Henderson, David, Wiechert, Rudolf, Steroids, 44 <1984> 4, 349-372, LA: EN, CODEN:
STEDAM
Preparation:
PRE
Start: BRN-5657948
11.beta.-(4-dimethylaminophenyl)-17.alpha.-hydroxy-
13.alpha.-methyl-18.19-dinor-pregha-4,9-diene-3,20-dione, Reag: 4-dimethylaminopyridine
Time: 14 hour(s)
Yield: 93.00 %
Solv: toluene
Ambient Temperature
Reference(s):
1. Neef, Guenter: Beier, Sybiller, Elger, Walter: Henderson, David, Wiechert, Rudolf, Steroids, 44 <1984> 4, 349-372, LA: EN, CODEN:
STEDAM
```

|Ref.

Melting Point: Value (MP)

| Solv. | (.SOL)

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LA ANSWER 6 OF 6 BEILSTEIN COPYRIGHT 2000 BEILSTEIN CDAS (Continued)
(Cel)

194.00 - 195.00 (ethyl acetate, 1
thexane

Reference(s):
1. Neef, Guenter, Beier, Sybille; Elger, Walter; Henderson, David; Wischert,
Rudolf, Steroids, 44 <1984> 4, 349-372, LA: EN, CODEN: STEDAM

Optical Rotatory Power:
ORP 372.300 deg
Type: Calphay
Conc: 0.39 g/100ml
Solv: CHC13
Wavel: 589.00 nm
Temp: 25.0 Cel
Reference(s):
1. Neef, Guenter; Beier, Sybille; Elger, Walter; Henderson, David;
Wiechert, Rudolf, Steroids, 44 <1984> 4, 349-372, LA: EN, CODEN:
STEDAM

NMR Absorption:
NMRA
Nucl: IH
Solv: CDC13
Reference(s):
1. Neef, Guenter; Beier, Sybille; Elger, Walter; Henderson, David;
Wiechert, Rudolf, Steroids, 44 <1984> 4, 349-372, LA: EN, CODEN:
STEDAM

Infrared Maximum:
IRM 1736 - 1612 cm*-1
Solv: KBr
Reference(s):
1. Neef, Guenter; Beier, Sybille; Elger, Walter; Henderson, David;
Wiechert, Rudolf, Steroids, 44 <1984> 4, 349-372, LA: EN, CODEN:
STEDAM
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=> d his

(FILE 'HOME' ENTERED AT 13:14:23 ON 07 JAN 2000)

FILE 'REGISTRY' ENTERED AT 13:14:38 ON 07 JAN 2000 ACTIVATE K2132/A

L1 STR L2 41 SEA FILE=REGISTRY SSS FUL L1

FILE 'CAPLUS' ENTERED AT 13:15:01 ON 07 JAN 2000 L3 8 S L2/THU

FILE 'BEILSTEIN' ENTERED AT 13:16:58 ON 07 JAN 2000 L4 6 S L1 FULL

=> log y

=> d ibib ab hitstr 1-3 16

L6 ANSWER 1 OF 3 USPATFULL
ACCESSION NUMBER: 2002:43584 USPATFULL
21-SUBSTITUTED PROGESTERONE DERIVATIVES AS NEW ANTIPROCESSIATIONAL AGENTS
INVENTOR(S): KIH, HYUN K., BETHESDA, HD, UNITED STATES RAO, FEDWARADU N., SAN ANTONIO, TX, UNITED STATES CESSAC, JAMES W., SAN ANTONIO, TX, UNITED STATES ACOSTA, CARMIE K., SAN ANTONIO, TX, UNITED STATES KIND DATE NUMBER US 2002025951 A1 20020228
US 1999-180132 A1 19990524 (9)
WO 1997-US7373 19970430
ULILITY
APPLICATION
EUGENIA GARRETT WACKOWSKI, TOWNSEND AND TOWNSEND AND
CREW, TWO EMBARCADERO CENTER, 8TH FLOOR, SAN FRANCISCO,
CA, 94111
36 PATENT INFORMATION: APPLICATION INFO.: DOCUMENT TYPE: LEGAL REPRESENTATIVE: NUMBER OF CLAIMS: 36
EXEMPLARY CLAIM: 1
NUMBER OF BRANINGS: 3 Drawing Page(#)
LINE COUNT: 2185
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A compound having the general formula: ##STR1## in which: R.sup.1 is a member selected from the group consisting of --OCH.sub.3, --SCH.sub.3, --N(CH.sub.3).sub.2, --NICH.sub.3, --CHO, --OCH.sub.3 and --CHONCH.sub.3; R.sup.2 is a member selected from the group consisting of halogen, alkyl, acyl, hydroxy, alkoxy, acyloxy, alkyl carbonate, cypionyloxy, S-alkyl and S-acyl; R.sup.3 is a member selected from the group consisting of alkyl, hydroxy, alkoxy and acyloxy; R.sup.4 is a member selected from the group consisting of hydrogen and alkyl; and X is a member selected from the group consisting of .sub. dabd.0 and .sub. dabd.N-OR.sup.5, wherein R.sup.5 is a member selected from the group consisting of hydrogen and alkyl. In addition to providing the compounds of Formula I, the prefent invention provides methods wherein the compounds of Formula i adadvantageously used, inter alia, to antagonize endogenous proges to induce menses, to treat endometriosis, to treat dyshoportheas treat endocrine hormone-dependent tumors, to treat uterine fibration inhibit uterine endometrial proliferation, to induce sabor; and contraception. II 198414-07-2P 198414-09-4P 198414-31-2P (prepn. of progesterone derivs. as antiprogestational agents)
198414-07-2 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-1i-(4(dimethylamino)phenyl)-, (11.beta.)- (9C1) (CA] INDEX, NAME) Absolute stereochemistry. ANSWER 1 OF 3 USPATFULL (Co. 198414-03-8 USPATFULL 19-Norpregna-4,9-diene-3,20 die (dimethylamino) pheny 1 - 21-f1 one, 17-(acetyloxy)-11-(4-ioro-, (11.beta.)- (9CI) (CA INDEX NAME) USPATRULL na-4,9-diene-3,20-dione, 17-(acetyloxy)-21-chloro-11-[4-lamino)phenyl-, (11.beta.)- (9CI) (CA INDEX NAME) 198414-CH2C1 198414-11-8 USPATFULL -Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(acetylthio)-11-[4-(dimethylamino)phenyl}-, (11.beta.)- (9CI) (CA INDEX NAME) solute stereochemistry. 198414-22-1 USPATFULL Estra-4,9-dien-3-one, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-, (11.beta.,17.alpha.)- (9C1) (CA INDEX NAME)

ANSWER 1 OF 3 USPATFULL (Continued) .OAc 198414-09-4 USPATEULL 19-Norpregna-4,9-diene-3,20-dione, 21-(acetylthio)-11-[4-(dimethylamino)phenyl)-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME) Absolute stereochemistry. OH 198414-31-2 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME) Absolute stereochemistry. IT 198414-03-8P 198414-05-0P 198414-11-8P 198414-22-IP 198414-32-3P 198414-33-4P 198414-34-8P 198414-34-9P 198414-34-6P (prepn. of progesterone derivs. as antiprogestational agents) L6 ANSWER 1 OF 3 USPATFULL Absolute stereochemistry. Rotation (+). MegN 199414-32-3 USPATFULL 19-Norpregna-4, 9-diene-3,20-dione, 21-(3-cyclopentyl-1-oxopropoxy)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9C1) (CA INDEX NAME) Absolute stereochemistry. Me₂N 198414-33-4 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(3-cyclopentyl-1-oxopropoxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME) Absolute stereochemistry.

Me₂N

RN 198414-34-5 USPATFULL

ANSWER 1 OF 3 USPATFULL (Continued)
19-Nopregna-4,9-diene-3,20-diene, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl)-21-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-39-0 USPATFULL 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-43-6 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-bromo-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 3 USPATFULL (Continued)

198413-99-9 USPATFULE
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-[[methylsulfonyl]oxy]-, (11.beta.)- (9ci) (CA INDEX NAME)

Absolute stereochemistry.

198414-00-5 USPATFULL /
19-Norpregna-4,9-diene₇3,20-dione, 11-[4-(dimethylamino)phenyl]-21-fluoro17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-21-0 USPATFULL Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-hydroxy-17-(1-oxopropyl)-, (11.beta.,17.slpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 1 OF 3 USPATFULL (Continued)

IT 198413-95-6F 198413-97-7F 198413-98-8F
198413-99-9F 198414-02-9F
198414-30-1F 198414-03-9F 198414-42-9F
(prepn. of progesterone derivs. as antiprogestational agents)

RN 198413-96-6 USPATFULL

CN 19-Norpregna-4,9-diene-3,20-dione, 21-chloro-11-[4-(dimethylamino)pheny1]17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198413-97-7 USPATFULL
19-Norpregna-4,9-diene-3,20-diene, 21-(acetyloxy)-11-(4-(dimethylamino)phenyl)-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)
Absolute stereochemistry,

Absolute stereochemistry.

98413-98-8 USPATFULL 19-Norpregna-4,9-diene-3,20-dione, 11-{4-(dimethylamino)phenyl}-17,21-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

L6 ANSWER 1 OF 3 USPATFULL

198414-30-1 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

(Continued)

Absolute stereochemistry.

198414-38-9 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-ethoxy17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-42-5 USPATFULL 19-Norpregna-4,9-diene-3,20-dione, 21-bromo-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 1 OF 3 USPATFULL (Continued) L6 ANSWER 1 OF 3 USPATFULL (Continued) IT 198414-40-3P 198414-41-4P (prepn. of progesterone derivs. as antiprogestational agents)
RN 198414-40-3 USPATFUR.
CN 19-Norpegna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-dimethylamino)phenyl]-, 3-oxime, (3E,11.beta.)- (9CI) (CA INDEX NAME) Absolute stereochemistry.
Double bond geometry as shown. 198414-41-4 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME) L6 ANSWER 2 OF 3 USPATFULL

ACCESSION NUMBER: 2001:4726 USPATFULL

17.heta.-acyl-17.alpha.propynyl-11.beta.-arylsteroids and their derivatives having agonist or antagonist hormonal properties

INVENTOR(S): Cook, C. Edgar, Staunton, VA, United States Kepler, John A., Raleigh, NC, United States O'Reilly, Jill M., Ourham, NC, United States

PATENT ASSIGNEE(S): Research Triangle Fastitute, Research Triangle Park, NC, United States (U.S. corporation) L6 ANSWER 2 OF 3 USPATFULL (Continued) H₂I NUMBER KIND DATE PATENT INFORMATION:
APPLICATION INFO.:
DOCUMENT TYPE.
FILE SEGMENT:
FRIMARY EXAMINER:
ASSISTANT EXAMINER:
LEGAL REPRESENTATIVE:
NUMBER OF CLAIMS:
EXEMPLARY CLAIM:
NUMBER OF DRAWINGS:
LINE COUNT:
CAS INDEXING IS AVAILA US 6172052 US 1998-205395 Patent Granted В1 20010109 19981204 (9) 273208-60-9 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 11-(4-aminophenyl)-21-methoxy-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME) Dees, Josef G. Qazi, Sabiha Oblon, Spivak, McClelland, Maier & Neustadt, P.C. 5 LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt, P.C. NUMBER OF CLAIMS: 5
EXEMPLANY CLAIM: 1
NUMBER OF DRAWINGS: 2 Drawing Figure(s); 2 Drawing Page(s)
LINE COUNT: 2.16
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention is directed to a novel class of 17. beta. -acyl-17. beta. The invention is directed to a novel class of 17. beta. -acyl-17. beta. The invention is directed to a novel class of 17. beta. -acyl-17. beta. The invention is directed to a novel class of 17. beta. -acyl-17. beta. The invention is directed to a novel class of 17. beta. -acyl-17. beta. The invention is directed to a novel class of 17. beta. -acyl-17. beta. The invention is directed to a novel class of 17. beta. -acyl-17. beta. The invention is directed to a novel class of 17. beta. -acyl-17. beta. The invention is directed to a novel class of 17. beta. -acyl-17. beta. The invention is directed to a novel class of 17. beta. -acyl-17. beta. The invention is directed to a novel class of 17. beta. -acyl-17. beta. The invention is directed to a novel class of 17. beta. -acyl-17. acyl-17. Beta. The invention is directed to a novel class of 17. beta. -acyl-17. acyl-17. acyl H₂N 273208-61-0 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 11-(4-aminophenyl)-17-(3-hydroxy-1-propynyl)-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME) H₂N Absolute stereochemistry. 273208-62-1 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 11-(4-aminophenyl)-21-methoxy-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

L6 ANSWER 2 OF 3 USPATFULL (Continued)

273208-63-2 USPATFULL
19-Norpregna-4,9-diene-3,20-diene, ll-(4-aminophenyl)-21-methoxy-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

273208-64-3 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 11-(4-aminophenyl)-17-(3-hydroxy-1-propynyl)-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

273208-77-8 USPATFULL Estra-4,9-dien-3-one, 11-(4-aminophenyl)-17-(1-oxopropyl)-17-(1-propynyl)-

ANSWER 2 OF 3 USPATFULL (Continued)
Estra-4,9-dien-3-one, 11-(4-aminopheny1)-17-(1-oxopropy1)-17-(1-propyny1), 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

273208-01-4 USPATFULL
Estra-4,9-dien-3-one, 11-(4-aminophenyl)-17-(1-foxopropyl)-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

273208-82-5 USPATFULL Estra-4,9-dien-3-one, 11-(4-aminopheny1)-17-(3-hydroxy-1-propyny1)-17-(1-oxopropy1)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L6 ANSWER 2 OF 3 USPATFULL (Continued)
, (11.beta., 17.beta.) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273208-78-9 USPATFULL Estra-4,9-dien-3-one, 11-(4-aminophenyl)-17-(1-oxopropyl)-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273208-79-0 USPATEOLL Estra-4,9-dien-3-one, 11-(4-aminophenyl)-17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-, 11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

stereochemistry. Absolute

RN 273208-80-3 USPATFULL

ANSWER 2 OF 3 USPATFULL (Continued)
273209-12-4 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-methoxy17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273209-13-5 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-methoxy17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273209-14-6 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-(3-hydroxy-1-propynyl)-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273209-15-7 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-methoxy-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

L6 ANSWER 2 OF 3 USPATFULL (Continued)

Absolute stereochemistry. Double bond geometry unknown.

273209-16-8 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-methoxy17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

273209-17-9 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-(3-hydroxy-1-propynyl)-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L6 ANSWER 2 OF 3 USPATFULL (Continued)

Absolute stereochemistry.

273209-33-9 USPATFULL Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-17-(1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown

273209-34-0 USPATFULL Estra-4,9-disen-3-gne, l1-[4-(dimethylamino)phenyl]-l7-(1-oxopropyl)-l7-(3,3,3-trifluofo-1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

/273209-35-1 USPATFULL Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA

L6 ANSWER 2 OF 3 USPATFULL (Continued)

273209-30-6 USPATFULL Estra-4, 9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-17-(1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273209-31-7 USPATFULL Estra-4,9-dien-3-one, 11-[4_dimethylamino]phenyl]-17-(1-oxopropyl)-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273209-32-8 USPATFULL Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

ANSWER 2 OF 3 USPATFULL INDEX NAME) (Continued)

Absolute stereochemistry. Double bond geometry unknown.

273209-67-9 USPATFULL 19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(methylamino)phenyl]-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273209-68-0 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(methylamino)phenyl]17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273209-69-1 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

ANSWER 2 OF 3 USPATFULL (Continued)
Absolute stereochemistry.

273209-70-4 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(methylamino)phenyl]17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

273209-71-5 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(methylamino)phenyl]17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

ANSWER 2 OF 3 USPATFULL

273209-87-3 USPATFULL
Estra-4,9-dien-3-one, 17-(3-hydroxy-1-propynyl)-11-[4-(methylamino)phenyl]17-(1-oxopropyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX*NAME)

273209-88-4 USPATFULL Estra-4,9-dien-3-one, 11-[4-(methylamino)phenyl]-17-(1-oxopropyl)-17-(1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unkn

273209-89-5 / USFATFULL Estra-4,9-dien-3-one, 11-[4-(methylamino)phenyl]-17-(1-oxopropyl)-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

ANSWER 2 OF 3 USPATFULL (Continued)
273209-72-6 USPATFULL
19-Notpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11[4-(methylamino)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

273209-85-1 USPATFULL Estra-4,9-dien-3-one, 11-[4-(methylamino)phenyl]-17-(1-oxopropyl)-17-(1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273209-86-2 USPATFULL Estra-4,9-dien-3-one, 11-[5 (methylamino) phenyl]-17-(1-oxopropyl)-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 2 OF 3 USPATFULL (Continued)

273209-90-8 USPATFULL Estra-4,9-dien-3-one, 17-(3-hydroxy-1-propynyl)-11-[4-(methylamino)phenyl]-17-(1-oxpropyyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

273210-18-7 USPATFULL
19-Norpragna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-aminophenyl)-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273210-19-8 USPATFULL
19-Norpregna-4,9-diena-3,20-dione, 21-(acetyloxy)-11-(4-aminophenyl)-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 2 OF 3 USPATFULL (Continued)

273210-20-1 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-aminophenyl)-17-(3-hydroxy-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273210-21-2 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-aminophenyl)-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

273210-22-3 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-aminophenyl)-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX

L6 ANSWER 2 OF 3 USPATFULL (Continued)

273210-37-0 USPATFULL
19-Norpregna-4, 9-diene-3, 20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)-(9CI) (CA INDEX NAME)

273210-38-1 USPATFULL
19-Norpregnaf-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-(dimethylamino)phenyl]-17-(3-hydroxy-1-propynyl)-, (11.beta.)- (9CI)
(CA INDEX NAME)

stereochemistry.

273210-39-2 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4(dimethylamino)phenyl)-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 2 OF 3 USPATFULL NAME) (Continued)

273210-23-4 USPATFULL
19-Norpreyna-4,9-diene-3,20-diene, 21-(acetyloxy)-11-(4-aminopheny1)-17-(3-hydroxy-1-propyny1)-, 3-oxime, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown

273210-36-9 USPATFULL
195Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4(dimethylamino)phenyl)-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 3 USPATFULL (Continued)
Double bond geometry unknown.

273210-40-5 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

273210-41-6 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamkno)phenyl]-17-(3-hydroxy-1-propynyl)-, 3-oxime, (11.beta.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

273210-54-1 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(methylamino)phenyl]-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX

ANSWER 2 OF 3 USPATFULL (Continued) NAME)

Absolute stereochemistry.

273210-55-2 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(methylamino)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

273210-56-3 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 2 OF 3 USPATFULL (Continued)

L6 ANSWER 2 OF 3 USPATFULL (Continued)

273210-57-4 USPATFULL
19-Norpregna-4, 9-diene-3, 20-dione, 21-(acetyloxy)-11-[4(methylamino)phenyl]-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA
INDEX NAME)

273210-58-5 USPATFULL
19-Norpregna-4, 9-diene-3, 20-dione, 21-(acetyloxy)-11-[4-(methylamino)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

273210-59-6 USPATFULL

19-Norpregna-4, 9-diene-3, 20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-[4-(methylamino)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L6 ANSWER 3 OF 3
ACCESSION NUMBER:
TITLE:
2000:12791 USPATFULL
20-keto-11.beta.-arylsteroids and their derivatives
having agonist or antagonist hormonal properties
Cook, C. Edgar, Staunton, VA, United States
Kepler, John A., Raleigh, NC, United States
Zhang, Ping-sheng, Millbrae, CA, United States
Lee, Yue-wei, Chapel Hill, NC, United States
Tallent, C. Ray, Raleigh, NC, United States
PATENT ASSIGNEE(S):
Research Triangle Institute, Research Triangle Park,
NC, United States (U.S. corporation)

NUMBER KIND DATE NUMBER KIND DATE

US 6020328 20000201

APPLICATION INFO: US 6020328 1980006 (9)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted

PRIMARY EXAMINER: Dees, Jose' G.

BASISTANT EXAMINER: Dees, Jose' G.

BAGIO, Barbara

CEGAL REPRESENTATIVE: Oblo, Spivak, McClelland, Maier & Neustadt, P.C.

NUMBER OF CLAIMS: SEXPHILENS: 5 Drawing Figure(s); 10 Drawing Page(s)

LINE COUNT: 2399

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention is directed to 20-keto-11.beta.-arylsteroids of formula I:

##STR1## wherein R.sup.1, R.sup.6, R.sup.7, R.sup.9, R.sup.12 and X are as defined by the specification. The compounds exhibit progestational activities.

IT 240806-28-4P (prepn. of 20-keto-11.beta.-arylsteroids with antiprogestational activity.)

RN 240806-28-4 USPATFULL

NN 19,21-Dinorchola-4,9-dien-24-oic acid, 11-[4-(dimethylamino)phenyl]-17-hydroxy-3,20-dixxo-, ethyl ester, (11.beta.)-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 3 OF 3 USPATFULL (Continued)
CM 2

CRN 76-05-1
CMF C2 H F3 O2

09/180,132 Page 11

=> d ibib ab fqhit 1-15

```
L12 ANSWER 1 OF 15
ACCESSION NUMBER:
TITLE:
Hethod for the preparation and pharmaceutic formulation of 11.beta.-benzaldoxime-
9.alpha.,10.alpha.-epoxy-estr-4-ene derivatives
Schubert, Gerd, Ring, Sven; Kaufmann, Guenter;
Source:
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

SHORT STATEMENT ASSIGNEE (S):
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

METHOD TO THE STATEMENT ASSIGNEE (S):
GERMAN G. B. D. B. Und Co. K.-G., Germany
Ger. Offen., 16 pp.
CODEN: GWXXBX
German
German
German
German
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         ANSWER 1 OF 15 MARPAT COPYRIGHT 2002 ACS - alkyl<(1-10)> or pharmaceutically acceptable salts claim 1
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                (Continued)
         DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
 PATENT NO. KIND DATE APPLICATION NO. DATE

DE 19745085 A1 19990415 DE 1997-19745085 19971011
EP 909764 A1 19990421 EP 1998-118613 19981001
EP 909764 B1 19990529

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
LE, SI, LT, LV, FI, RO

AT 185146, E 19991015 AT 1998-118613 19981001
PRIORITY APPLN. INFO.: DE 1999-119745085 19971011
AB 11.beta.-Benzaldoxime-9.alpha.,10.alpha.-epoxy-estr-4-ene derivs, e.g. I
[R1 = H, Cl-6-alkyl, R2 = H, Cl-10-alkyl, aryl, aralkyl, alkylafyl,
Cl-10-acyl, COMBR, COZNA, R3 = H, Cl-10-alkyl, aryl, aralkyl, alkylafyl,
[CH2)ncH2Y; R4 = H, Cl-10-alkyl, aryl, aralkyl, alkylaryl, Y = F, Cl, Br,
1, CN, N3, SCN, ORS, SR5; n = 0 - 2 R5 = H, Cl-10-alkyl, aryl, aralkyl,
alkylaryl, Cl-10-acyl, are described. Thus, (E)-I (R1 = R2 = Me, R3 = CH2OMe, Z = H) was prepd via regiocalective spoxidn. of estradienone II
[R1 = R2 = Me, R3 = CH2OMe, Z = H) showed 8%1 affinity for the
progesterone receptor but only 124 affinity for the glucocorticoid receptor.
                                                                                                                                                                                      .-G8
                                                     - 51
         G8
             ۶Ÿ
      L12 ANSWER 2 OF 15 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 129:50105 MARPAT
TITLE: Uses of anti-glucocorticoid compounds for the treatment of psychoses or addictive behaviors
Oberlander, Clauder, Plazza, Pier Vincenzo
Hoschst Marion Roussel, Fr.; Oberlander, Clauder
Plazza, Pier Vincenzo
PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Prench
French
French
French
PAMILY ACC. NUM. COUNT: 2
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             L12 ANSWER 2 OF
G12 - 41
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 MARPAT COPYRIGHT 2002 ACS
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                (Continued)
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                49 (O)-CH
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       and pharmaceutically acceptable acid addition salts claim 4 substitution is restricted % \left\{ 1,2,\ldots,4\right\}
         DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9826783 A1 19980625 WO 1997-FR2220 19971217

W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, 10, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, FF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

FR 2757400 A1 19980526 FR 1996-15649 19961219

FR 2757400 B1 19991217

AU 9855632 A1 19980715 AU 1998-55632 19971217

EF 892641 A1 19980715 AU 1998-55632 19971217

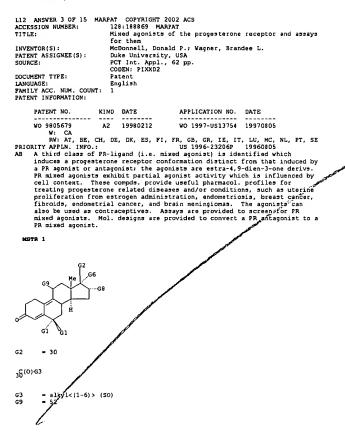
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

PRIORITY APPLN. INFO:

ER 1996-15649 19961219

WO 1997-FR2320 19971217

AB Glucocorticoid antagonists, except mifepristone, are used as dopamine type II receptor antagonists to treat psychotic or addictive behavior. Thus, 17. beta. -hydroxy-10. beta. -((4-methylphenyl)methyl)-17. alpha. -(1-propynyl)estra-4,9(11)-dien-3-one considerably reduced the response to morphine in vivo.
         G1
G3
                   616
        G4
                                                     = C(0)
```



```
L12 ANSWER 4 OF 15 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 124:22540 MARPAT
TITLE: Pharmaceutical compositions of antiglucocorticoid compounds for treating or preventing symptoms of spontaneous or narcotic-induced withdrawal.
Petit, Francis, Philibert, Daniel: Ulmann, Andre RATENT ASSIGNEE(S): ROUSSE! PHILORY, Philibert, Daniel: Ulmann, Andre ROUSSE: PRINT ASSIGNEE(S): ROUSSE! PRINT ASSIGNEE(
```

- Ph (SO (1-) G2) - 21

2^C (0)·G5

NSWER 4 OF 15 MARPAT COPYRIGHT 2002 ACS (Con = alkyl (SR G13) = O and pharmaceutically acceptable addition salts and pharmaceutically acceptable addition salts claim 7 ANSWER 4 OF 15 MARPAT COPYRIGHT 2002 ACS

L12 ANSWER 3 OF 15 MARPAT COPYRIGHT 2002 ACS

British Charles

MPL:

John William

```
L12 ANSWER 5 OF 15
ACCESSION NUMBER:
123:213391 MARPAT
TITLE:
Steroids for reducing multidrug resistance to cancer chemotherapeutic agents
Chemotherap
                                                          PATENT NO.
  KIND DATE
                                                                                                                                                                                                                                                                                                                                                                                                                                              APPLICATION NO. DATE
                                                   Mc, NL, Pf. SE, BF, BJ, CF, CG, CI, OM, GA, GN, ML, MR, NE, SN, TD, TG
AU 9514395
All 19950710
AU 1995-14395
19941219
DRITY APPLM. INFO:
US 1993-173243
19931222

Certain steroid-like compds. {1; R1 = H; R2 = OR; or R1R2 = :O; R = H, lower alkyl, Me35i; R3 = H, Me, or absent if double bond or epoxide bridge joins C3 and C10; R4 = OR; C-18 cyclic or Gr. group conto. O, N. P, or Si; R' = lower alkyl, Me35i; R5 = H, OR; or R5C16C17 form a 3-, 5-, 6-, or R' = lower alkyl, Me35i; R5 = H, OR; or R5C16C17 form a 3-, 5-, 6-, or R' = lower alkyl, Me35i; R5 = H, OR; or R5C16C17 form a 3-, 5-, 6-, or R' = lower alkyl, Me35i; R5 = H, OR; or R5C16C17 form a 3-, 5-, 6-, or R' = lower alkyl, Me35i; R5 = H, OR; or R5C16C17 form a 3-, 5-, 6-, or R' = lower alkyl, Me35i; R5 = H, OR; or R5C16C17 form a 3-, 5-, 6-, or R' = lower alkyl, Me35i; R5 = H, OR; or R5C16C17 form a 3-, 5-, 6-, or R' = lower alkyl, Me35i; R5 = H, OR; or R5C16C17 form a 3-, 5-, 6-, or R' = lower alkyl, Me35i; R5 = H, OR; or R5C16C17 form a 3-, 5-, 6-, or R' = lower alkyl, Me35i; R5 = H, OR; or R5C16C17 form a 3-, 5-, 6-, or R' = lower alkyl, Me35i; R5 = H, OR; or R5C16C17 form a 3-, 5-, 6-, or R' = lower alkyl, Me35i; R5 = H, OR; or R5C16C17 form a 3-, 5-, 6-, or R' = lower alkyl, Me35i; R5 = H, OR; or R5C16C17 form a 3-, 5-, 6-, or R' = lower alkyl, Me35i; R5 = H, OR; or R5C16C17 form a 3-, 5-, 6-, or R' = lower alkyl, Me35i; R5 = H, OR; or R5C16C17 form a 3-, 5-, 6-, or R' = H, OR; or R5C16C17 form a 3-, 5-, 6-, or R' = H, OR; or R5C16C17 form a 3-, 5-, 6-, or R' = H, OR; or R5C16C17 form a 3-, 5-, 6-, or R' = H, OR; or R5C16C17 form a 3-, 5-, 6-, or R5C16C17 form a 3-, 5-, 6-, or R* = H, OR; or R5C16C17 form a 3-, 5-, 6-, or R5C16C17 form a 3-, 5-, 6-,
                                                                     - C(0)
- Ph (SO (1-2) G16)
- 36
       L12 ANSWER 6 OF 15 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 122:256423 MARPAT
TITLE: Antiglucocorticoid steroids for the treatment of anxiety disorders:
INVENTOR(S): Peeters, Bernardus Wynand Machijs Maria
Akzo Nobel N.V., Neth.
PCT Int. Appl., 25 pp.
CODEM: PIXKD2
DOCUMENT TYPE: Patent
LANGUAGE: Patent
English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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L12 ANSWER 6 OF 15 MARPAT COPYRIGHT 2002 ACS (Continued) 616 • alkylcarbonyl<(1-5)> (SO (1-) G17) 618 • 39
    -G11
39 G16
MPL:
            claim 2
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L12 ANSWER 5 OF 15 MARPAT COPYRIGHT 2002 ACS

36 (0)-СН2—ОН

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L12 ANSWER 7 OF 15 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 116:35156 MARPAT
TITLE: Preparation and use of antiprogestomimetics for synchronization of parturition in livestock
Grandadam, Jean Andre
PATENT INSTRUMENT TYPE: EUR. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: LANGUAGE: FRENCH
FAMILY ACC. NUM. COUNT: 1
FATENT INFORMATION:
        DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
PATENT NO. KIND DATE APPLICATION NO. DATE

EP 446124 A2 19910911 EP 1991-000594 19910305
EP 446124 A3 19920527
R: AT, BE, CH, DE, DK, FR, GB, GR, IT, LI, LU, NL, SE
FR 2659233 A1 19910913 FR 1990-2783 19900306
FR 2659233 B1 19940121
CA 2037549 AA 19910907 CA 1991-2037549 19910305
AU 9172608 A1 19910912 AU 1991-72608 19910305
AU 9172608 A1 19910912 AU 1991-72608 19910305
AU 642975 B2 19931104
ZA 9101603 A 19920527 ZA 1991-1603 19910305
GR 10 2037295 C1 19950619 RU 1991-4895041 19910305
CN 1055665 A 19911030 CN 1991-102108 19910305
CN 1055665 A 19911030 CN 1991-102108 19910306
HU 59006 A2 19920428 HU 1991-7299 19910306
HU 59006 A2 1992428 HU 1991-7299 19910306
FRIORITY APPLN. INFO.: FR 1990-2783 19900306
AB The title antipropestomimetics are I (R1 = C1-18 hydrocarbyl optionally substituted with .gtoreq.1 heteroatoms and bonded to the steroid by a Cr
R2 = C1-8 hydrocarbyl; X = remainder of 5 = and 6-membered ring optionally substituted and optionally unsatd.; C = A = CNOH, oxo (free or blocked as ketal), etc., B and C together form a double bond or epoxide bridge) and acid addn. salts thereof. Prepn. of 2 I are described.

17. beta.-Hydroxy-11.beta.- (4-dimethylaminophenyl)-17.alpha.- (prop-1-ynyl)estra-4,9-dien-3-one (II) was more effective at synchronizing patrurition than cloprostenol when tested in sows. Injectable pharmaceuticals contg. II are disclosed.
                                                  PATENT NO.
                                                                                                                                                                                                    KIND DATE
                                                                                                                                                                                                                                                                                                                                                                                     APPLICATION NO.
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G1 - 30

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L12 ANSWER 8 OF 15 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 115:214857 MARPAT
TITLE: 115:214857 MARPAT
Injectable microspheres containing antiestrogenic and antiprogestomimetic steroids
Cohen, Gerard Dubois, Jean Luc
PATENT ASSIGNEE(S): 60er. 0ffen., 15 pp.
CODEN: 60ER. 0FFEN. 15 pp.
COD
       FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                                                                                                                                                                                                                                                                                                                                                                     APPLICATION NO. DATE
PATENT NO.
                                                                                                                                                                                                                     KIND DATE
```

MSTR 1A

G1—G3

G1

G3 - 24 L12 ANSWER 7 OF 15 MARPAT COPYRIGHT 2002 ACS

- 55-13 57-14

61 (O)-CH2-OH

G4 +G17= 0 and protected derivatives and acid addition salts claim 1

L12 ANSWER 8 OF 15 MARPAT COPYRIGHT 2002 ACS

74 (O)-CH2-G10

- OH claim 6

09/180,132 Page 16

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L12 ANSWER 9 OF 15 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER:
TITLE:
Use of antiprogestominetics for stimulating ovulation,
and new preparation for use in pharmaceutical
composition.

FATENT ASSIGNEE(S):
SOURCE:
Grandadam. Usean Andre
ROUSSEOL-ULAR, Fr.
Bur. Pat. Appl., 24 pp.
COUDEN: EPRKOW
Patent
French
Fr
               DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
PATENT NO. KIND DATE APPLICATION NO. DATE

EP 417003 A2 19910313 EF 1990-402449 19900906
EP 417003 A3 19911204
EP 417003 B1 19940629
R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE
FR 2651435 A1 19910308 FR 1989-11699 19890907
FR 2651435 B1 19940422
US 5173483 A 19921222 US 1990-578894 19900905
CA 2024728 AA 19910308 CA 1990-2024728 19900906
AU 9662259 A1 19910314 AU 1990-62259 19900907
AU 623805 B2 19920521
JP 03099015 A2 19910424 JP 1990-236004 19900907
JP 3032258 B2 20000410
PRIORITY APPLN. INFO:
FR 1989-11699 19890907
AB Anti-progestomimetic compds., e.g. I [Rl = Cl-18 hydrocarbyl with optionally, storeq.1 heteroatoms, bonded to the steroid by a Cr R2 = Cl-8 hydrocarbyl: X = rest of 5 - or 6-membered (substituted) (unsatd.) ring: A:C = oxo (free or in ketal), CH(OR), CH(OR3), cH(O2CR3), etc., R3 = Cl-8 alkyl, C7-15 aralkyl; B and C together form a double bond or epoxide bridge] and their acid and base addn. salts, are used for making pharmaceuticals for stimulating ovulation, e.g. in covs. The compds. of the invention are preferably used following treatment with progestrome or a progestomimemtic, e.g. 3 - oxoc-17. alpha.-allyl-17. beta.-hydroxystra-4,9,11-triene (II). Thus, helfer cows were 1st administered II for 17 days on the day following the last administration, the animals were injected with 17. beta.-hydroxy-11. beta.-(4-dimethylaminophenyl-17. alpha.-iprogestomimetics is presented.
                                                                                       PATENT NO.
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          APPLICATION NO. DATE
                                                                                                                                                                                                                                                                                                                                                            KIND DATE
```

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L12 ANSWER 10 OF 15
ACCESSION NUMBER:
115:9125 MARPAT
TITLE:
Preparation of .omega.-[(3-oxoestra-4,9-dien-11.beta.-y)]phenylmino]alkanoates as antiglucocorticolds
Moguliewsky, Martine: Nedelec, Lucien; Nique,
Francois; Philibert, Daniel
PATENT ASSIGNEE(S):
SOURCE:
COUNENT TYPE:
LANGUAGE:
FAMILY ACC. NUM, COUNT:
1
 FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                           PATENT NO. KIND DATE APPLICATION NO. DATE

EP 414606 A2 19910227 EP 1990-002328 19900822
EP 414606 B3 19910724
EP 414606 B 1199411020
R: AT. BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE
FR 2651233 A1 19910301 FR 1989-11173 19890823
FR 2651233 B1 19911213
CA 2022648 AA 19910224 CA 1990-2022648 19900803
ZA 9006341 A 19911030 ZA 1990-6341 19900810
US 5166146 A 19911030 ZA 1990-56419 19900810
US 5166146 A 19911030 JA 1990-56419 19900810
US 5166146 A 19911030 JA 1990-56419 19900810
US 5166146 A 19911030 JA 1990-56959 19900810
US 5166146 A 19911030 JA 1990-56959 19900820
AU 5166146 A 19911030 JA 1990-56959 19900820
US 5166146 A 1991028 AU 1990-61189 19900820
US 5166146 A 1991028 AU 1990-61189 19900820
US 5166146 A 19910515 CN 1990-107161 19900822
AU 634569 B2 19930225
EU 54706 A2 19910328 HU 1990-5275 19900822
EU 54706 A2 19910328 HU 1990-5275 19900822
CN 1031360 B 19970115
EN 2041236 CI 19950809 RU 1992-5011511 19900823
ERITY APPLN. INFO:: CASSREACT 115:9125
                                                                                                                                                                                                                                                                                       RU 1992-5011511 19920518
FR 1989-11173 19890823
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
AB The title compds.
                              AITY APELN. INFO.: FR 1989-11173 19890823  
$ SOUNCE(S): CASREACT 115:9125  
The title compde. [I: Rl = aliph. hydrocarbyl; R2 = H, (un)substituted alkyl; R5, R6 = H, alkyl; X = atoms to complete an (un)substituted 5- or 6- membered ring; Z = (un)salified CO2H; n = 1-6] were prepd. Thus, aminophenylestradienone II (R = R5 = R6 = H) was condensed with BrCHZCO2Ne to give, after sapon.; II (R = CHZCO2Ne, R5 = R6 = H) which at 10-6M in vitro gave 82% inhibition of uridine incorporation into rat thymocytes.
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KSTR 1A

```
L12 ANSWER 9 OF 15 MARPAT COPYRIGHT 2002 ACS (Continued)
        - 85
PEC6H4G10
G12
9g(0)·G14
G14
H2C----G15
G15 = OH
G5 +G6 = O
DER: or
           or acid or base addition salts
claim 2
oxo formed by G5 and G6 may be protected as a ketal
```

```
L12 ANSWER 10 OF 15 MARPAT COPYRIGHT 2002 ACS
3916-G10-39H2
G10 = (1-2) 45
G11-G----G12
G13
    = 53
59 (о)-сн2—он
G16
G13-G---G13
        claim 1
```

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DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
PATENT NO. KIND DATE APPLICATION NO. DATE

EP 389370 A1 19900926 EP 1990-400784 19900322
EP 389370 B1 19940427
R: CH, DE, FR, CB, IT, LI, NL
FR 2644789 A1 19900928 FR 1989-3742 19890322
FR 2644789 B1 19950203
JP 02273693 A2 19901108 JP 1990-68508 19900320
JP 2488907 B2 19901108 JP 1990-68508 19900320
US 5108996 A 19920428 US 1990-497562 19900321
PRIORITY APPLIN. INFO: FR 1989-3742 19890322

OTHER SOURCE(S): CASREACT 114:229227
AB The title compds. [I, R1, R2 - H, Mer R1] - (poly) (hetera) hydrocarbyl; one of R17 and R18 is OH or acyloxy and the other is Q: Z - alkylene, alkynylene; P - (substituted) pyrimidinyl, pyridyl) were prepd. via reacting the halo derivs. II or III (X - halo) with the appropriate pyrimidinyl or pyridine deriv. IV. Reaction of estradienoe V [R3 - 3-broson-1-propyyn], R4 - OH] (prepn. given) was reacted with 2,4-bis(1-pyrcolidinyl)-6-(1-piperazinyl)pyrimidine (prepn. given) in acetone contg. XECO3 at ambient temp. for 2 h to give V [R3 - 3-(4-(2,6-bis(1-pyrcolidinyl)-4-pyrimidinyl)-1-piperazinyl]-1-propyynyl; R4 OH], At 5 times. 10-4 M this inhibited in vitro the formation of malonyldialdehyde, a measure of lipid peroxidn., in rat brain homogeneate by .apprx. 47.51.
                                PATENT NO.
                                                                                                                                KIND
                                                                                                                                                             DATE
                                                                                                                                                                                                                                                    APPLICATION NO. DATE
```

$$\begin{array}{c} G2 \\ G1 \\ G1 \\ G1 \end{array}$$

G2 - 97

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L12 ANSWER 12 OF 15 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 113:115677 MARPAT
TITLE: Preparation of androstanone derivatives as drugs
Scholz, Stefan, Neef, Guenter; Ottow, Eckhard; Elger,
Walter; Beier, Sybille; Chvalisz, Krzysztof
Scheln, A.-G., Fed. Rep. Ger.
EUR. Pat. Appl., 38 pp.
CODEN: EXXXVV
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
 DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
```

	ENT NO.			DATE			PLICATION NO.	DATE
EP	360369		A 1	19900328			1989-250040	19890920
EP	360369		B1	19950503				
	R: AT,	BE,	CH, DE	, ES, FR,	GB,	GR,	IT, LI, LU, NI	L, SE
DE	3832303		A1	19900412		DE	1988-3832303	19880920
ΙL	91672		A1	19941229		IL	1988-3832303 1989-91672	19890918
WO	9003385		A1	19900405		WO	1989-EP1090	19890920
	W: AU,	DK,	FI, HU	, JP, NO,	US			
ΑU	8943049		A1	19900418		AU	1989-43049	1989092
ΑU	640616		B2	19930902				
ZA	8907191		Α	19901031		ZA	1989-7191	1989092
DD	284682		A5	19901121		DD	1989-332836	1989092
ΗU	56851		A2	19911028		HU	1989-5541	1989092
HU	208151		P.	19930830				
JΡ	04501712		T2	19920326		JP	1989-509963	1989092
JΡ	2760870		B2	19980604				
ΑT	122052		E	19950515		AT	1989-250040	1989092
ES	2074073		Т3	19950901		ES	1989-250040	1989092
NO	9101102		A	19910319		NO	1991-1102	1991031
DK	9100504		A	19910320		DK	1991-504	1991032
US	5244886		A	19930914		US	1991-663819	1991032
NO	9104772		A	19910319		NO	1991-4772	1991120
RITY	APPLN.	NFO.	:			DE	1988-3832303	19880920
						WO	1989-EP1090	19890920
						NO	1991-1102	1991031

WO 1989-EP1090 19890920

OTHER SOURCE(S):

CASREACT 113:115677

AB The title compds. [I Z = 0, hydroxyimino; LM = bond, or L = H and M = .alpha.-OH; AB = bond and D = H and RI = heteroaryl; or A = H and BD = CH2 and Z = HZ; R3, R4 = tetrahydroxyranyloxyalkyl, tetrahydroxyranyloxyalkynyl, etc.], useful as antiglucocorticoids, neoplasm inhibitors (esp. for breast cancer), progestogen inhibitors, and antiproliferative agents, were prepd. 3 -(Tetrahydroxyran-2-yloxy)-1-propyne was lithiated with BuLi in THF-hexane and the product treated with 14. beta.-androstan-17-one II (R3R4 = 0) (prepn. given) to give II (R3 = Q, R4 = OH) treated with M NCL to give I [R1 = OMe, R2 = Me, R3 = (CH2)30H, BD = CH2, LM = bond, Z = O, A = H} (III). III had higher affinity for the gestagen receptor than the known EF=A 0277676 [I]. beta.-[etc.] (dimethylamino)phenyl]--17.alpha.=hydroxy-17-(3-hydroxypropyl)-14.beta.-

MSTR 1A

L12 ANSWER 11 OF 15 MARPAT COPYRIGHT 2002 ACS (Continued)

claim 13 the alkylamino and dialkylamino groups in G11 may be interrupted by oxygen, sulfur, or nitrogen

L12 ANSWER 12 OF 15 MARPAT COPYRIGHT 2002 ACS (Continued)

G28 MPL: = alkyl<(1-4)> claim 1

```
L12 ANSWER 13 OF 15 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 112:235680 MARPAT
TITLE: Preparation of 13-alkyl-11.beta.-phenylgonanes as antigestagens and antiglucocorticoids
Scholz, Stefan, Ottow, Eckhard, Neef, Guenter; Elger, Walter; Beier, Sybiller Chaulizz, Krzysztof
SOURCE: Schering A.-G., Fed. Rep. Ger.
CODEN: GWXXEX
DOCUMENT TYPE: Care Ger. Offen., 22 pp.
CODEN: GWXXEX
Patent INFORMATION: 1
```

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
	DE 3822770	A1	19900104	DE 1988-3822770	19880701	
	IL 90826	A1	19940624	DE 1988-3822770 IL 1989-90826	19890630	
	CA 1334668	A1	19950307	CA 1989-604596	19890630	
	EP 349481	A1	19900103	EP 1989-730155	19890703	
	EP 349481	B1	19951102			
	R: AT,	BE, CH, DE	, ES, FR, GB	, GR, IT, LI, LU, NL	, SE	
	WQ 9000174	A1	19900111	WO 1989-DE443	19890703	
	U. 311	PT 1011 10	NO			
	AU 8938568	A1	19900123	AU 1989-38568	19890703	
	AU 644060	B2	19931202			
	ZA 8905058	A	19900425	2A 1989-505R	19890703	
	DD 287511	A5	19910228	DD 1989-330342	19890703	
	HU 56114	A2	19910729	HU 1989-4130	19890703	
	HU 208021	В	19930728			
	DD 295638	A5	19911107	DD 1989-330342 HU 1989-4130 DD 1989-341722	19890703	
	JP 03505727	T2	19911212	JP 1989-507188	19890703	
	JP 2956776	B2	19991004	DD 1989-3417/22 JP 1989-507188 US 1989-374809 AT 1989-730155 ES 1989-730155 NO 1990-5609 US 1993-144474 ET 1995-4856		
	US 5273971	A	19931228	US 1989-374809	19890703	
	AT 129717	E	19951115	AT 1989-730155	19890703	
	ES 2080079	Т3	19960201	ES 1989-730155	19890703	
	NO 9005609	A	19910228	NO 1990-5609	19901227	
	NO 180451	В	19970113			
	NO 180451	С	19970423			
	US 5446036	A	19950829	US 1993-144474	19931102	
	NO 9600829	A	19910228	NO 1996-829	19960229	
PRIO	RITY APPLN.	INFO.:		DE 1988-3822770	19880701	
				US 1989-374809		
				WO 1989-DE443		
				NO 1990-5609	19901227	
				FI 1990-6441	19901228	

FI 1990-6441 19901228

BY The title compds. [1; R1 = heterocyclyl, cycylalkyl, cycloalkenyl, alkenyl, etc.; R2 = .alpha.-, .beta.-Me, -Etr R3,R4 = alkoxy, acyl, oxofuryl, alkynyl, etc.; Z = 0, NOH], antigestagens and antiglucocorticoids useful for induction of abortion, were prepd. via Grignard reaction of the corresponding 5.alpha.,10.alpha.-epoxy-9(11) unsatd. steroids with p-R1C6H4X (X = halo). Grignard reaction of epoxy steroid II (prepn. given) with p-CH2:CHC6H4X (X = Br, iodo) gave I [R1 = CH2:CH, R2 = .beta.-Me, R3 = OH, R4 = C.tplbond.CMe, Z = OCH2CH2CH2CH2O, which was hydrolyzed to give I [Z = 0, R1-R4 same as above]. This at 3.0 mg s.c./day induced abortion in 100% of rats tested.

L12 ANSWER 14 OF 15 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 110:213172 MARPAT
TITLE: 13 (Alpha) -alkylgonanes, their production, and pharmaceutical preparations containing same
Neef, Guenter; Wiechert, Rudolf; Beier, Sybille;
Elger, Valter; Henderson, David
Schering A.-G., Fed. Rep. Ger.
U.S., S pp. Cont. of U.S. Ser. No. 621,308.
CODEN: USXXAM
Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4780461	A	19881025	US 1985-810148	19851218
DE 3321826	A1	19841220	DE 1983-3321826	19830615
DE 3413036	A1	19851017	DE 1984-3413036	19840404
DE 3446661	A1	19860619	DE 1984-3446661	19841218
PRIORITY APPLN. INFO.	:		DE 1983-3321826	19830615
			DE 1984-3413036	19840404
			US 1984-621308	19840615
			DE 1004 2446661	10041210

US 1984-621308 19840615
US 1984-621308 19840615
US 1984-621308 19840615
US 1984-621308 19840615
OTHER SOURCE(S):

CASREACT 110:213172
AB 13.alpha.-Alkylgonanes [Ir R - Cl-4 acyl] X = 0, NOH; II; R1 = amino; R2 = H, Me, Etr R3 = (substituted) alkyl; R4 = OH, alkoxy, alkanoyloxy; or R3R4 = Q; R5 = H, alkyl; III; Z = CH2CH2, CH2CMe2CH2], having antigestagenic activity and useful as postocital contraceptives, or for triggering abortion and menstruation (no data), are prepd. via photochem. epimerization of the 13.beta.-gonanes IV. 11.beta.-(4-Dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.-methyl-17.beta.-(3-beta-3-one (V) was acetylated with Ac2O in pyridine to give 11.beta.-(4-dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.-methyl-17.beta.-(3-abetoxyrcpyl)-4,-9-gonadien-3-one (X ababet was formulated contg. V 10.0, lactose 140.0, corn starch 69.5, polyvinylpyrrolidone 25 2.5, Aerosil 2.0, and Mg stearate 0.5 mg.

MSTR :

G4 = 59

5G(0)-CH2-G11

G12 = 66

L12 ANSWER 13 OF 15 MARPAT COPYRIGHT 2002 ACS (Continued

G1 - O G4 - 37

35 (0)-CH2-G10

G10 = alkyl<(1-4)>
MPL: claim 1
NTE: substitution is restricted

L12 ANSWER 14 OF 15 MARPAT COPYRIGHT 2002 ACS (Continued)

66 G8

GGA = 33 <RC (1), RS (1) M5 (1) X6, EC (0-) O (1-) N (0-) S (0) OTHERQ, AN (1) N, BD (ALL) SE>

DER: and acid addition salts claim 18

L12 ANSWER 15 OF 15
ACCESSION NUMBER:
ACCESSION NUMBER:
109:170799 MARPAT
Antiprogestinic ll.beta.-aryl-14.beta.-estra-4,9-dien3-one derivatives, a process for their preparation,
and pharmacouticals containing them
Loozen, Hubert Jan Jozef
AKZO N. V., Neth.
SOURCE:
CODEN: EPXXDW
DOCUMENT TYPE:
LANGUAGE:
PAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

	PAT	ENT I	w.		KIN	D	DATE			AP	PLIC	ATIO	N NO.	DATE	:
						-									
	EP	2776	76		A1		1988	0810		EP	198	8-20	0071	1988	0118
	EP	2776	76		В1	l	1992	0304							
		R:	AT.	BE.	CH.	DE.	ES.	FR.	GB.	GR.	IT.	LI.	NL, SE		
	CA	1339			A1		1997						6625		0115
		8800					1988						7		0118
		7313					1992						0071		
		2031			T3		1993						0071		
		8800			Ä		1988						7		
		8905					1993				150	-23	'	1900	0141
		8905			Č		1993								
		8810			A1		1988			AU	198	8-10	669	1988	0121
		6036			В2		1990								
		8800			A		1988			DK	198	8-30	4	1988	0122
		1633			В		1992								
		1633			С		1992								
	CN	8810	0979		Α		1988	0817		CN	198	8-10	0979	1988	0122
	CN	1030	081		В		1995	1018						•	
	JP	6321	6895		A	2	1988	0909		JP	198	8-12	431	1988	0122
	US	5272	140		A		1993	1221		US	199	0-48	8391	1990	0227
PRIO	RITY	APP	LN.	INFO.	:					NL	198	7-15	7		0123
			- '										0071		0118
													6895		0122

EP 1998-200071 19980118

US 1998-146995 19980122

Title steroids I [R1 = monosubstituted homo- or heterocyclic aryl; R2 = C1-4 alkyl; R3, R4 = H, OH, C1-18 acylcxy, C2-8 alkoxyalkyl, C1-8 acyl, C1-12 alkoxy, (un) satd. (un) substituted C1-8 hydrocarbyl; R3R4 = C1-6 alkylidene, or atoms needed to form ring; DELTA.16 optionally present, with R3 or R4 absent), having strong antiprogestinic activity, are prepd. Estrone 3-Me ether was brominated, dehydrobrominated, and hydrogenated to give the isomeric 14. beta-estrone 3-Me ether. This underwent NaBH4 redn., Birch redn., hydrolysis, and bromination-dehydrobromination to give 17.alpha.-hydroxy-14.beta.-estra-4,9-dien-3-one. The latter was ketalized at the 3-position, oxidized to the 17-one, alkynylated at the 17-position by the tetrahydropyranyl ether of proparyl alc., epoxidized to the 5.alpha.]0.alpha.-epoxide, coupled with 4-(Me2N)CGHMyBr in the presence of CuCl, hydrogenated in the side chain, hydrolyzed and dehydrated, and cyclized in the sidechain by toxylation in pyridine to give (dimethylaminophenyl)dihydrospiro(estradienefuran)one II. At 1 mg orally, twice daily in pregnant rats on days 6-10, II caused 100% pregnancy interception, but only slightly reversed dexamethasone-induced thymus wt. redn. in rats.

L12 ANSWER 15 OF 15 MARPAT COPYRIGHT 2002 ACS (Continued) METR 1B

- biphenylyl (SR) - 37 G1 G6

3**4k**=0

=> d his

(FILE 'HOME' ENTERED AT 12:02:25 ON 09 AUG 2002)

FILE 'REGISTRY' ENTERED AT 12:02:30 ON 09 AUG 2002 L1 STRUCTURE UPLOADED

L2 23 S L1

L3 STRUCTURE UPLOADED

L4 12 S L3

L5 176 S L3 FULL

FILE 'USPATFULL' ENTERED AT 12:05:46 ON 09 AUG 2002 L6 3 S L5

FILE 'CAPLUS' ENTERED AT 12:07:33 ON 09 AUG 2002

L7 7 S L5

L8 0 S L7 NOT L6

FILE 'USPATFULL' ENTERED AT 12:10:05 ON 09 AUG 2002

FILE 'BEILSTEIN' ENTERED AT 12:11:15 ON 09 AUG 2002

L9 0 S L3 FULL

FILE 'CAOLD' ENTERED AT 12:11:34 ON 09 AUG 2002

L10 0 S L5

FILE 'MARPAT' ENTERED AT 12:11:43 ON 09 AUG 2002

L11 20 S L5 FULL

L12 15 S L11 NOT L7

```
L9 ANSWER 1 OF 12 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 131:199885 MARPAT
TITLE: Preparation of 20-keto-11.beta.-arylsteroids and their
                                     derivatives having agonist or antagonist hormonal properties
Cook, C. Edgar: Kepler, John A.; Zhang,
INVENTOR(S):
Ping-sheng;
                                    Lee, Yue-wei; Tallent, C. Ray
Research Triangle Institute, USA
PCT Int. Appl., 95 pp.
CODEN: PIXXD2
Patent
English
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
             ENT NO. KIND DATE APPLICATION NO. DATE

1945022 A1 19990910 WO 1999-US3732 19990305
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
                                                               APPLICATION NO. DATE
       PATENT NO.
       WO 9945022
DE,
                   DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
JP,
                   KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
MN.
                  MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
TM.
                  TR, TT, UA, UG, UZ, VN, YU, ZV, AM, AZ, BY, KG, KZ, MD, RU,
TJ. TM
             RW: GH, GM, KE, LS, HW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE,
DK.
                  ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG.
       CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
RITY APPLN. INFO.: US 1998-35949 19980306
20-Keto-11.beta.-arylsteroids of formula I [X = O, (substituted) NOH,
PRIORITY APPLA
       OH, etc.; Rl = dialkylamino, imidazolyl, pyrrolyl, piperidino, etc.;
       H, halo; R3 = H, Me, halo; R4 = H, acyloxy, (substituted) OH, alkyl,
       ,
R5 - H, alkyl, halo, acyloxy, etc.] are prepd. which exhibit potent
antiprogestational activity. Thus, II was prepd. from
17.alpha.-hydroxymethyl-3-methoxy-19-norpregna-1,3,5(10)-trien-20-one
       4-bromo-N,N-dimethylaniline in several steps. The affinity of II for
       progesterone hormone receptor was ICSO of 0.7 nM.
 MSTR 1A
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ANSWER 1 OF 12 MARPAT COPYRIGHT 2000 ACS
                                                                       (Continued)
        G29-CH2-C
                       .G27
         - phenylene (SO (1) G3)
- 128
128 G15
G27
G29
DER:
MPL:
NTE:
         - OCHO
- OCHO
and pharmaceutically acceptable salts
claim 1
substitution is restricted; also incorporates claim 3
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L9 ANSWER 2 OF 12 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 127:358992 MARRAT
TITLE: Preparation of 21-substituted progesterone
TITLE:
derivatives
                                                      as new antiprogestational agents
Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.;
Cessac, James W.; Acosta, Carmie K.
United States Dept. of Health and Human Services,
INVENTOR (S) :
PATENT ASSIGNEE(S):
USA;
                                                      Kim, Hyun K., Blye, Richard P., Rao, Pemmaraju N.,
Cessac, James W., Acosta, Carmie K.
PCT Int. Appl., 65 pp.
CODEN: PIXYO2
SOURCE:
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		ENT I			vi	ND.	DATE				D1.10	~271	ON N	۸.	DATE		
		ENT			V.1		UALL										
	wo	9741	145		A	1	1997	1106		¥C	199	97-U	5737	3	1997	0430	
		W:	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	ΒY,	CA,	CH,	CN,	Cυ,	cz,
			DK,	ΕĒ,	ES,	FΙ,	GB,	GE,	GH,	HU,	IL,	ıs,	JP,	KE,	ĶĠ,	KP,	KR,
,							LT,			wn		w	MAI	ME.7	w	МО	N7
			LC,	LK,	LR,	LS,	ĻT,	ш,	LV,	MD,	no,	mr,	ru,	n=,	m,	110,	112,
•			DТ	PO.	DII	¢n.	SE,	SG	ST.	SK.	TJ.	TM.	TR.	TT.	UA.	UG.	US.
				ĸo,	no,	50,	J.,	50,	,	,	,	•	,	,			
•			VN.	YU.	AM.	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM				
		RW:	GH,	KE,	LS,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,
			GR,	ΙE,	ΙŤ,	LU,	MC,	NL,	PΤ,	SE,	BF,	ВJ,	CF,	CG,	CI,	CH,	GΑ,
,																	
					NE,	, SN,	TD, 1997	1106		C	10	07-2	2536	73	1997	0430	
		2253 9729			^	î	1997	1110		, i	10	97-2	9304		1997	0430	
		9002			ŝ	1	1999	0310		E	19	97-9	2352	3	1997	0430	
	LIF	R:	AT.	BE.	CH.	DE.	DK,	ES.	FR.	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,
		••				,											
•			ΙE,														
10	RIT	' APP	LN.	info	. :										1996		
								_							1997		
	Pro	ogest OHCH3	eron	e de	rivs	. OI	tor	acv) mnTa	.ι HO	Rl ● .ali	ONE	, SM . et	8, N C.J	mez, R3 =	OH.	alk	yl,
ko	wv.																
	90	/loxy	, R4	- H	, al	kylı	Х -	٥,	(sub	stitu	ıted) NO	н) а	re p	repd	. 85	
	an	tipro	qest	atio	nal	ager	its.	The	pre	sent	inv	enti	on p	rovi	des.	meth	ods
	ein		•														

one-dependent tumors to treat uterine fibroids; to inhibit uterine endometrial proliferation; to induce labor; and for contraception. Thus, II was prepd. from 3, 3-ethylenedioxy-T,beta.-cyano-T,alpha.-hydroxyestra-5(10),9(11)-diene and 4-bromo-N,N-dimethylaniline in 9 steps. III

hormone-dependent

= 29 G2 28-= alkyl<(1-6)> (SO) = 46 -C (O)-G6 ٠Qclaim 1 MPL:

ANSWER 2 OF 12 MARPAT COPYRIGHT 2000 ACS (Continued) 2.79 times the antiprogestational potency in the antiClauberg test compared to CDB-2914.

```
L9 ANSWER 3 OF 12
ACCESSION NUMBER:
TITLE:
Antiplucocorticoid steroids for the treatment of anxiety disorders
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
CODEN: TYPE:
DOCUMENT TYPE:
LANGUAGE:
Enclish
 DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                 English
1
          PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9504536 A1 19950216 WO 1994-EP2513 19940728
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KP,
 KR,
                          KZ, LK, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ,
 TT,
                   UA, US, UZ, VN
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU,
 MC,
                         NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN,
NL, FI, 3b, bc, L., ...

TD, TG
AU 9474968 A1 19950228 AU 1994-74968 19940728
AU 687088 B2 19980219
EP 712311 A1 19960522 EP 1994-924819 19940728
EP 712311 B1 19981007
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL,
R: AT, BE, CF
PT, SE
JP 09501172
AT 171873
ES 2124905
US 5741787
PRIORITY APPLN. INFO.:
                                                                                   JP 1994-506200
AT 1994-924819
ES 1994-924819
US 1996-581631
EP 1993-202304
EP 1994-924819
WO 1994-EP2513
                                            T2 19970204
E 19981015
T3 19990216
                                                                                                                    19940728
19940728
19960118
19930804
                                                      19980421
        Antiglucocorticoid steroids are used for the manuf. of a
          compn. for the treatment of anxiety disorders. The anxiolytic effect
11.beta.-(4-dimethylaminophenyl)-17.beta.-hydroxy-17.alpha.-(prop-1-ynyl)-estra-4,9-dien-3-one (RU38486) was demonstrated in animal testing (antagonism of fear-potentiated startle). Prepn. and activity
 (antagonism of stress-induced hyperthermia) of selected steroids of the invention
         also described.
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L9 ANSWER 3 OF 12 MARPAT COPYRIGHT 2000 ACS

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L9 ANSWER 4 OF 12 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 116:35156 MARPAT
TITLE: Preparation and use of antiprogestomimetics for synchronization of parturition in livestock Grandadam, Jean Andles, Per Patent Preparation and use of antiprogestomimetics for synchronization of parturition in livestock Grandadam, Jean Angl., 13 pp.
COURSE: PATENT ASSIGNEE(S): Burpat Appl., 13 pp.
COURSE: PATENT PROMOTE PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

PATENT NO. KIND DATE APPLICATION NO. DATE

EP 446124 A2 19910911 EP 1991-400594 19910305
EP 446124 A3 19920527 R. AT, BE, CR, DE, OK, FR, GB, GR, IT, LI, LU, NL, SE
FR 2659233 A1 19910913 FR 1990-2783 19900306
FR 2659233 B1 19940121
CA 2037549 AA 19910907 CA 1991-2037549 19910305
AU 9172608 A1 19910912 AU 1991-2068 19910305
AU 9172608 A1 19910912 AU 1991-2068 19910305
AU 9172608 A1 19910912 AU 1991-2069 19910305
AU 9172608 A1 1991091 AU 1991-2080 19910305
AU 9172608 A1 1991091 AU 1991-62496 19910305
CN 1055665 A 1991030 CN 1991-102108 19910306
CN 1055665 A 1991030 CN 1991-102108 19910306
BU 59006 A2 19920428 HU 1991-729 19910306
CN 1055665 A 1991030 CN 1991-102108 19910306
AB The title antiprogestomimetics are I (R1 = C1-18 hydrocarby1) substituted with .gtoreq.1 heteroatoms and bonded to the steroid by a CR 2 = C1-8 hydrocarby1; X = remainder of 5- and 6-sembered ring optionally substituted and optionally unsatd.; C = A = CNOH, oxo (free or blocked as ketal), etc.; B and C together form a double bond or epoxide bridge) and acid addn. salts thereof. Prepn. of 2 I are described.
17. beta.-Hydroxy-11.beta.-(4-dimethylaminophenyl)-17.alpha.-(prop-1-ynyl)estra-4, 9-dien-3-one (III) was more effective at synchronizing parturition than cloprostenol when tested in sows. Injectable hypermaceuticals contg. II are disclosed.
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L9 ANSWER 4 OF 12 MARPAT COPYRIGHT 2000 ACS (Continued)

30 NHe
63 - 55-13 57-14

69 - 43
45(0)CH2O-C(0)-010

G15 - alkylcarbonyloxy<(1-8)>
and protected derivatives
BER: and acid addition salts
claim 1
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(Continued)

(Continued)

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L9 ANSWER 5 OF 12 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 115:214857 MARPAT
TITLE: Injectable microspheres containing antiestrogenic
 and
                                                              antiprogestomimetic steroids
Cohen, Gerard, Dubois, Jean Luc
Roussel-UCLAF, Fr.
Ger. Offen., 15 pp.
CODEN: GWOXBX
Fatent
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
 LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
            PATENT NO.
                                                      KIND DATE
                                                                                                          APPLICATION NO. DATE
                                                                     19910516
19910517
19940805
            DE 4036425
FR 2654337
FR 2654337
SE 9003570
                                                                                                          DE 1990-4036425
FR 1989-14976
                                                                                                                                                   19901115
19891115
                                                                     19940805
19910516
19930831
19910516
19910516
19911225
19930514
19910603
19910717
19931027
                                                                                                        SE 1990-3570
BE 1990-1062
DK 1990-2709
CA 1990-2029940
JP 1990-306374
CH 1990-3611
NL 1990-2492
GB 1990-24862
                                                                                                                                                   19901109
19901109
19901113
19901114
19901114
            BE 1005511
           BE 1005511

DK 9002709

CA 2029940

JP 03294229

CH 681691

NL 9002492

GB 2239798

GB 2239798

AT 9002313

AT 400298
                                                                                                          AT 1990-2313
                                                                                                                                                   19901115
                                                                     19951127
PRIORITY APPIM. INFO.: 1993112/
PRIORITY APPIM. INFO.: FR 1989-14976 19891115
AB Blodegradable microspheres comprise the title steroids (Markush given) and copolymers of lactic acid with glycolic acid. A mixt. of 250 mL aq.
U.38 hydrolyzed PVA soln., 1 g poly(DL-lactic acid-glycolic acid), 17 g CH2Cl2,
CH2Cl2,
and 0.5 g

17.beta.-hydroxy-11.beta.-[4-(dimethylamino)phenyl]-17.alpha.-(1-
propynyl)estra-4,9-dien-3-one was emulsified, followed by stirring at
22.degree. and decreasing pressure (.gtoreq.400 mm Hg) to give
microspheres, which were used for the prepn. of injections.
 MSTR 1A
G1—G3
G1
               - 3
L9 ANSWER 6 OF 12 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 115:151901 MARPAT
TITLE: Use of antiprogestomimetics for stimulating
ovulation.
```

```
G2
p-G6H4
Ne

G3 = 24

G6
G6
G6
G7
G8
G9
G9
G13
H2C
CH
G16
G9 = 74
74
74
70)—CH2-G10

G10
G13 = alkylcarbonyloxy<(1-8)> (50)
G13
H2C: claim 6
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L9 ANSWER 5 OF 12 MARPAT COPYRIGHT 2000 ACS

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and new preparation for use in pharmaceutical compositions Grandadam, Jean Andre Roussel-UCLAF, Fr. Eur. Pat. Appl., 24 pp. CODEN: EPXXDW Patent
  INVENTOR (S) :
  PATENT ASSIGNEE(S):
SOURCE:
  DOCUMENT TYPE:
LANGUAGE:
                                                            French
 FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
            PATENT NO.
                                                     KIND DATE
                                                                                                       APPLICATION NO. DATE
                                                      A2
                                                                  19910313
            EP 417003
EP 417003
EP 417003
                                                                                                       EP 1990-402449 19900906
                                                                19911204
                                       B1 19940629
BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE
A1 19910308 FR 1989-11699
B1 19940422
A 19921222 US 1990-578894
AA 19910314 AU 1990-62259
B2 19920521
A2 19910424 JP 1990-236004
                                                                  19940629
EP 417003 B1 19940629
R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE
FR 2651435 A1 19910308 FR 1989-11699 19890907
FR 2651435 A 19910308 CR 1990-578894 19900905
CA 2024728 AA 19910308 CA 1990-2024728 19900906
AU 9062259 A1 19910314 AU 1990-62259 19900907
AU 623805 B2 19920521
JP 010909015 A2 19910424 JP 1990-236004 19900907
PRIORITY APPLM. INFO: FR 1989-11699 19890907
AB Anti-progestomimetic compds., e.g. I [R1 — C1-18 hydrocarbyl with optionally .gtoreq.1 heteroatoms, bonded to the steroid by a C, R2 — C1-8
             hydrocarbyl: X = rest of 5- or 6-membered (substituted) (unsatd.)
            A:C = ONO (free or in ketal), CH(OH), CH(OR3), CH(O2CR3), etc., R3 =
 C1-8
            alkyl, C7-15 aralkyl, B and C together form a double bond or epoxide bridge] and their acid and base addn. salts, are used for making pharmaceuticals for stimulating ovulation, e.g. in cows. The compds.
            the invention are preferably used following treatment with
 the invention are preferably used following treatment with progesterone orn memetic, e.g. 3-oxo-17.alpha.-sllyl-17.beta.-hydroxyestra-4,9,11-triene (II). Thus, heifer cows were let administered II for 17 days, on the day following the last administration, the animals were injected with
injected with 17.beta.-(4-dimethylaminophenyl)-17.alpha.-(prop-1-ynyl)estra-4,9-dien-3-one. All of the heifers came to heat
             a very short delay period, and LH levels rose very rapidly. Prepn.
```

of 12

MOTE 18

anti-progestomimetics is presented.

G1 = 85

Fg644G10

G12 = alkylcarbonyloxy<(1-8)> (SO (1-) aryl) / 96

G14 = 98

H2G—G15

G15 = alkylcarbonyloxy<(1-0)> (SO (1-) aryl) / 97

G16 = alkylcarbonyloxy<(1-0) - (SO (1-) aryl) / 97

G17 = alkylcarbonyloxy<(1-0) - (SO (1-) aryl) / 98

G18 = alkylcarbonyloxy<(1-0) - (SO (1-) aryl) / 98

G19 = alkylcarbonyloxy<(1-0) - (SO (1-) aryl) / 98

G19 = alkylcarbonyloxy<(1-0) - (SO (1-) aryl) / 98

G19 = alkylcarbonyloxy<(1-0) - (SO (1-) aryl) / 98

G19 = alkylcarbonyloxy<(1-0) - (SO (1-) aryl) / 98

G19 = alkylcarbonyloxy<(1-0) - (SO (1-) aryl) / 98

G19 = alkylcarbonyloxy<(1-0) - (SO (1-) aryl) / 98

G19 = alkylcarbonyloxy<(1-0) - (SO (1-) aryl) / 98

ANSWER 6 OF 12 MARPAT COPYRIGHT 2000 ACS

(Continued)

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L9 ANSVER 7 OF 12 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 115:9125 MARPAT
TITLE: Proparation of
.omega.-[(3-oxoestra-4,9-dien-11.beta.-
yl)phenylamino] alkanoates as antiglucocorticoids
Mogulievsky, Martine; Nedelec, Lucien; Nique,
Francois; Philibert, Daniel
ROUSSEL-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DOCUMENT TYPE: LANGUAGE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
 LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                   PATENT NO.
                                                                                KIND DATE
                                                                                                                                                            APPLICATION NO. DATE
                  EP 414606
EP 414606
EP 414606
                                                                                 A2
A3
B1
                                                                                                    19910227
19910724
                                                                                                                                                           EP 1990-402328
                                                                                                                                                                                                                     19900822
                 BY 414606 A3 19910/24
R: AT, BE, CH, DE, DK, ES, FR, FR 2651233 A1 19910301
FR 2651233 A1 19910301
FR 2651233 A1 19910230
LS 2062648 AA 19910224
ZA 9006341 A 19911023
US 5166146 A 19921124
JP 03090097 A2 19910416
JL 95451 A1 19950731
AU 9061189 A1 19910228
AU 634569 B2 19930225
HU 54706 A2 19910328
HU 208154 B 19930830
ES 2063313 T3 19950101
CN 1051362 A 19910515
CN 1033808 B 19970115
CN 1041236 C 1 19950809
RITY APPLM. IMFO. C1
                                                                                                     19941102
                                                                                                                                                  GB, GR, IT, LI, LU, NL, SE
FR 1989-11173 19890823
                                                                                                                                                         CA 1990-2022648

ZA 1990-6341

US 1990-568597

JP 1990-217281

IL 1990-95451

AU 1990-61189
JP 03090097 A2 19910416 JP 1990-217281 19900820
IL 95451 A1 19950731 IL 1990-95451 19900821
AU 9061189 A1 19910228 AU 1990-61189 19900822
AU 634569 B2 19930225
BU 54706 A2 19910328 HU 1990-5275 19900822
BU 5265313 T3 19950101 E5 1990-402328 19900822
CR 1051362 A 19910515 CN 1990-107161 19900823
CR 1033808 B 19970115
CR 1033808 B 19970115
RU 2041236 C1 19950809 RU 1992-5011511 19920518
PRICORITY APPIN. INFO: FR 1999-11173 19890823
AB The title compds. [I; R1 = aliph. hydrocarbyl; R2 = H, (un)substituted solv
                 6- membered ring; Z = (un)salified CO2H; n = 1-6) were prepd. Thus, aminophenylestradienone II (R = R5 = R6 = H) was condensed with
BrCH2CO2M
                  to give, after sapon., II (R = CH2CO2Na, R5 = R6 = H) which at 10-6M
vitro gave 82% inhibition of uridine incorporation into rat thymocytes.
MSTR 1A
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L9 ANSWER 7 OF 12 MARPAT COPYRIGHT 2000 ACS

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L9 ANSWER 8 OF 12 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 113:115677 MARPAT
ITILE: Preparation of androstanone derivatives as drugs
NVENTOR(S): Scholz, Stefan Neef, Guenter, Ottow, Eckhard;
  TITLE:
INVENTOR(S):
Elger,
                                                           Walter; Beier, Sybille; Chwalisz, Krzysztof
Schering A.-G., Fed. Rep. Ger.
Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
  PATENT ASSIGNEE(S):
SOURCE:
  DOCUMENT TYPE:
                                                            Patent
                                                           German
  FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
             PATENT NO.
                                                    KIND DATE
                                                                                                    APPLICATION NO. DATE
                                                     A1 19900328
B1 19950503
             EP 360369
EP 360369
                                                                                                    EP 1989-250040 19890920
             EF 360369 B1 1990503 R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE BE 3832303 A1 19900412 DE 1988-3832303 1986 LL 19672 A1 19941229 LL 1989-91672 1987 WO 9003385 A1 19900405 WO 1989-EF1090 1988
                                                                                                                                          19880920
19890918
            1990005
, JP, NO, US
19900418
19930902
19901031
19901021
19911028
19930830
19920326
19980604
19950515
19950901
19910319
19910320
19930914
19910319
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                                                                                                    AU 1989-43049
                                                                                                                                          19890920
                                                                                                   ZA 1989-7191
DD 1989-332836
HU 1989-5541
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19890920
                                                                                                    JP 1989-509963
                                                                                                                                          19890920
JP 2760870 B2 19980604

AT 122052 E 19980615 AT 1989-250040 19990920
ES 2074073 T3 199909301 ES 1989-250040 19990920
NO 9101102 A 19910319 NO 1991-1102 19910319
DK 9100504 A 19910319 NO 1991-1102 19910319
US 5244886 A 19930914 US 1991-663819 19910320
NO 9104772 A 19910319 NO 1991-4772 19911204
PRIORITY APPLN. INFO:: DE 1988-3832303 19880920
WO 1989-EP1090 19990920
NO 1991-1102 19910319

AB The title compds. [I, Z = 0, hydroxyimino; LM = bond, or L = H and M = .alpha.-CH; AB = bond and D = H and R1 = heteroaryl; or A = H and BD - CH2
 - CH2
             2
and Z = H2; R3, R4 = tetrahydropyranyloxyelkyl,
tetrahydropyranyloxyalkynyl, etc.], useful as antiglucocorticoids,
neoplasm inhibitors (esp. for breast cancer), progestogen inhibitors,
            antiproliferative agents, were prepd. 3-(Tetrahydropyran-2-yloxy)-l-propyne was lithiated with Buli in THF-hexane and the product treated
 with
           14.beta.-androstan-17-one II (R3R4 = 0) (prepn. given) to give II (R3
 - 0.
             R4 = OH) treated with 4N HCl to give I [R1 = OMe, R2 = Me, R3 =
  (CH2)SOH,
BD = CH2, LM = bond, Z = 0, A = H] (III). III had higher affinity
 for the
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gestagen receptor than the known EP-A 0277676 [11.beta.-[4-

1

L9 ANSWER 8 OF 12 MARPAT COPYRIGHT 2000 ACS (dimethylamino)phenyl]-=17.alpha.=hydroxy-17-(3-hydroxypropyl)-14.beta.-estra-4,9-dien-3-one]. MSTR 1A G20 'G29 G24 = 81 -G30 8**9**-G27 - 81 -G30 96 G28 - 81 -G30 •ዮ = OCHO = CHO claim 1 G30 MPL:

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L9 ANSWER 9 OF 12 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 112:235690 MARPAT
TITLE: Preparation of 13-alkyl-11.beta.-phenylgonanes as antigestagens and antiglucocorticoids
INVENTOR(S): Scholz, Stefan, Ottow, Eckhard, Neef, Guenter;
     INVENTOR(S):
Elger,
                                                                                               Walter: Beier, Sybille: Chwalisz, Krzysztof Schering A.-G., Fed. Rep. Ger. Ger. Offen., 22 pp. CODEN: GWXXEX Patent GWXXEX
    PATENT ASSIGNEE (S):
SOURCE:
     DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
PATENT NO. KIND DATE

0E 3922770 Al 19900104

IL 90826 Al 19940624

CA 1334668 Al 19950307

EP 349481 Al 19900103

EP 349481 Al 19900110

R: AT, BE, CH, DE, ES, FR, WO 900174 Al 1990111

W: AU, FI, HU, JP, NO

AU 8939568 Al 19900125

AU 644060 B2 19931202

ZA 8905058 A 19900425

DD 287511 A5 19910228

HU 208021 B 19930728

DD 295638 A5 19910127

JP 03505727 T2 19911212

JP 2956776 B2 19991004

US 5273971 A 1993128

AT 129717 E 19951115

ES 2080079 A 19910228

NO 180451 C 19970423

NO 180451 C 19970423

US 5446036 A 19350829

PRIORITY APPLN. INFO.:
                       PATENT NO.
                                                                                      KIND DATE
                                                                                                                                                                    APPLICATION NO.
                                                                                                                                                                                                                                    DATE
                                                                                                                                                                    DE 1988-3822770
IL 1989-90826
CA 1989-604596
EP 1989-730155
                                                                                                                                                                                                                                    19880701
                                                                                                                                                                                                                                     19890630
19890703
                                                                                                                                             GB, GR, IT, LI, LU, NL, SE
WO 1989-DE443 19890703
                                                                                                                                                                   AU 1989-38568
                                                                                                                                                                   ZA 1989-5058
DD 1989-330342
HU 1989-4130
                                                                                                                                                                                                                                     19890703
19890703
                                                                                                                                                                   DD 1989-341722
JP 1989-507188
                                                                                                                                                                                                                                    19890703
19890703
                                                                                                                                                                   US 1989-374809
AT 1989-730155
ES 1989-730155
NO 1990-5609
                                                                                                                                                                                                                                    19890703
19890703
                                                                                                                                                                  US 1993-144474
FI 1995-4856
NO 1996-829
DE 1988-3822770
US 1989-374809
WO 1989-DE443
NO 1990-5609
FI 1990-6441
                                                                                                                                                                                                                                    19931102
19951012
                FI 1990-641 19901228
The title compds. [I; Rl = heterocyclyl, cycylalkyl, cycloalkenyl, alkenyl, etc.; R2 = .alpha.-, .beta.-Me, -Et; R3,R4 = alkoxy, acyl, oxofuryl, alkynyl, etc.; Z = O, NOH], antigestagens and antigluccorticoids useful for induction of abortion, were prepd. via Grignard reaction of the corresponding 5.alpha.,10.alpha.-epoxy-9(11)
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L9 ANSWER 9 OF 12 MARPAT COPYRIGHT 2000 ACS (Continued) unsatd, steroids with p-R1C6H4X (X = halo). Grignard reaction of
      steroid II (prepn. given) with p-CH2:CHC6H4X (X = Br, iodo) gave I
      CH2:CH, R2 = .beta.-Me, R3 = OH, R4 = C.tplbond.CMe, Z =
CCH2CMe2CH2O],
which was hydrolyzed to give I [2 = 0, R1-R4 same as above]. This at
     mg s.c./day induced abortion in 100% of rats tested.
MSTR 1A
        - 37
G4
35 (0)-CH2-G10
G7
        - 32
32
        = CHO
= alkoxy<(1-4)>
MPL:
NTE:
          substitution is restricted
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PARPAT ACS

riarph steroids useful as antiprogestins, preparation, and pharmaceuticals containing them De Jongh, Hendrik Paul; Van Vliet, Nicolaas Pieter AKZO N. V., Neth. Eur. Pat. Appl., 10 pp. CODEN: EPXXCW Patent English
  L9 ANSWER 10 OF 12 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 111:233356 MARPAT
TITLE: New 11-aryl steroids useful as antiprogestins, their
  INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
  DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                      A1 19890621
B1 19930203
                  PATENT NO.
                                                                                                                                         APPLICATION NO.
                                                                                                                                                                                              DATE
PATENT NO. PATENT NO.
                                                                                       19930201

19930203

19, ES, FR, GB, 19930215

19940801

19980815

19910801

19920819

19920819

19930810

19930810

19930810

19920230

19930810

19920230

19990824
                                                                                                                                         EP 1988-202678
                                                                                                                                                                                              19881125
                                                                  CH, DE,
E
T3
                                                                                                                                 GR, IT, LI, NL, SI
AT 1988-202678
ES 1988-202678
2A 1988-8996
AU 1988-26469
                                                                                                                                                                                              19881125
19881125
19881130
19881201
                                                                                                                                        US 1988-281582
CA 1988-585297
DK 1988-6880
                                                                                                                                         FI 1988-5717
                                                                                                                                                                                               19881209
                                                                                                                                         CN 1988-108484
                                                                                                                                                                                              19881212
                CN 1019807 B 19921230
JP 01211597 A2 19890824 JP 1988-313643 19881212
RITY APPLN. INFO.: NL 1987-3008 19871212
EP 1988-202678 19881125

Aryl steroids I {R1 = aryl substituted by -NXY, X, Y = H, C1-4
hydrocarbyl; or XY = C2-6 hydrocarbyl forming 3- to 7-membered ring;
                H, OH, acyloxy, alkoxy, (un) satd. C1-8 hydrocarbyl with .gtoreq.1 OH,
                             cyane, and/or halo group; R3 = OH, acyloxy, alkoxy, or acyl
                  onally
substituted by CH, alkoxy, acyloxy, or halor or R2R3 forms ring; R2
.noteq. H or CH when R3 = OH; R4 = He, Et], which are strong
antiprogestins with little or no antiglucocorticoid activity (no
antiprogestins with little or no antique-out-colors are prepd. Thus, 7.beta.-methylestr-5-(10)-ene-3,17-dione 3,3-di-He acetal underwent NaBH4 redn., deketalization, bromination/dehydrobromination, reketalization, and epoxidn., to give 5.alpha.

10.alpha-epoxy-17.beta.-hydroxy-7.beta.-methylester-9(11)-ene-3-one 3,3-ethylene acetal. This underwent CuCl-catalyzed coupling with p-(Me2N)CGH4MgBr, Oppenauer oxidn. of 17-OH, alkynylation with THF-OCH2C.tplbond.CMgBr (THF = tetrahydropyrany1), and deprotection.
```

give (dimethylaminophenyl) hydroxy(hydroxypropynyl) methylestradienone

II.

L9 ANSWER 10 OF 12 MARPAT COPYRIGHT 2000 ACS (Continued) = phenylene = 31 31 C(0)-G11 - 31 / 35 31 -C(0)-G11 35(0)-G12 - 31 G10 30-C(0)-G11 = Ak (SO (1-) G10) = 42 G6 G5

claim 1

(Continued)

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L9 ANSWER 11 OF 12 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 110:213172 MARPAT
TITLE: 13(Alpha)-alkylgonanes, their production, and pharmaceutical preparations containing same
Neef, Guenter, Wiechert, Rudolf, Beier, Sybille,
Elger, Walter, Henderson, David
Schering A.-G., Fed. Rep. Ger.
U.S., S. pp. Cont. of U.S. Ser. No. 621,308.
COUNT: USXXAM
Patent
LANGUAGE: English
English
English
  DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                                                                                                                         APPLICATION NO. DATE
                     PATENT NO.
                                                                                       KIND DATE
US 4780461 A 19881025 US 1985-810148 19851218

DE 3321826 A1 19841220 DE 1983-321826 19830615

DE 3413036 A1 19851017 DE 1984-3443661 19841218

PRIORITY APPLN. INFO.: DE 1984-3413036 19840404

US 1984-3413036 19840404

US 1984-3413036 19840404

US 1984-321308 19840615

DE 1984-3446661 19841218

AB 13.alpha.-Alkylgonanes [Ir R = C1-4 acyl; X = 0, NOH; II; R1 = amino; R2 =
                     H, Me, Et; R3 = (substituted) alkyl; R4 = OH, alkoxy, alkanoyloxy; or
R3R4

— Q: R5 = H, alkyl; III; Z = CH2CH2, CH2CMe2CH2], having antigestagenic activity and useful as postcoital contraceptives, or for triggering abortion and menstruation (no data), are prepd. via photochem. epimerization of the 13.beta.-gonanes IV. 11.beta.-(4-Dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.-methyl-17.beta.-(3-hydroxypropyl)-4,9-gonadien-3-one (V) was acetylated with Ac2O in pyridine to give 11.beta.-(4-dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.
                    iine
to give 11.beta.-(4-dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.-
methyl-17.beta.-(3-acetoxypropyl)-4,9-gonadien-3-one. A tablet was
formulated contg. V 10.0, lactose 140.0, corn starch 69.5,
polyvinylpyrrolidone 25 2.5, Aerosil 2.0, and Mg stearate 0.5 mg.
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<sub>5</sub>G (0)-CH<sub>2</sub>—G11
           = alkylcarbonyloxy<(1~3)>
= alkoxy<(1-4)>
= 66
    ,G4
وور<sup>09</sup>
           - 33 <RC (1), RS (1) M5 (1) X6, EC (0-) O (1-) N (0-) S (0) OTHERQ, AN (1) N, BD (ALL) SE> and acid addition salts claim 18
L9 ANSWER 12 OF 12 MARPAT COPYRIGHT 2000 ACS
                                                                                 (Continued)
G1
          = 63 / 64 / 65
G5
          - 25
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L9 ANSWER 11 OF 12 MARPAT COPYRIGHT 2000 ACS

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L9 ANSWER 12 OF 12 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 110:95624 MARPAT
ITILE: Preparation of novel 11-arylestrane and
11-arylpregnane derivatives as antiprogestins
    with low
                                                                                                                                                 or no antiglucocorticoid activity
Groen, Harinus Bernard; De Jongh, Hendrik Paul
AXZO N. V. Neth.
Bur. Pat Appl., 11 pp.
CODEN: SEYXOW
Patent
    INVENTOR(S):
    PATENT ASSIGNEE(S):
SOURCE:
    DOCUMENT TYPE:
                                                                                                                                                   English
    FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                               PATENT NO.
                                                                                                                                 KIND DATE
                                                                                                                                                                                                                                                          APPLICATION NO. DATE
                                                                                                                                                          EP 289073
EP 289073
                                                                                                                                       A1
B1
                                                                                                                                                                                                                                                                                                                                                            19880412
EP 289073 A1 1
EP 289073 B1 R: AT, BE, CH, DE, AT 69820 E J 69820 T3 J 24 8802643 A J FI 8801826 A J FI 88396 C J FI 88396
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19880412
19880414
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19930129
19930510
19891003
19920317
19881025
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CA 1988-564606
DK 1988-2218
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19880420
19880422
                                                                                                                                                                     19940307
19881027
                                                                                                                                                                                                                                                          AU 1988-15072
                                                                                                                                                                                                                                                                                                                                                              19880422
                                                                                                                                                                   19910418
19881117
                                                                                                                                                                                                                                                         JP 1988-100010
CN 1988-102416
                                                                                                                                                                                                                                                                                                                                                           19880422
19880423
                                                                                                                                                                   19930303
                           CN 1019978 B 19930303

RRITY APPLN. INFO:

NL 1987-970 19870424

EP 1988-200689 19880412

The title compds. [1; R1 = aminoaryl; R2 = C1-4 alkyl; R3 = H, OH, substituted (unsatd.) C1-8 hydrocarbyl; R4 = CH, acyloxy, substituted acyl; R3R4 = atoms to complete a ring; R5 = C1-4 hydrocarbyl] useful
   as antiprogestins (no data) were prepd.

5.alpha., 6.alpha.-Epoxy-11.beta.-
hydroxyestrane-3,17-dione-3,17-diethylene acetal (prepn. given) was treated with HeMgCl in PhMe/THF and the product was dehydrated with POCl3/pyridine to give

6-beta.-methylestra-5(10),9(11)-diene-3,17-dione-3,17-diethylene acetal. The latter was converted in several steps to
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11. beta. -[4-(dimethylamino) phenyl]-17. beta. -hydroxy-17. slpha. -(3-hydroxy-1-propynyl)-6. beta.-methylestra-4, 9-diene-3-one.
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25 G6

- alkylcarbonyloxy (SR (1-) G12)
- alkylcarbonyl (SO (1-) G10)
- alkylcarbonyloxy (SR (1-) G12)
- 69 <(1-7)>

claim 1

G6 G7 G10

=> d his

(FILE 'HOME' ENTERED AT 14:34:24 ON 07 JAN 2000)

FILE 'REGISTRY' ENTERED AT 14:34:49 ON 07 JAN 2000 ACTIVATE

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L1		STR
L2	41	SEA FILE=REGISTRY SSS FUL L1
L3		STRUCTURE UPLOADED
L4	0	S L3
L5	6	S L3 FULL
		S' ENTERED AT 14:36:30 ON 07 JAN 2000
L6	1	S L5
	FILE 'USPAT	FULL' ENTERED AT 14:36:48 ON 07 JAN 2000
L7		S L5
_		TEIN' ENTERED AT 14:37:03 ON 07 JAN 2000
L8	0	S L3 FULL
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L10	_ _	
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L4 ANSWER 1 OF 7
ACCESSION NUMBER:
DOCUMENT NUMBER:
137:28399
137:28399
CDB-4124 and its putative monodemethylated metabolite,
CDB-4453, are potent antiprogestins with reduced
antiglucocorticoid activity: in vitro comparison to
mifepristone and CDB-2914
Attardi, Barbara J., Burgenson, Janet, Hild, Sheri A.,
Reel, Jerry R., Blye, Richard P.
Moleculer Endocrinology Laboratory, BlOQUAL, Inc.,
Rockville, MD, 20850, USA
Moleculer and Cellular Endocrinology (2002), 188 (1-2),
111-123
COODEN: MCEND6; ISSN: 0303-7207
Elsevier Science Ireland Ltd.
Journal

Nolecular and Cellular Endocrinology (2002), 188 (1-2), 111-123
CODEN: MCENDG; ISSN: 0303-7207

PUBLISHER: Elsevier Science Ireland Ltd.

DOCUMENT TYPE: Journal

AB To obtain selective antiprogestins, we have examd, the in vitro antiprogestational/antiplucocorticoid properties of two novel compds., CDB-4124 and the putative monodemethylated metabolite, CDB-4453, in transcription and receptor binding assays and compared them to CDB-2914 and mifepristone. All four antiprogestins bound with high affinity to rabbit uterine progestin receptors (PR) and recombinant human FR-A and PR-B (rhPR-A, rhPR-B) and were potent inhibitors of K5020-induced transactivation of the PREZ-tk-luciferase (PREZ-tk-LUC) reporter plasmid and endogenous alk, phosphatare prodn. in T47D-CO human breast cancer cells. None of these compds. exhibited agonist activity in these cells. Induction of luciferase activity was potentiated about five-fold by 8-Br-CAMP under basal conditions and to the same extent in the presence of the PR antagonists. Mifepristone bound to rabbit thymic glucocorticoid receptors (GR) with approx. twice the avidity of the CDB antiprogestins. Inhibition of GR-mediated transcription of PREZ-tk-LUC was assessed in HepG2 human hepatoblastoms cells. Mifepristone exhibited greater antiglucocorticoid activity than CDB-2914, 1424, and 4453, about 12-, 22-, and 185-fold, resp. Thus, while there was a good correlation between binding to PR and functional activity of these antiprogestins, GR binding was not predictive of their glucocorticoid antagonist activity. In agreement with our in vivo results, CDB-4124, and 453, as well as CDB-2914, are potent antiprogestins in vitro, but show considerably less antiglucocorticoid activity than mifepristone.

IT 198414-31-2, CDB-4124 365416-28-0, CDB 4453

RL: PAC (Pharmacological activity); THU (Therapeutic use), BIOL (Biological study); USES (Uses)

(CDB-4124 and putative monodemethylated metabolite, CDB-4453, are potent antiprogestins with reduced antiglucocorticoid activity in transcriptio

Absolute stereochemistry.

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER:
DOCUMENT NUMBER:
115:304062
11TLE:
115:304062
19-norpregna-4,9-diene-3,20-dione derivatives as new antiprogestational agents
INVENTOR(S):

Kim, Hyun K., Blye, Richard P., Rao, Pemmaraju N., Cessac, James W., Acosta, Carnie K., Simmons, Anne Marie
PATENT ASSIGNEE(S):
SOURCE:
PATENT ASSIGNEE(S):
SOURCE:
POCUMENT TYPE:
LANGUAGE:
PARILY ACC. NUM. COUNT:
11

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT INFORMATION:

PATENT INFORMATION:

PATENT INFORMATION:

PATENT NO. KIND DATE

WO 2001074840 A2 20011011 WO 2001-USS681 20010316

WO 2001074840 A3 20020502

W: AL, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, EZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GH, HR, HJ, DJ, LL, IN, IS, JP, KE, KG, KR, KR, KZ, LC, LK, LK, LS, LT, LU, LV, HA, MD, MG, MK, HN, WH, MK, MZ, NO, MZ, FL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TH, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH

RW: GH, GH, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, UW, AT, BE, CH, CY, DE, DK, SE, FI, FR, GB, GR, IE, IT, LU, MC, ML, FT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2001045849 A5 20011015 AU 2001-45449 2010316

ER: AT, BE, CH, DE, DK, ES, FR, CB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

FRIORITY APPIN. INFO:

US 2000-526555 A 20000317

OTHER SOURCE(S):

MARPAT 135:3040620

AB 19-Norpregna-4, 9-diene-3,20-dione derivs. [1, R1 = OMe, SMe, NMe2, NHMe, NCH10, NCSH10, NCS

ANSWER 1 OF 7 CAPLUS COPYRIGHT 2003 ACS

J9-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-methoxy-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)
21-substituted 19-norpregnadienedione as new antiprogestational agents)
198414-09-4 CAPLUS
198404-09-4 CAPLUS
199-Norpregna-4,9-diene-3,20-dione, 21-{acetylthio}-11-{4-(dimethylamino)phenyl}-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

198414-31-2 CAPLUS 19-Norpregna-4,9-diene-3,20-dione,17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-,(11.beta.)-(9CI) (CA INDEX NAME)

198414-39-0 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-(dimethylamino)phenyl)-21-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

365416-60-0 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)pheny1]-17,21-

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued) dimethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-03-6P, CDB 4058 198414-05-0P, CDB 3876
198414-07-2P, CDB 4059 198414-11-6P, CDB 4101
198414-22-1P, CDB 4030 198414-13-4P, CDB 4125
198414-32-5P, CDB 4152 198414-41-4P 198414-43-6P

CDB 4031 365415-80-1P 365416-26-6P
365416-59-0P 365416-50-6P 365416-51-6P
365416-59-7P 365416-61-1P 365416-62-2P
365416-63-3P 365416-61-1P 365416-62-2P
365416-63-3P 365416-67-7P 365416-68-6P
365416-69-9P 365416-70-2P 365416-71-3P
365416-69-9P 365416-70-2P 365416-71-3P
365416-72-4P 363416-73-5P 365416-71-3P
365416-72-4P 363416-73-5P 365416-71-3P
365416-72-0P 365416-70-2P 365416-71-3P
365416-73-6P 365416-71-3P 365416-71-3P
365416-73-6P 365416-71-3P 365416-71-3P
365416-73-6P 365416-71-3P 365416-71-3P
365416-73-8P 365

Absolute stereochemistry.

198414-05-0 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-chloro-11-[4-

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)
Estra-4,9-dien-3-one, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl)-17-(1oxopropyl)-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

solute stereochemistry. Rotation (+).

198414-33-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(3-cyclopentyl-1-oxopropoxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

198414-34-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-{4-(dimethylamino)phenyl]-21-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-41-4 CAPLUS

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued) (dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-07-2 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

198414-11-8 CAPLUS :
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(acetylthio)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 198414-22-1 CAPLUS

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

198414-43-6 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-bromo-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

365415-80-1 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-{4-(dimethylamino)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 7 CAPLUS COFYRIGHT 2003 ACS (Continued)
CN 19-Notpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17,21-dimethowy-, 3-oxitime, (11.beta.)- [9C1] (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 365416-28-0 CAPLUS
CN 19-Norprespar-4,9-diene-3,20-diene, 17-(acetyloxy)-21-methoxy-11-[4-(methylamino)phenyl]-, (11.bets.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 365416-50-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-acetylphenyl)-21(acetylthio)-, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 7 - CAPLUS COPYRIGHT 2003 ACS (Continued

RN 365416-58-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-{4-(1-piperidinyl)phenyl}-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 365416-59-7 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-(4-acetylphenyl)-, (11.beta.)- [9CI) (CA INDEX NAME)

Absolute stereochemistry

RN 365416-61-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-ethoxy21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 355416-51-9 CAPLUS CN 19-Norpregna-4,9-diene-3,20-dione, -11-(4-acetylphenyl)-17,21-dimethoxy-, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry,

RN 365416-52-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-[2-(dimethylamino)ethoxy]phenyl]-21-methoxy-, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

RN 365416-53-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-methoxy-11-[4-[2-(1-piperidinyl)ethoxy]phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued

RN 365416-62-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-diene, 17,21-dimethoxy-11-[4-(1-pyrcolidinyl)phenyl]-, (11.bets.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 365416-63-3 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-dimethoxy-11-{4-(1-piperidinyl)phenyl}-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 365416-64-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-methoxy-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 365416-65-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-acetylphenyl)-21-methoxy-, (1).beta.)- (9CI) (CA_INDEX_NAME)

Absolute stereochemistry.

RN 355416-66-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-methoxy-11-[4-[2-(1-pyrrolidiny)]ethoxy]phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 365416-67-7 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-(1-oxopropoxy)-, (11.beta.)- (9CI) (CA INDEX

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 365416-70-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4- .
(dimethylamino)phenyl]-21-(ethenyloxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

RN 365416-71-3 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-(ethenyloxy)-17-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 365416-72-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21(ethenyloxy)-17-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued) NAME)

Absolute stereochemistry.

RN 365416-68-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-{acetyloxy}-11-{4- (dimethylamino) phenyl}-21-[(methoxyacetyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 365416-69-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-[(methoxycarbonyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 365416-73-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-thiocyanato-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 365416-74-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17,21-bis(formyloxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 365416-75-7 CAPLUS
Glycine, N,N-dimethyl-, (11.beta.)-17-(acetyloxy)-11-(4(dimethylamino)phenyl)-3,20-dioxo-19-norpregna-4,9-dien-21-yl ester (9CI)
(CA INDEX NAME)

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

198413-96-6P 198413-97-7P 198413-98-8P
199413-99-9P 198414-00-5P 198414-21-0P
198414-30-1P 198414-32-3P 198414-38-9P
198414-42-5P 365416-19-5P 365416-08-6P
365416-17-7P 365416-18-8P 365416-19-9P
365416-32-2P 365416-31-3P 365416-22-4P
365416-33-7P 365416-48-6P 365416-33-9P
365416-48-1P 365416-48-4P 365416-47-3P
365416-48-1P 365416-49-5P
RL: RCT (Reactant): SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 17.3,bph.-substituted-11.beta.-substituted-4-aryl and 21-substituted 19-norpregnadienedione as new antiprogestational agents)
198413-96-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-chloro-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.) (CA INDEX NAME) ΙŤ

Absolute stereochemistry.

198413-97-7 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-{4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS

198414-21-0 CAPLUS Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-hydroxy-17-(1-oxopropyl)-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

198414-30-1 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-32-3 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(3-cyclopentyl-1-oxopropoxy)-11-{4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

199413-98-8 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17,21-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198413-99-9 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-[(methylamifonyl)oxyl-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. .

198414-00-5 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-fluoro-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

198414-38-9 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-ethoxy-17-hydroxy-, (11.beta.)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

198414-42-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-bromo-11-[4-(dimethylamino)phenyl]17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-07-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-chloro-17-hydroxy-11-{4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

365416-08-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-hydroxy-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-17-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-hydroxy-21-methoxy-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS

365416-20-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-{(chloroacetyl)oxy}11-(4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

365416-21-3 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-[(iodoacetyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

RN 365416-22-4 CAPLUS

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

365416-18-8 CAPLUS
19-Norpregna-4,9-diene-3,20-diene, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-(1-oxopropoxy)-, (11.beta.)- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

365416-19-9 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-[(chloroacetyl)oxy]-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy21-thiocyanato-, (11.beta.)- (9CI) (CA INDEX NAME)

365416-33-7 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 11-(4-acetylphenyl)-21-bromo-17-hydroxy-,(11.beta.)- (9CI) (CA INDEX NAME)

365416-34-8 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-acetylphenyl)-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-35-9 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-(4-acetylphenyl)-21-(acetylthio)-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS Absolute stereochemistry. (Continued)

365416-45-1 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-(4-acetylphenyl)-17-hydroxy-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

365416-46-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-[2-(dimethylamino)ethoxy]phenyl]-17-hydroxy-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

365416-47-3 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-hydroxy-21-methoxy-11-[4-[2-(1-piperidinyl)ethoxy]phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

365416-23-5P 365416-27-9P RL: SPN (Synthetic preparation): PREP (Preparation) [preparation] [preparation] [preparation] [preparation of 17.alpha.-substituted-11.beta.-substituted-4-aryl and preparation of 17.substituted 19-norpregnationed as new antiprogestational agents) 365116-23-5 CAPLUS 3-Notpteynationed since antiprogramming 19-Norpregna-4,9-drien-3,20-dione,11-[4-(dimethylamino)phenyl]-21-(formyloxy)-17-hydroxy-, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

365416-27-9 CAPLUS
19-Norpregna-4,9-diene-3,20-diene, 17,21-bis(acetyloxy)-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS

365416-48-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-[(methoxyacetyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-49-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-[(methoxycarbonyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:
DOCUMENT NUMBER:
115:61476
Process for the preparation of 17.alpha.-acetoxy11.beta.-[4-N,N-(dimethylamino)phenyl]-21-methoxy-19norpregna-4,9-disen-3,20-dione, intermediates useful
in the process, and processes for preparing such
intermediates

Kim, Hyun Xoo; Rao, Pemmaraju N.; Cessac, James W.;
Simmons, Anne Marie
United States Dept. of Health and Human Services, USA
PCT Int. Appl., 50 pp.
CODEM: PIXXD2

DOCUMENT TYPE:
DOCUMENT TYPE:
English

LANGUAGE: FAMILY ACC. NU

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paration); FREF (Freparation); MALI (Reactant or reagent) (process for the prepn of 17.alpha.-acetoxy-11.beta.-(4-N,N-(dimethylamino)phenyl)-21-methoxy-19-notpregna-4,9-diene-3,20-dione,intermediates useful in the process, and processes for prepg. such intermediates)

intermediates)
198414-30-1 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-

ANSWER 3 OF 7 CAPLUS COPYRIGHT 2003 ACS (C21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME) (Continued)

Absolute stereochemistry.

198414-31-2P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Freparation)
(Preparation)
(process for the prepn. of 17.alpha.-acetoxy-11.beta.-[4-N,N-(dimethylamino)phanyl]-21-methoxy-19-norpregna-4,9-dimen-3,20-dione, intermediates useful in the process, and processes for prepg. such intermediates)

intermediates)
198414-31-2 CAPLUS
199-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, (11.beta.)- (9CI). (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

328535-37-1 CAPLUS
19-Norpregna-4,9-diene-3,20-diene, 21-methoxy-11-[4-(4-morpholinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328535-38-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11[4-(4-morpholinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

ACCESSION NUMBER: 2001:185774 2003 ACS ACCESSION NUMBER: 2001:185774 CAPLUS DOCUMENT NUMBER: 134:20009 Prenaration

134:208009
Preparation of 17.beta.-acyl-17.alpha.-propynyl11.beta.-(cyclic amino) aryl steroids and their
derivatives having antagonist hormonal properties
Cook, C. Edgar Kepler, John A.; O'Reilly, Jill M.
Research Triangle Institute, USA
PCT Int. Appl., 70 pp.
CODEN: PIXXO2
Patent
English
1

INVENTOR(S): PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	ENT				ND	DATE			A	PPLI	CATI	ON NO	٥.	DATE			
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WO	2001	0180	25	A.	2	2001	0315		W	0 20	00-U	5242	74	2000	0905		
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R SOURCE(S): MARPAT 134:208009
The invention is directed to the prepn. of 17.beta.-acyl-17.alpha.-propynyl steroids of formula I [Rl - heterocycle R2 - Me, CF3, CH2OH; R3 - H. Me, OMe, OAc, halor R4 - H. Me, F, Cl; X - O, H2, NOM, NOMe] which exhibit potent antiprogestational activity. Thus, II was prepd from 17.beta.-cyano-3,3-(ethanediyldioxy)-17.alpha.-trimethylsilyloxy-5(10), 9(11)-diene in 8 steps. The anti-McGinty assay for antiprogestational agent with a marked effect at 0.3 .mu.g dose. 326535-36-07 226353-37-17; 226353-36-27
326535-36-07 226353-37-17; 226353-36-27
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326353-37-39 326335-36-69 326535-56-79
326353-57-59 326353-56-69 326535-59-17
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326353-67-59 326353-65-39 326535-62-29
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326356-57-99 326536-60-39 326536-61-9
326356-77-29 326536-60-39 326536-76-19
326356-77-29 326536-78-09 326536-76-19

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS

Absolute stereochemistry. Double bond geometry unknown.

328535-40-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(4-morpholiny1)pheny1]-17-(3,3,3-trifluoro-1-propyny1)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

328535-41-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11[4-(4-morpholinyl)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

328535-54-2 CAPLUS Estra-4,9-dien-3-one, ll-[4-(4-morpholinyl)phenyl]-17-(1-oxopropyl)-17-(1-propynyl)-, (ll.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328535-55-3 CAPLUS
Estra-4,9-dien-3-one, 11-[4-(4-morpholinyl)phenyl]-17-(1-oxopropyl)-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

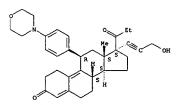
328535-58-6 CAPLUS Estra-4,9-dien-3-one, 11-[4-(4-morpholinyl)phenyl]-17-(1-oxopropyl)-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328535-59-7 CAPLUS Estra-4,9-dien-3-one, 17-(3-hydroxy-1-propynyl)-11-[4-(4-morpholinyl)phenyl]-17-(1-oxopropyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

328535-56-4 CAPLUS Estra-4,9-dien-3-one, 17-(3-hydroxy-1-propynyl)-11-[4-(4-morpholinyl)phenyl]-17-(1-oxopropyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)



328535-57-5 CAPLUS Estra-4,9-dien-3-one, 11-[4-(4-morpholinyl)phenyl]-17-(1-oxopropyl)-17-(1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry, Double bond geometry unknown.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

328535-89-3 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(1-piperidinyl)phenyl]-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328535-90-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(1-piperidinyl)phenyl]17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328535-91-7 CAPLUS 19-Norpregna-4,9-diene-3,20-diene, 17-(3-hydroxy-1-propyny1)-21-methoxy-11-

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued) [4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328535-92-8 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(1-piperidinyl)phenyl]-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328535-94-0 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(1-piperidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

328336-22-7 CAPIUS Estra-4,9-dien-3-one, 17-(1-oxopropyl)-11-[4-(1-piperidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328536-23-8 CAPLUS Estra-4,9-dien-3-one, 17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-11-[4-(1-piperidinyl)phenyl]-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328536-24-9 CAPLUS Estra-4,9-dien-3-one, 17-(1-oxopropyl)-11-[4-(1-piperidinyl)phenyl]-17-(1-

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

328535-96-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11[4-(1-piperidinyl)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328536-20-5 CAPLUS Estra-4,9-dien-3-one, 17-(1-oxopropyl)-11-[4-(1-piperidinyl)phenyl]-17-(1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued) propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

328536-25-0 CAPLUS Estra-4,9-dien-3-one, 17-(1-oxopropyl)-11-[4-(1-piperidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

328536-26-1 CAPLUS
Estra-4,9-dien-3-one, 17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-11-{4-(1-piperidinyl)phenyl]-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

328536-56-7 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-17-(1-propynyl)-11-{4-(1-pyrrolidinyl)phenyl}-, (11.beta.)- (9CI) (CA INDEX NAME)

328536-57-8 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(1-pyrrolidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI)
(CA-INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

328536-61-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11-(4-(1-pyrrolidinyl)phenyl]-, 3-oxime, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328536-74-9 CAPLUS Estra-4,9-dien-3-one, 17-(1-oxopropyl)-17-(1-propynyl)-11-[4-(1-pyrrolidinyl)phenyl]-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328536-75-0 CAPLUS E=tra-4,9-dien-3-one, 17-(1-oxopropyl)-11-(4-(1-pyrrolidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

328536-58-9 CAPLUS
19-Norpregna-4,9-diene-3,20-diene, 17-(3-hydroxy-1-propynyl)-21-methoxy-11-(4-(1-pyrrolidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328536-59-0 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-17-(1-propynyl)-11-(4-(1-pyrolidinyl)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328536-60-3 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(1-pyrrolidinyl]phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued) Absolute stereochemistry.

328536-76-1 CAPLUS Estra-4,9-dien-3-one, 17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-11-[4-(1-pyrrolidinyl)phenyl]-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328536-78-3 CAPLUS Estra-4,9-dien-3-one, 17-(1-oxopropyl)-11-[4-(1-pyrrolidinyl)phenyl]-17-

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued) (3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

328536-79-4 CAPLUS Estra-4,9-dien-3-one, 17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-11-[4-(1-pyrrolidinyl)phenyl]-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328537-07-1 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(4-morpholinyl)phenyl]-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

328537-10-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(4-morpholinyl)phenyl]-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328537-11-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(4-morpholinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

328537-08-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(4-morpholinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328537-09-3 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-[4-(4-morpholinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

328537-12-8 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-[4-(4-morpholinyl)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328537-25-3 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(1-piperidinyl)phenyl]-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

ANSVER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued) 328537-27-5 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(1-piperidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328537-29-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-(4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328537-31-1 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-(1-piperidinyl)phenyl]-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

328537-48-0 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(1-propynyl)-11-[4-(1-pyrrolidinyl)phenyl]-, (11.beta.)- (9C1) (CA INDEX NAME)

328537-49-1 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(1-pyrrolidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

328537-50-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-[4-(1-pyrrolidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

328537-33-3 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(1-piperidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)-(9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328537-35-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-[4-(1-piperidinyl)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued) NAME)

328537-51-5 CAPLUS
13-Norpregna-4,2-diene-3,20-dione, 21-(acetyloxy)-17-(1-propynyl)-11-[4-(1-pyrcolidinyl)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328537-52-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(1-pyrrolidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9C1) (CA INDEX MAME)

Absolute stereochemistry.
Double bond geometry unknown.

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS

328537-53-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-[4-(1-pyrrolidinyl)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)
273210-54-1P 273210-55-2P 273210-56-3P
273210-57-4P 273210-55-2P 273210-59-6P
RLi BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified) SFN (Synthetic preparation); HBU (Therapeutic use); BIOL (Biological study); PREF (Preparation); USES (Uses)
(prepn. of 17.beta.-acyl-17.alpha.-propynyl-11.beta.-arylsteroids with antipropestational activity)
273208-59-6 CAPLUS
19-Nocprepara-4, 9-diene-3, 20-dione, 11-(4-aminophenyl)-21-methoxy-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273208-60-9 CAPLUS 19-Worpreyna-4,9-diene-3,20-dione, 11-(4-aminophenyl)-21-methoxy-17-(3,3,3-tifluoro-1-propynyl)-, (11.beta.)- (SCI) (CA INDEX NAME)

273208-61-0 CAPLUS
13-000-61-0 CAPLUS
13-00-61-0 CAPLUS
13-00-61-0

Absolute stereochemistry.

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:401850 CAPLUS
133:17687 Preparation of 17.beta.-acyl-17.alpha.-propynyl11.beta.-arylsteroids and their derivatives having agonist or antagonist hormonal properties
COOK, C. Edgar/ Kepler, John A., O'Reilly, Jill M.
Research Triangle Institute, USA
POT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patonic

Patent English 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

EP 1999-964047 19991203

EP 1999-964047 19991203

LE, SI, LT, LV, FI, RO

NZ 512697 A 20030131 NZ 1999-512697 19991203

OTHER SOURCE(S):

MARPAT 133:17687

AB Novel 17.beta.-acyl-17.alpha.-propynyl steroids of formula I [R] = NMeZ,
NHMe, NH2; R2 - Me, CF3, CH2OH, R3 - H, Me, OMe, OAc; R4 - H, Me, F, Cl; X

- O, H2, NOH, NOMe) are prepd. from estrone in many steps. The relative progesterone binding activity of II was 3131 of promegestone.

IT 273208-59-6P 273208-60-8P 273208-61-0P

273208-59-1P 273208-63-2P 273208-64-3P

273208-19-1P 273208-18-4P 273208-62-5P

273208-19-1P 273208-18-4P 273208-19-0P

273208-19-1P 273208-31-4P 273208-19-1P

273208-31-5P 273208-31-8P 273208-11-9P

273208-31-9P 273208-31-8P 273208-11-9P

273208-31-9P 273208-31-8P 273209-31-9P

273208-31-9P 273209-31-8P 273209-31-9P

273208-61-9P 273209-31-8P 273209-31-9P

273208-61-9P 273209-31-8P 273209-31-9P

273208-61-9P 273209-81-9P 273209-91-P

273209-81-9P 273209-81-9P 273209-91-P

273209-81-9P 273209-81-9P 273209-91-P

273209-81-9P 273209-81-9P 273209-91-P

273210-19-P 273210-19-PP 273210-19-P

273210-19-P 273210-22-3P 273210-31-P

273210-39-2P 273210-31-9P 273210-31-P

273210-39-2P 273210-40-5P 273210-41-6P

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry. Double bond geometry unknown.

273208-63-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-(4-aminophenyl)-21-methoxy-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

273208-64-3 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-(4-aminophenyl)-17-(3-hydroxy-1-propynyl)-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

273208-77-8 CAPLUS Estra-4,9-dien-3-one, 11-(4-aminophenyl)-17-(1-oxopropyl)-17-(1-propynyl)-, (11.beta.,)7-beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

273208-78-9 CAPLUS Estra-4,9-dien-3-one, 11-(4-aminophenyl)-17-(1-oxopropyl)-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273208-79-0 CAPLUS Estra-4,9-dien-3-one, 11-(4-aminophenyl)-17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-1, (11-beta.,17.beta.)- (9CI) (CA INDEX NAME)

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued) 273208-82-5 CAPLUS Estra-4,9-dien-3-one, 11-(4-aminophenyl)-17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

273209-12-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-methoxy-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

273209-13-5 CAPLUS
19-Norpregna-4,9-diene-3,20-diene, 11-[4-(dimethylamino)phenyl]-21-methoxy17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273209-14-6 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-(3-

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued) Absolute stereochemistry.

273208-80-3 CAPLUS Estra-4,9-dien-3-one, 11-(4-aminopheny1)-17-(1-oxopropy1)-17-(1-propyny1)-,3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

273208-81-4 CAPLUS Estra-4, 9-dien-3-one, 11-(4-aminophenyl)-17-(1-oxopropyl)-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued) hydroxy-1-propynyl)-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

273209-15-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-methoxy17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

273209-16-8 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-methoxy17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

273209-17-9 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl)-17-(3-

AMSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued) hydroxy-1-propynyl)-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

273209-30-6 CAPLUS Estra-4,9-dien-3-one, 11-{4-(dimethylamino)phenyl}-17-(1-oxopropy))-17-(1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273209-31-7 CAPLUS

2/329-31-/ CAPEUS Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS

273209-35-1 CAPLUS Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

273209-67-9 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(methylamino)phenyl]-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

273209-68-0 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(methylamino)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

273209-32-8 CAPLUS
Estra-4,9-dien-3-one, 11-{4-(dimethylamino)phenyl}-17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273209-33-9 CAPLUS Estra-4,9-dien-3-one, 11-{4-(dimethylamino)phenyl}-17-(1-oxopropyl)-17-(1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

273209-34-0 CAPLUS Estra-4,9-dien-3-one, l1-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (l1.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS



273209-69-1 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273209-70-4 CAPLUS
19-Norpregna-4, 9-diene-3,20-dione, 21-methoxy-11-[4-(methylamino)phenyl]17-(1-propyyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

273209-71-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(methylamino)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN .273209-72-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11-[4-(methylamino)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 273209-85-1 CAPLUS
CN Estra-(3-diden-3-one, 11-[4-(methylamino)phenyl]-17-(1-oxopropyl)-17-(1-propynyl)-, (11.beta.,17.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

RN 273209-86-2 CAPLUS
CN Estra-4,9-dien-3-one, 11-[4-(methylamino)phenyl]-17-(1-oxopropyl)-17(3,3,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued) (3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 273209-90-8 CAPLUS
CN Estra-4,9-dien-3-one, 17-(3-hydroxy-1-propynyl)-11-[4-(methylamino)phenyl]17-(1-oxopropyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 273210-18-7 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-aminophenyl)-17-(1-propynj)-, (1).beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

RN 273210-19-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-aminophenyl)-17-

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued) Absolute stereochemistry.

RN 273209-87-3 CAPLUS
CN Estra-4,9-dien-3-one, 17-(3-hydroxy-1-propyny1)-11-[4-(methylamino)phenyl]17-(1-oxopropyl)-, (11.beta.,17.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

RN 273209-88-4 CAPLUS
CN Estra-4,9-dien-3-one, ll-[4-(methylamino)phenyl]-17-(1-oxopropyl)-17-(1-propynyl)-, 3-oxime, (ll.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 273209-89-5 CAPLUS CN Estra-4,9-dien-3-one, 11-[4-(methylamino)phenyl]-17-(1-oxopropyl)-17-

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued) (3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 273210-20-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-aminophenyl)-17-(3-hydroxy-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 273210-21-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-aminopheny1)-17-(1-propyny1)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 273210-22-3 CAPLUS
CN 19-Norpregna-4;9-diene-3,20-dione, 21-(acetyloxy)-11-(4-aminophenyl)-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued) Absolute stereochemistry.
Double bond geometry unknown.

273210-23-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-aminophenyl)-17-(3-hydroxy-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

273210-36-9 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

273210-40-5 CAPLUS
19-Norpregna-4, 9-diene-3, 20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

273210-41-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(3-hydroxy-1-propynyl)-, 3-oxime, (11.beta.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

273210-54-1 CAPLUS
19-Norprégna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4(methylamino)phenyl]-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued) 273210-37-0 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

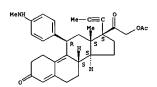
273210-38-1 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(3-hydroxy-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273210-39-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS Absolute stereochemistry. (Continued)



273210-55-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(methylamino)phenyl]-17-[3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

273210-56-3 CAPLUS
19-Norpregna-4,9-diene-3,20-diene, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

273210-57-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(methylamino)phenyl]-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CAINDEX NAME)

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS Double bond geometry unknown.

273210-58-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(methylamino)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

273210-59-6 CAPLUS
19-Norpregna-4, 9-diene-3, 20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-proppynyl)-11-(4-(methylamino)phenyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L4 ANSWER 6 OF 7
ACCESSION NUMBER:
DOCUMENT NUMBER:
1171LE:
11

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

LV, FT, RO
2 2001002 BR 1999-8598 19990305
2 20020219 JF 2000-534564 19990305
US 1998-35949 A 19980306
WO 1999-US3732 W 19990305
MARRAT 131:199885

R SOURCE(S): MARPAT 131:199885
20-Keto-11.beta.-arylsteroids of formula I [X = 0, (substituted) NOH, H2, OH, etc.; R1 = dialkylamino, imidazolyl, pyrrolyl, piperidino, etc.; R2 = H, halor R3 = H, Me, halor R4 = H, acyloxy, (substituted) OH, alkyl, etc.; R5 = H, alkyl, halo, acyloxy, etc.) are prepd. which exhibit potent antiprogestational activity. Thus, II was prepd. from 17. alpha.-hydroxymethyl-3-methoxy-19-norprepan-1, 3,5(10)-trien-20-one and 4-bromo-N,N-dimethylaniline in several steps. The affinity of II for the progesterone hormone receptor was ICSO of 0.7 nM.
240806-28-49
RIL RCT (Resectant). SPN (Synthatic preparation).

240806-28-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 20-keto-11.beta.-arylsteroids with antiprogestational activity)
240806-28-4 CAPLUS
19.21-Dinorchola-4,9-dien-24-oic acid, 11-[4-(dimethylamino)phenyl]-17-hydroxy-3,20-dixor-, ethyl ester, (11.beta.)-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 240806-27-3 CMF C32 H41 N 05

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2003 ACS Absolute stereochemistry.

2

REFERENCE COUNT:

L4 ANSWER 7 OF 7 ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

INVENTOR (S):

CAPLUS COPYRIGHT 2003 ACS
1997:740250 CAPLUS
127:358992
Preparation of 21-substituted progesterone derivatives as new antiprogestational agents
Kim, Hyun K., Blye, Richard P., Rao, Pemmaraju N.,
Cessac, James W.; Acosta, Carnie K.
United States Dept. of Health and Human Services, USA;
Kim, Hyun K., Blye, Richard P., Rao, Pemmaraju N.,
Cessac, James W.; Acosta, Carnie K.
PCT Int. Appl., 65 pp.
CODEN: PIXXD2
Patent PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent English

PA'	TENT	NO.		KI	CN	DATE			А	PPL	ICATI	ON N	٥.	DATE			
WO	9741	145		A	1	1997	1106		w	0 1	997-U	5737	3	1997	0430		
	₩:	AL,	AM.	AT,	AU,	AZ,	BA,	BB,	BG,	BR	. BY.	CA.	CH.	CN.	CU.	CZ.	DE.
		DK.	EE.	ES.	FI.	GB.	GE.	GH.	HU.	IL	. 15.	JP.	KE.	KG.	KP.	KR.	K2.
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	7101									.0 1	331-2	. 5 3 0 4		1331	0430		
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		IE,		_									_				
	1943																
	2000																
	2152																
US	2002	0259	51	. A	1	2002	0228		u	S 1	999-1	18013	2	1999	0524		
PRIORIT	Y APP	LN.	INFO	. :					US 1	996	-1662	28 P	P	1996	0501		
								,	WO 1	997	-US73	373	¥	1997	0430		
OTHER S	OURCE	(5):			MAF	PAT	127:	3589	92								

TR SOURCE(S): MARPAT 127:358992
Progesterone derivs. of formula I [RI = OMe, SMe, NMe2, NHMe, CHO, Ac, CHONCH3, R2 = halo, alkyl, acyl, OH, alkowy, etc.; R3 = OH, alkyl, alkowy, acylowy; R4 = H, alkyl; X = O, (substituted) NOH] are prepd. as antiprogestational agents. The present invention provides methods wherein the compds. of formula I are advantageously used, inter alia, to antagonize endogenous progesterone; to induce menses; to treat endometriosis; to treat dysmenorchea; to treat endorrine hormone-dependent tumors; to treat uterine fibroids; to inhibit uterine endometrial proliferation; to induce labor; and for contraception. Thus, II was prepd. from 3, 3-ethylenedioxy-17, beta.-cyano-17.alpha.-hydroxyestra-5(10), 9(11)-diene and 4-brono-N.-N-dimethylaniline in 9 steps. II showed 2.79 times the antiprogestational potency in the antiClauberg test compared to CDB-2914.

198414-07-27 198414-09-47 198414-31-27
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS

198414-03-8P 198414-05-0P 198414-11-8P
198414-22-1P 198414-32-3P 198414-33-4P
198414-34-5P 198414-39-0P 198414-33-4P
198414-34-5P 198414-39-0P 198418-43-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); TRU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of progesterone derivs. as antiprogestational agents)
199414-03-8 CAPLUS
199-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-{4(dimethylamino)phenyl]-21-fluoro-, (11.beta.)- (9CI) (CA INDEX NAME)

198414-05-0 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-chloro-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-11-8 CAPLUS

ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued) study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (prepn. of progestrone derivs. as antiprogestational agents) 1984.4-07-2 CAPLUS 1994.4-07-2 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-(4-(dimathylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-09-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetylthio)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-31-2 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued) 19-Norpregna-4,9-diene-3,20-diene, 17-(acetyloxy)-21-(acetylthio)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

198414-22-1 CAPLUS Estra-4,9-dian-3-one, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

198414-32-3 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 21-(3-cyclopentyl-1-oxopropoxy)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-33-4 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(3-cyclopentyl-1-

ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued) OXOPCOPONY)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-34-5 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

198414-39-0 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-(dimethylamino)phenyl]-21-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

198413-97-7 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)--(9CI) (CA INDEX NAME)

198413-98-8 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 11-[4-[dimethylamino]phenyl]-17,21-dihydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-[(methylsulfonyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

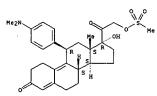
198414-43-6 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-bromo-11-(4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198413-96-6P 198413-97-7P 198413-98-BP 198413-98-9P 198413-99-9P 198414-00-5P 198414-21-0P 198414-30-1P 198414-38-9P 198414-42-5P RL: RCT (Reactant) SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of progesterone derivs. as antiprogestational agents) 198413-96-6 CAPUS 198413-96-6 CAPUS 19-Norpregna-4,9-diene-3,20-dione, 21-chloro-11-{4-(dimethylamino)phenyl}-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS



198414-00-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-fluoro-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

198414-21-0 CAPLUS
Estra-4,9-dien-3-one, 11-{4-(dimethylamino)phenyl]-17-hydroxy-17-(1-oxopropyl)-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

198414-30-1 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 198414-38-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-ethoxy17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 198414-42-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-bromo-11-[4-(dimethylamino)phenyl]17-hydroxy-, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

IT 198414-40-3P 198414-41-4P
RN: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of progesterone derivs. as antiprogestational agents)
RN 198414-40-3 CAPLUS

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-{4(dimethylamino)phenyl]-, 3-oxime, (3E,11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 198414-41-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-l1-[4 (dimethylamino)phenyl]-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

=> d ibib ab fqhit 1-20

```
LE ANSWER 1 OF 20
ACCESSION NUMBER:
TITLE:

135:304062 MARPAT
Preparation of 17. alpha. -substituted-11.beta. -
substituted-4-aryl and 21-substituted
19-norprepara.4, 9-diene-3, 20-diene-3, 20-diene
```

G3 H2C G7—G1 Ne C=C—G2 L8 ANSWER 1 OF 20 MARPAT COPYRIGHT 2003 ACS (Continued)

G2

G1

G2

G3

G3

G4

G3

G2

Ak<(1-12)> (50)

G8

G8

Claim 1

L8 ANSWER 2 OF 20 MARPAT COPYRIGHT 2003 ACS (Continued)

G1 - phenylene
G3 - Me
G5 - 34

34

G6

G6 - O
MPL: claim 1
NTE: and pharmaceutically acceptable salts

```
L8 ANSWER 3 OF 20 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 133:17687 MARPAT
TITLE: PATENT ASSIGNEE(S): Research Triangle Institute, USA
COUNCE: COMPACT TYPE: PATENT ASSIGNEE(S): PATENT TYPE: LANGUAGE: PATENT TYPE: PATENT TY
           DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2000034306 A1 20000615 WO 1999-US28535 19991203

W: AE, AL, AM, AT, AL, AZ, BA, BB, BC, BR, BY, CA, CAI, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MY, MX, NO, NZ, PL, FT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, FT, SE, BF, BJ, CF, CG, CI, CN, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6172052 B1 2010109 US 1998-205395 19981204

CA 2358466 AA 20000615 CA 1999-2358466 19991203

EP 1136403 A1 2010926 EP 1135403

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

NZ 512697 A 20030131 NZ 1999-512697 19991203

PRIORITY APPLN. INFO: WAS A 20030131 NZ 1999-2035395 19991204

WO 1999-US28535 19991204

WO 1999-US28535 19991204
                                                                          IE, SI, LT, LV, FI, RO

NZ 512697 A 20030131 NZ 1999-512697 19991203
RITY APPLN. INFO:
US 1998-205395 19991204
WO 1999-US28535 19991203
Novel 17.beta.-acyl-17.alpha.-propynyl steroids of formula I [R1 = NMe2, NHMe, NH2; R2 = Me, CF3, CH2OH; R3 = H, Me, OMe, OAc; R4 = H, Me, F, Cl; X = O, H2, NOH, NOMe] are prepd. which exhibit potent antiprogestational activity. Thus, II was prepd. from estrone in many steps. The relative progesterone binding activity of II was 313% of promegestone.
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L8 ANSWER 4 OF 20 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER:
131:199885 MARPAT
Preparation of 20-keto-11.beta.-arylsteroids and their
derivatives having agonist or antagonist hormonal
properties
COOK, C. Edgar; Kepler, John A.; Zhang, Ping-sheng;
Lee, Yue-wei; Tallent, C. Ray
PATENT ASSIGNEE(S):
SOURCE:
PATENT ASSIGNEE(S):
COCK:
COEM: FIXXO2
PATENT TYPE:
LANGUAGE:
PATENT INFORMATION:
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

"""

WO 9945022 A1 19990910 W0 1999-US3732 19990305

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JF, KE, KG, KF, KR, KZ, LC, LX, LK, LS, LT, LU, LV, MD, MG, MX, MX, MX, NO, NZ, FL, FT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CT, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6020328 A 20000201 US 1998-35949 19990305

CA 2322862 AA 19990910 CA 1999-2322862 19990305

AU 9928715 A1 19990920 AD 1999-2322862 19990305

EP 1060186 A1 20001220 EF 1999-909531 19990305

ER AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

BR 9908598 A 20011002 BR 1999-8598 19990305

JP 2002505334 T2 20020219 JP 2000-534564 19990305

FRICRITY APPLIN. INFO:: W0 1999-US3732 19990305
                                               IE, SI, LT, LV, FI, RO
BR 9908598 A 20011002 BR 1999-8598 19990305
JP 2002505334 T2 20020219 JP 2000-534564 19990305
RITT APPLN. INFO.: US 1998-35949 19980306
20-Keto-11.beta.-arylsteroids of formula I [X = 0, (substituted) NOH, H2, OH, etc.; R1 = dialkylamino, imidazolyl, pyrrolyl, piperidino, etc.; R2 = H, halor R3 = H, Me, halor R4 = H, acyloxy, (substituted) OH, alkyl, etc.; R5 = H, alkyl, halo, acyloxy, etc.] are preped, which exhibit potent antiprogestational activity. Thus, II was prepd. from 17.alpha.-hydroxymethyl-3-methoxy-19-norpregna-1,3,5(10)-trien-20-one and 4-bromo-N, M-dimethylaniline in several steps. The affinity of II for the progesterone hormone receptor was ICSO of 0.7 nM.
```

KSTR 1A

= phenylene (SO (1) G3)

ANSWER 3 OF 20 MARPAT COPYRIGHT 2003 ACS 38 and pharmaceutically acceptable salts claim $\boldsymbol{1}$ THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT REFERENCE COUNT:

ANSWER 4 OF 20 MARPAT COPYRIGHT 2003 ACS = 128 =G15 128 - O
- alkyl<(1-4)>
and pharmaceutically acceptable salts
claim l
substitution is restricted; also incorporates claim 3

THERE ARE 2 CITED REFERENCES AV. REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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09/180,132
        L8 ANSWER 5 OF 20
ACCESSION NUMBER:
TITLE:

Method for the preparation and pharmaceutic formulation of 11.beta.-benzaldoxime-
9.alpha.,10.alpha.-epoxy-estr-4-ene derivatives
Schubert, Gerdi Ring, Sven Kaufmann, Guenter;
Scheider, Birgitt; Elgac, Walter
Jenapharm G.m.b.H. und Co. K.-G., Germany
Ger. Offen., 16 pp.
CODEN: GWXCEX
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
1
PATENT INFORMATION:
1
              DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO. KIND DATE

DE 19745085 Al 19990415 DE 1997-19745085 19971011
EP 909764 Al 19990421 EP 1998-118613 19981001
EP 909764 Al 19990229
R: AT. BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, 1E, SI, LT, LV, FI, RO

AT 185145 E 1991015 AT 1998-118613 19981001
PRIORITY APPLN. INFO: DE 1997-19745085 19971011
AB 11.beta-Benzaldoxime-9.alpha.,10.alpha.-pooxy-estr-4-ene derivs., e.g. I (R1 = H, C1-6-alkyl, R2 = H, C1-10-alkyl, aryl, aralkyl, alkylaryl, (CH2)-acyl, COMR4, COZR4, R3 = H, C1-10-alkyl, aryl, aralkyl, alkylaryl, (CH2)-nCH2Y; R4 = H, C1-10-alkyl, aryl, aralkyl, alkylaryl, ryl, complete (CH2)-complete (CH2)-c
                                                                   PATENT NO.
           G17-N-CH
  L8 ANSWER 6 OF 20
ACCESSION NUMBER: 129:50105 MARRAT
TITLE: Uses of anti-glucocorticoid compounds for the treatment of psychoses or addictive behaviors
Oberlander, Claude; Piazza, Pier Vincenzo
Hoschst Marion Roussel, Fr.; Oberlander, Claude; Piazza, Pier Vincenzo
FOURCE: Piazza, Pier Vincenzo
PCT Int. Appl., 41 pp.
CODEN: PIXXD2
CODEN: PIXXD2
CODEN: PIXXD2
CODEN: PIXXD2
FORENCE
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FORENCE
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FORENCE
LARGUAGE: FORENCE
FORENC
           DOCUMENT TYPE:
LANGUAGE:
        FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:
PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9826783 A1 19980625 W0 1997-FR2320 19971217

W: AL, AU, BA, BB, BG, BR, CA, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, HK, MM, MK, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

FR 2757400 B1 19991217

AU 9855632 A1 19980715 AU 1998-55632 19971217

AU 9855632 A1 19990127 RF 1997-952078 19971217

R: AT: BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

PRIORITY APPLN. INFO:: FR 1996-15649 19961219

PRIORITY APPLN. INFO:: FR 1956-15649 19961219
                                                          RITY APPLN. INFO:: FR 1996-15649 19961219
Glucocorticoid antagonists, except mitepristone, are used as dopamine type
II receptor antagonists to treat psychotic or addictive behavior. Thus,
17. beta.-hydroxy-10.beta.-{(4-methylphenyl)methyl}-17.alpha.-(1-
propynyl)estra-4,9(11)-dien-3-one considerably reduced the response to
morphine in vivo.
                             MSTR 1
                                                                                    - Ph (SO (1-) G11)
- 35-13 37-14
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- C(0)

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ANSWER 5 OF 20 MARPAT COPYRIGHT 2003 ACS = alkylx(1-10)> or pharmaceutically acceptable salts claim 1
        ANSWER 6 OF 20 MARPAT COPYRIGHT 2003 ACS = 41
4T (0)-CH2-OH
                and pharmaceutically acceptable acid addition salts claim 4 substitution is restricted % \left\{ 1,2,\ldots,4\right\}
REFERENCE COUNT:
                                                        THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
```

```
L8 ANSWER 7 OF 20 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 128:188869 MARPAT
TITLE: Mixed agonists of the progesterone receptor and assays
for them
INVENTOR(S): MCDORNEL(S): 500RALE (S): 500RALE (S
   DOCUMENT TYPE:
LANGUAGE:
                                                                                                                                                       Patent
 FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                                PATENT NO.
                                                                                                                                 KIND DATE
                                                                                                                                                                                                                                                              APPLICATION NO. DATE
                                                                                                                                    A2 19980212
                                                                                                                                                                                                                                                             WO 1997-US13754 19970805
WO 9805679 A2 19980212 WO 1997-US13754 19970805

W: CA
W: AT, BE, CH, DE, DX, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
PRIORITY APPLN. INFO:
US 1996-23206F 19960805
B A third class of PR-ligand (i.e. mixed agonist) is identified which
induces a progesterone receptor conformation distinct from that induced by
a PR agonist or antagonist; the agonists are estra-4,9-dien-3-one derivs.
PR mixed agonists exhibit partial agonist activity which is influenced by
cell context. These compds. provide useful pharmacol. profiler for
treating progesterone related diseases and/or conditions, such as uterine
proliferation from estrogen administration, endometrioris, breast cancer,
fibroids, endometrial cancer, and brain meningiomas. The agonists can
also be used as contraceptives. Assays are provided to screen for PR
mixed agonist.
                                 WO 9805679
                MSTR 1
   G2
                                           - 30
    38 (0)·G3
                                            - alkyl<(1-6)> (SO)
- 52
L8 ANSWER 8 OF 20 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER:
127:358992 MARPAT
Preparation of 21-substituted progesterone derivatives as new antiprogestational agents
Xim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.;
Cessac, James W.; Acosta, Carmie K.
United States Dept. of Health and Human Services, USA;
Xim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.;
Cessac, James W.; Acosta, Carmie K.
PCT Int. Appl., 65 pp.
CODEM: PIXXOZ
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
    DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                             EP 900234 B1 20000705

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, 114, F1

AT 194358 E 20000715 AT 1997-923523 19970430

JP 2000509396 T2 20000725 JP 1997-539232 19970430

ES 2152671 T3 20010201 ES 1997-923523 19970430

US 2002025951 A1 2002028 US 1999-180132 19990524

PRIORITY APPIN. INFO:: US 1996-16628P 19960501
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L8 ANSWER 7 OF 20 MARPAT COPYRIGHT 2003 ACS (Continued)

52 G10

MPL: claim 4
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ANSWER 8 OF 20 MARPAT COPYRIGHT 2003 ACS

G10

alkyl<(1-12)> 0 claim 1

(Continued)

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L8 ANSWER 9 OF 20 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 124:22540 MARPAT
TITLE: Pharmaceutical compositions of antiglucocorticoid
compounds for treating or preventing symptoms of
spontaneous or narcotic-induced withdrawal.
Petit, Francis; Philibert, Daniel; Ulmann, Andre
ROUSSEL-UCLAF, Fr.
EUL. P. Pat. Appl., 30 pp.
CODEN: EPXXDW
Patent
Patent
  DOCUMENT TYPE:
  LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
```

PATENT NO.	KIND DATE	APPLICATION	NO. DATE
EP 676203	A1 19951	011 EP 1995~4007	64 19950406
R: AT, BE	, CH, DE, DK,	ES, FR, GB, GR, IE, IT	
FR 2718354	A1 19951	013 FR 1994~4156	19940408
FR 2718354	B1 19960	503	
ZA 9502058	A 19960	313 ZA 1995-2058	19950313
CA 2146600	AA 19951	009 CA 1995-2146	600 19950407
FI 9501683	A 19951	009 FI 1995-1683	19950407
AU 9516326	· A1 19951	019 AU 1995-1632	6 19950407
JP 07278017	A2 19951	024 JP 1995-1070	71 19950407
HU 71468	A2 19951	128 HU 1995-1019	
CN 1116929	A 19960	221 CN 1995-1040	
RITY APPLN. INF	0.:	FR 1994-4156	
Antiglucocorti	coid steroids	such as mifepristone,	onapristone.

Antiglucocorticoid steroids such as mifepristone, onapristone, lilopristone and related steroids are proposed for the prevention or treatment of withdrawal syndromes, either spontaneous or pptd. by narcotics or mixts, of narcotics. These antiglucocorticoids would be useful in the withdrawal from morphinominentics such as heroin, morphine or methadone as well as cocaine. Pharmacol. activity was demonstrated by the effect of the antiglucocorticoids on the stereotypic behavior of mice in response to narcotics. Spontaneous withdrawal syndrome was induced by administration of the opioid antagonist, naloxone. An antiprogesterome activity of the steroids in their action mechanism was eliminated. Results confirmed the involvement of endogenous glucocorticoids in morphine withdrawal since this is inhibited by antiglucocorticoids or adrenalectomy.

- Ph (SO (1-) G2)
- 21

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LA ANSWER 10 OF 20 MARRAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 123:218391 MARRAT
TITLE: Steroids for reducing multidrug resistance to cancer chemotherapeutic agents
Cohn, Suzanne Bourgeois; Gruol, Donald J.
Salk Institute for Biological Studies, USA
POT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
```

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE

WO 9517192

Al 19950629

WO 1994-US14624

19941219

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, C2, DE, DK, EE, ES, FI, GB, GE, FU, JY, KE, KG, KY, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NI, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ

RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, LT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9514395

PRIORITY APPLN. INFO.:

AB Certain ----

MC, NL, PT, SE, BF, BJ, CF, CG, CT, CM, GA, GN, ML, MR, NE, SN, TD, TG
AU 9514395

Al 19950710

AU 1993-173243

19941219

ROTTY APPLN. INFO.:

US 1993-173243

19941222

Certain steroid-like compds. [I; Rl = H; R2 = OR; or AIR2 = :O; R = H, lower alkyl, Me35i; R3 = H, Me, or absent if double bond or epoxide bridge joins C9 and C10; R4 = OR; Cf -16 cyclic org. group contg. O, N, P, or Si; R' = lower alkyl, Me35i; R5 = H, OR; or R5C16C17 form a 3-, 5-, 6-, or 7-membered ring; R6 = C(O)CH3, CH(OH)CH3, C(O)CH2OH, (substituted) hydrocarbyl; R9 = H, halo, or absent if double bond or epoxide bridge joins C9 and C10] are capable of inhibiting the P-glycoprotein-assocd. efflux pump which is considered responsible for multidrug resistance. Chemotherapy can be enhanced by facilitating the accumulation of drug at the target site, with reduced or eliminated competition by the drug efflux system. Thus RU 38486, an antiprogestin, at 5 .mu.M facilitated killing of multidrug-resistant S7CD-S murine thymoma cells by 20 .mu.M puromycin.

$$\begin{array}{c} G_{1} \\ G_{2} \\ G_{3} \\ G_{4} \\ G_{5} \\ G_{7} \\ G_{6} \\ G_{7} \\ G_{7} \\ G_{7} \\ G_{1} \\ G_{2} \\ G_{1} \\ G_{2} \\ G_{3} \\ G_{4} \\ G_{5} \\$$

= C(0) = Ph (SO (1-2) G16) = 36

3€ (O)-СН2—ОН

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2° (0)-G5
             - alkyl (SR G13)
                on and pharmaceutically acceptable addition salts and pharmaceutically acceptable addition salts claim 7
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ANSWER 9 OF 20 MARPAT COPYRIGHT 2003 ACS

ANSWER 10 OF 20 MARPAT COPYRIGHT 2003 ACS (Continued) claim 1

G7

- 55-13 57-14

(Continued)

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LB ANSWER 12 OF 20 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 116:35156 MARPAT
TITLE: Preparation and use of antiprogestominetics for synchronization of parturition in livestock of candadam, Jean Andre Roussel-UCLAY, Fr.
FATENT ASSIGNEE(S): ROUSSEL-CLAY, FR.
CODEN: EXYOW

CODEN: EXYOW

PATENT NO. KIND DATE APPLICATION NO. DATE

PATENT INFORMATION:

PATENT INFORMATION:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

PATENT NO. KIND DATE

APPLICATION NO. DATE

APPLICATION NO. DATE

PATENT NO. KIND DATE

APPLICATION NO. DATE

APPLICATION NO. DATE

PATENT NO. KIND DATE

APPLICATION NO. DATE

APPLIC
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L8 ANSWER 12 OF 20 MARPAT COPYRIGHT 2003 ACS (Continued) G_{15}^{9} G_{15}^{9}
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ANSWER 11 OF 20 MARPAT COPYRIGHT 2003 ACS

= alkylcarbonyl<(1-5)> (SO (1-) G17) = 39

39 G16

claim 2

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L8 ANSWER 13 OF 20 HARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 115:214857 MARPAT
TITLE: Injectable microspheres containing antiestrogenic and antiprogestomimetic steroids
INVENTOR(S): Cohen, Gerard; Dubois, Jean Luc
PATENT ASSIGNEE(S): Ger. Offen., 15 pp.
CODEN: GWXEK

DOCUMENT TYPE: Patent
LANGUAGE: Ger.

PATENT NO. KIND DATE APPLICATION NO. DATE

PATENT NO. KIND DATE APPLICATION NO. DATE

PATENT NO. KIND DATE APPLICATION NO. DATE

PATENT NO. RESERVE SERVERS

FR 2654337 A1 19910516 DE 1990-4036425 19901115
FR 2654337 A1 19910517 FR 1999-14976 19891115
FR 2654337 A1 19910516 SE 1990-3570 19901109
DE 9003570 A 19910516 SE 1990-3570 19901109
DE 9005511 A4 19930831 BE 1990-1062 1990119
DX 9002709 A 19910516 DX 1990-2709 19901113
CA 2029940 AA 19910516 CA 1990-209940 19901114
JP 03294225 A2 19911225 JP 1990-306374 19901114
CH 681691 A 19930514 CH 1990-30611 19901114
NL 9002492 A2 19911225 JP 1990-306374 19901115
GB 2239798 A1 19910603 NL 1990-2492 19901115
GB 2239798 B2 19931027
AT 9002313 A 19950415 AT 1990-2313 19901115
ART 400298 B 19951127 FR 1989-14976 19891115
ART 400298 B 19951127
FRIORITY APPLIN. INFO:: FR 1989-14976 19891115
AB Biodegradable microspheres comprise the title steroids (Markush given) and copolymers of lactic acid with glycolic acid. A mixt. of 250 mt ag. 0.31
hydrolyzed PVA soln., 1 g poly(DL-lactic acid. Special Spec
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LB ANSWER 14 OF 20 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 115:15:1901 MARPAT
TITLE: Use of antiprogestomimetics for stimulating ovulation, and new preparation for use in pharmaceutical compositions
Grandadam, Jean Andre
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOUNCE: CODEN: EPYKDW
PATENT ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE
FRAILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE
FRAILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE
FR 17003 A2 19910313 EP 1990-402449 19900906
EP 417003 B1 19940629
R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE
FR 2651435 A1 19910308 FR 1998-11699 19990907
FR 2651435 B1 19940422
US 5173483 A 19921222 US 1990-578894 19900905
CA 2024728 AA 19910308 CA 1990-0224728 19900906
AU 9062259 A1 19910314 AU 1990-62259 19900907
AU 623805 B2 19920521
JP 03099015 A2 19910424 JP 1990-236004 19900907
PRIORITY APPLN. INFO:

AB Anti-progestomimetic compds., e.g. I [R] = Cl-18 hydrocarchyl with optionally .gtoreq.1 heteroatoms, bonded to the steroid by a C: R2 = Cl-8 hydrocarchyl .x = rest of S- or 6-membered (substituted) (unsatd: ring: AiC = oxo (free or in ketal), CH(ORI), CH(ORI), etc., R3 = Cl-8 hydrocarchyl .x = rest of S- or 6-membered (substituted) (unsatd: ring: AiC = oxo (free or in ketal), CH(ORI), CH(ORI), etc., R3 = Cl-8 hydrocarchyl .x = rest of S- or 6-membered (substituted) (unsatd: ring: AiC = oxo (free or in ketal), CH(ORI), CH(ORI), etc., R3 = Cl-8 hydrocarchyl .x = rest of S- or 6-membered (substituted) (unsatd: ring: AiC = oxo (free or in ketal), CH(ORI), CH(ORI), etc., R3 = Cl-8 hydrocarchyl .x = rest of S- or 6-membered (substituted) (unsatd: ring: AiC = oxo (free or in ketal), CH(ORI), CH(ORI), etc., R3 = Cl-8 hydrocarchyl .x = rest of S- or 6-membered (substituted) (unsatd: ring: AiC = oxo (free or in ketal), CH(ORI), CH(ORI), etc., R3 = Cl-8 hydrocarchyl .x = rest of S- or 6-membered (substituted) (unsatd: ring: AiC = oxo (free or in ketal), CH(ORI), CH(
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G1 G3 G12 G9 G8 G8

- 95

G1

L8 ANSWER 14 OF 20 MARPAT COPYRIGHT 2003 ACS (Continued)

PgG6H4G10

G12 - 96

96(0)-G14

G14 - 98

H2C G15

G15 - CH
G5 + G6 - O
DER: Or acid or base addition salts
MFL: claim 2
NTE: Oxfo formed by G5 and G6 may be protected as a ketal

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MARPAT COPYRIGHT 2003 ACS

115:9125 MARPAT
Preparation of .omega.-[(3-oxoestra-4,9-dien-11.beta.-
yl)phenylamino|alkanoates as antiglucocorticoids
Moguilewsky, Martine, Nedelec, Lucien, Nique,
Francois, Philibert, Daniel
Roussel-UCLAF, Fr.
Eur. Pat. Appl., 33 pp. .
CODEN: EPXXDW
Patent
                      ANSWER 15 OF 20
CCESSION NUMBER:
          INVENTOR(S):
        PATENT ASSIGNEE(S):
SOURCE:
        DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
PATENT NO. KIND DATE APPLICATION NO. DATE

EP 414606 A2 19910227 EP 1990-402328 19900822
EP 414606 B1 19911022
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE
FR 2651233 A1 19910301 FR 1999-11173 19890823
FR 2651233 B1 19911213
CA 2022648 AA 19910224 CA 1990-2022648 19900803
2A 9006341 A 199110300 ZA 1990-6341 19900810
US 5166146 A 19921124 US 1990-656897 19900816
JP 30390097 A2 19910416 JP 1990-217281 19900820
JP 3026997 B2 20000327
IL 95451 A1 19950731 IL 1990-95451 19900822
AU 9061189 A1 19910228 AU 1990-61189 19900822
AU 634569 B2 19930225
HU 54706 A2 19910328 HU 1990-5275 19900822
HU 208154 B 19930830
ES 2063313 T3 19951010 ES 1990-402328 19900822
CN 1051362 A 19910515 CN 1990-107161 19900823
CN 1033808 B 19970115 CN 1990-107161 19900823
CN 1033808 B 19970115 CN 1990-107161 19900823
FRIORITY APPLIN. INFO:: CASREACT 115:9125
AB The title compds. [1; R] = aliph. hydrocarbyl, R2 = H, (un) substituted alkyl, R5, R6 = H, alkyl, X = atoms to complete an (un) substituted alkyl, R5, R6 = H, alkyl, X = atoms to complete an (un) substituted alkyl, R5, R6 = H, alkyl, X = atoms to complete an (un) substituted of the complex of the complete and (un) substituted alkyl, R5, R6 = H, alkyl, X = atoms to complete an (un) substituted of the complex of the complete and (un) substituted alkyl, R5, R6 = H, alkyl, X = atoms to complete an (un) substituted of the complex of the complex of the complex of the complete and (un) substituted of the complex of the complex of the children of united incorporation into rat thymocytes.
                                              PATENT NO.
                                                                                                                                                                                     KIND
                                                                                                                                                                                                                               DATE
                                                                                                                                                                                                                                                                                                                                                       APPLICATION NO. DATE
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L8 ANSWER 16 OF 20 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER:
TITLE: Preparation of 19-nor 3-oxo steroids with an amine substituted 17-chain as antioxidants and antinflammatories: their use as medicines and pharmaceutical composition containing them Claussner, Andrer Leclaire, Jacques; Nedelec, Lucien; Philibert, Daniel PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: COMEN: EPXXDW
DOCUMENT TYPE: Eur. Pat. Appl., 29 pp.
COMENT TYPE: Patent
LANGUAGE: French
PANILY ACC. NUM. COUNT: 1
   FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                                                                KIND DATE

A1 19900926
B1 19940427
DE, FR, GB, IT, LI, NL
A1 19900928
B1 19950203
A2 19901108
B2 19990120
A 19920428
                                    PATENT NO.
                                                                                                                                                                                                                                                                                                     APPLICATION NO.
                                                                                                                                                                                                                                                                                                                                                                                                                        DATE
                                    EP 389370
EP 389370
                                                                                                                                                                                                                                                                                                     EP 1990-400784
                                                                                                                                                                                                                                                                                                                                                                                                                        19900322
EP 389370 Al 19900926 EP 1990-400784 19900322
EP 389370 Bl 19940427
R: CH, DE, FR, GB, IT, LI, NL
FR 2644789 Al 19900928 FF 1989-3742 19890322
FR 2644789 Bl 19950203
JP 02273693 A2 19901108 JP 1990-68508 19900320
US 5108996 A 19920428 US 1990-497562 19900321
FRIORITY APPLN. INFO: FR 1989-3742 19890322
OTHER SOURCE(S): CASREACT 114:229227
AB The title compds. [I; Rl, R2 - H, Me; Rl1 - (poly) (hetera) hydrocarbyl; one of Rl7 and Rl8 is OH or acyloxy and the other is Q; Z = alkylene, alkynylene; F - (substituted) pyrimidinyl, pyridyll were prepd. via reacting the halo derivs. II or III (X = halo) with the appropriate pyrimidinyl or pyridine deriv. IV. Reaction of estradienone V [R] = 3-bromo-1-propynyl, R4 = OH] (prepn. given) was reacted with 2.4-bis(1-pyrcolidinyl)-6-(1-piperazinyl)pyrimidine (prepn. given) in acstone contg. X2C03 at ambient temp. for 2 h to give V [R] = 3-[4-[2,6-bis(1-pyrcolidinyl)-4-pyrimidinyl]-1-piperazinyl]-1-propynyl; R4 OH] (P) At 5. times. 10-4 N this inhibited in vitro the formation of malonyldialdehyde, a measure of lipid peroxidn., in cat brain homogeneate by apprx.47.5%.
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C(0)-CH2-X
G2
              CH2-CH2-G13
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ANSWER 15 OF 20 MARPAT COPYRIGHT 2003 ACS = phenylene = 39-18 37-17
                                                                 (Continued)
3G16-G10-3GH2
       - (1-2) 45
G11-45----G12
G13
54 (о)-сн5—он
G16
G13-68-
        ---G13
MPL:
           claim 1
```

ANSWER 16 OF 20 MARPAT COPYRIGHT.2003 ACS (Continued) the alkylamino and dialkylamino groups in G11 may be interrupted by oxygen, sulfur, or nitrogen NTE:

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L8 ANSWER 17 OF 20 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER:
TITLE: Preparation of androstanone derivatives as drugs
INVENTOR(S): Scholz, Stefan, Neef, Guenter, Ottow, Eckhard, Elger,
Walter, Beier, Sybille, Chwalisz, Krzysztof
Scholz, Germany
DOCUMENT TYPE: Patch

MARPAT COPYRIGHT 2003 ACS
ARRAPAT COPYRIGHT 2003 A
       DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                                PATENT NO.
                                                                                                                                                                                                                                                                                                                                           KIND DATE
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               APPLICATION NO. DATE
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UP USDUI/12 T2 19920326 JF 1989-509963 19890920

JF 2760870 B2 19980604

AT 122052 E 19950515 AT 1989-250040 19890920

ES 2074073 T3 19950901 ES 1989-250040 19890920

NO 9101102 A 19910319 NO 1991-1102 19910319

DX 9100504 A 19910319 NO 1991-504 19910320

US 5244886 A 19930914 US 1991-564 19910320

NO 9104772 A 19910319 NO 1991-4772 199110320

WO 9104772 A 19910319 NO 1991-4772 19911204

PRIORITY APPIN. INFO.: DE 1988-3832303 19880920

WO 1989-EP1090 19990920

NO 1991-1102 19910319

OTHER SOURCE(S): CASREACT 113:115677

AB The title compds. [I Z = 0, hydroxyimino; LM = bond, or L = H and M = .alpha.-OH; AB = bond and D = H and R1 = heteroxyl; or A = H and BD = CH2 and Z = H2; R3, R4 = tetrahydropyranyloxyalkyl, tetl; useful as antiglucocorticoids, neoplasm inhibitors (esp. for breast cancer), progestogen inhibitors, and antiproliferative agents, were prepd. 3-(Tetrahydropyran-2-yloxy)-1- propyne was lithiated with BuLi in THF-hexane and the product treated with 14.beta.-androstan-17-one II (R3R4 = 0) (prepn. given) to give II (R3 = 0, R4 = OH) treated with 4N HCl to give I [R1 = OMe, R2 = Me, R3 = (CH2)30H, BD = CH2, LM = bond, Z = 0, A = H] (III). III had higher affinity for the gestagen receptor than the known EP-A 0277676 [11.beta.-[4-(dimethylamino)phenyl]-=17.alpha.=hydroxy-17-(3-hydroxypropyl)-14.beta.-estra-4,9-dien-3-one].

L8 ANSWER 18 OF 20 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 112:235680 MARPAT
TITLE: Preparation of 13-alkyl-11.beta.-phenylgonanes as antigestagens and antiglucocorticoids
SINVENTOR(S): Scholz, Stefan, Ottow, Eckhard, Neef, Guenter, Elger, Walter, Beier, Sybiller Chwalisz, Krzysztof
SCURCE: Schering A.-G., Germany
Ger. Offen., 22 pp.
CODEN: GWXCEX
DOCUMENT TYPE: Patent
LANGUAGE: GERMAN
GERMAN
FAMILY ACC. NUM. COUNT: 1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

DA	TENT NO.	יע	ND DATE	8.0	PLICATION NO.	DITE
			.nu unie		PEICALION NO.	DATE
DE	3822770		1 19900104	n n	1988-3822770	19880701
TI	90826	,	1 10040624	71	1000 00006	19890630
	1334660		1 1005030	7 6	1989-90826 1989-604596	19090630
En.	340401		1 19900103			
EF	340401		19951102	EP.	1989-730155	19890703
Er						
	9000174	BE, CH,	DE, ES, FR,	GB, GR,	IT, LI, LU, NI	L, SE
wo		A	11 19900111	. wo	1989-DE443	19890703
	W: AU,	FI, HU,	JP, NO		1989-38568	
AU	8938568				1989-38568	19890703
AU	644060	В	2 19931202	4		
ZA	8905058	А	19900425	S ZA	1989-5058	19890703
DD	287511	А	5 19910228	מם פ	1989-5058 1989-330342	19890703
HU	56114	А	2 19910729	HU HU	1989-4130	19890703
HU	208021	В	19930728	1		
DĐ	295638	A	5 19911107	מס ז	1989-341722	
JP	03505727	т	2 19911212		1989-507188	19890703
JP	2956776 5273971	В				
US	5273971	A			1989-374809	
AT	129717	E	19951115	AT AT	1989-730155	19890703
ES	2080079	T	3 19960201		1989-730155	19890703
NO	9005609	А	19910228		1990-5609	19901227
NO	180451	В	19970113	3		
NO	180451	c	19970423	3		
US	5446036	A	19950829	us	1993-144474 1995-4856	19931102
			19951012			
	9600829		19910228	NO NO	1996-829	19960229
ORIT	Y APPLN.	INFO.:		DE	1996-829 1988-3822770	19880701
				US	1989-374809	19890703
					1989-DE443	
				NO	1000 5600	10001227

W0 1989-DE443 19890703
N0 1990-5609 19901227
FI 1990-6441 19901227
FI 1990-6441 19901228
The title compds. [I; R1 = heterocyclyl, cycylalkyl, cycloalkenyl, alkenyl, etc., R2 = .alpha.-, .beta.-Me, -Et R3, R4 = alkoxy, acyl, oxofuryl, alkynyl, etc., Z = 0, NOH], antigestagens and antiglucocorticoids useful for induction of abortion, were prepd. via Grignard reaction of the corresponding 5.alpha., 10.alpha.-epoxy-9[11] unsatd. steroids with p-RICGH4K (X = halo). Grignard reaction of epoxy steroid II (prepn. given) with p-CH2:CHG6H4X (X = Br, iodo) gave I [R1 = CH2:CH, R2 = .beta.-Me, R3 = OH, R4 = C.tplbond.CMe, Z = OCH2CH2CH2CJ; which was hydrolyzed to give I [Z = 0, R1-R4 same as above]. This at 3.0 mg s.c./day induced abortion in 100% of rats tested.

ANSWER 17 OF 20 MARPAT COPYRIGHT 2003 ACS (Continued)

alkvl<(1-4)> MPL:

ANSWER 18 OF 20 MARPAT COPYRIGHT 2003 ACS (Continued)

35 (0)-CH2-G10

= alkyl<(1-4)> claim 1 substitution is restricted

PRI

L8 ANSWER 19 OF 20 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 110:213172 MARPAT
TITLE: 13(Alpha)-alkylgonanes, their production, and pharmaccutical preparations containing same
Neef, Guenter, Wiechert, Rudolf; Beier, Sybille,
Elger, Walter, Henderson, David
SOURCE: VIS., 5 pp. Cont. of U.S. Ser. No. 621,308.
CODEN: USXXAM
DOCUMENT TYPE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent English 4

PATENT NO. KIND DATE APPLICATION NO. DATE US 4780461 DE 3321826 DE 3413036 DE 3446661 PRIORITY APPLN. INFO.:

PATENT NO. KIND DATE

1981025 US 1985-810148 19851218

DE 3321826 A1 19841220 DE 1993-3321826 19830615

DE 3413036 A1 19851017 DE 1984-3413026 19830615

DE 3443036 A1 19851017 DE 1984-3413026 19840404

DE 3446661 A1 19860619 DE 1984-3413026 19840619

DE 1984-3413036 19840604

US 1984-413036 19840615

DE 1984-3413036 19840615

DE 1984-3446661 19841218

US 1984-46661 19841218

TUS 1984-46661 19841218

ER SOURCE(S):

CASREACT 110:213172

13.alpha:-Alkylgonanes [I, R = C1-4 acyl] x = 0, NOH; II; R1 = amino; R2 = H, Me, Et, R3 = (substituted) alkyl; R4 = OH, alkoxy, alkanoyloxy; or R3R4 - Q; R5 = H, alkyl; III; Z = CH2CH2, CH2CH2CH2), having antigestagenic activity and useful as postcotial contraceptives, or for triggering abortion and menstruation (no data), are prepd. via photochem. epimerization of the 13.beta:-gonanes IV. 11.beta:-(4-Dimethylaminomethyl)-17. alpha.-hydroxy-13. alpha.-methyl-17.beta:-(3-hydroxyroypl)-4,9-gonadien-3-one: A tablet was formulated contg. V 10.0, lactose 140.0, corn starch 69.5, polyvinylpyrrolidone 25 2.5, Aerosil 2.0, and Mg stearate 0.5 mg. OTHER SOURCE(S):
AB 13.alpha.-A

G4 - 59

5G (0)-CH2-G11

L8 ANSWER 20 OF 20 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 109:170799 MARPAT
TITLE: Antiprogestinic 11.beta.-aryl-14.beta.-estra-4,9-dien3-one derivatives, a process for their preparation, and pharmaceuticals containing them
Loozen, Hubert Jan Jozef
AXZO N. V., Neth.
SOURCE: COORN: EPYXOW
DOCUMENT TYPE: LANGUAGE: FAMILY ACC, NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. EP 277676
EP 277676
R: AT,
CA 1339570
2A 8800317
AT 73137
ES 2031991
FI 8800257
FI 89054
AU 8810669
AU 603637
DK 163307
DK 163307
DK 163307
CN 1030081
FI 93054
FI 99054
FI 99 19880810 19920304 , ES, FR, 19971209 19880928 19920315 199301011 19880724 19930430 19930810 19980728 19901122 19980724 19920217 19920217 19951018 19880817 19951018 EP 1988-200071 19880118 DE, AU 1988-10669 19880121 DK 1988-304 CN 1988-100979 19880122 PRIORITY APPLN. INFO. .

CM 1030081 B 19951018 JP 1988-120979 19880122

UN 5272140 A 19931221 US 1996-488391 19900227

RRITY APPLM. INFO.:

NL 1997-157 19870123

US 1988-146499 19880118

US 1988-146499 198801122 | US 1996-14891 19880118

US 1988-146499 19880118

US 1988-146499 198801122 | R2 = C1-4 alkyl. R3, R4 = H, OH, C1-18 acyl.oxy. C2-8 alkoxyalkyl, C1-8 acyl. C1-12 alkoxy, (un)satd. (un)substituted C1-8 hydrocarbyl. R3R4 = C1-6 alkyl. R3 or R4 absentl, having strong antiprogestinic activity, are prept. Estrone 3-Me ether was brominated, dehydrobrominated, and hydrogenated to give the isomeric 14.beta.-estrone 3-Me ether. This undervent NaBH4 etdn. Birch redn., hydrolysis, and bromination-dehydrobromination to give 17.alpha.-hydroxy-14.beta.-estra-4.9-dien-3-one. The latter was ketalized at the 17-position by the tetrahydropyranyl ether of propargyl alc., epoxidized to the 15.alpha.-hydroxy-anyl ether of propargyl alc., epoxidized to the 5.alpha., 10.alpha.-epoxide, coupled with 4-(Me2N)(C6HM9Br in the presence of CuC1. hydrogenated in the side chain, hydrolyzed and dehydrated, and cyclized in the sidechain by toxylation in pryidine to give (dimethylaminophenyl)dihydrospiro(estradienefuranione II. At 1 mg orally, twice daily in pregnant rats on days 6-10, II caused 1000 pregnancy interception, but only slightly reversed dexamethasone-induced thymus wt.

ANSWER 19 OF 20 MARPAT COPYRIGHT 2003 ACS (Continued)

68[/]

= 33 <RC (1), RS (1) M5 (1) X6, EC (0-) O (1-) N (0-) S (0) OTHERO, AN (1) N, BD (ALL) SE> and acid addition salts claim 10 GGA

ANSWER 20 OF 20 MARPAT COPYRIGHT 2003 ACS

biphenylyl (SR)37

3**4k**==0

= 27 31 <(1-10)> = 37 <(1-8)> claim 1

=> d his (FILE 'HOME' ENTERED AT 15:23:45 ON 04 JUN 2003) FILE 'REGISTRY' ENTERED AT 15:23:50 ON 04 JUN 2003 L1STRUCTURE UPLOADED 12 S L1 L2 L3 176 S L1 FULL FILE 'CAPLUS' ENTERED AT 15:24:45 ON 04 JUN 2003 L47 S L3 FILE 'BEILSTEIN' ENTERED AT 15:27:24 ON 04 JUN 2003 0 S L3 0 S L3 FULL FILE 'MARPAT' ENTERED AT 15:27:43 ON 04 JUN 2003 L70 S L3 20 S L3 FULL r_8 => d his (FILE 'HOME' ENTERED AT 15:23:45 ON 04 JUN 2003) FILE 'REGISTRY' ENTERED AT 15:23:50 ON 04 JUN 2003 STRUCTURE UPLOADED L112 S L1 L2

L3 176 S L1 FULL

FILE 'CAPLUS' ENTERED AT 15:24:45 ON 04 JUN 2003

L47 S L3 FILE 'BEILSTEIN' ENTERED AT 15:27:24 ON 04 JUN 2003

L50 S L3

L6 0 S L3 FULL

FILE 'MARPAT' ENTERED AT 15:27:43 ON 04 JUN 2003

L7 0 S L3 r_8 20 S L3 FULL

FILE 'USPATFULL' ENTERED AT 15:30:16 ON 04 JUN 2003

L9 4 S L3

0 S L4 NOT L9 L10

FILE 'CAOLD' ENTERED AT 15:30:35 ON 04 JUN 2003 L11 0 S L3

RN 273209-68-0 USPATFULL

Lio ANSWER 1 OF 2
ACCESSION NUMBER:
TITLE:
TITLE:
TITLE:
TITLE:
TIVENTOR(S):

Lio ANSWER 1 OF 2
2001:4726

LOSPATFULL
17.beta.-acyl-17.alpha.-propynyl-11.beta.-arylsteroids and their derivatives having agonist or antagonist hormonal properties
Cook, C. Edgar, Staunton, VA, United States
Kepler, John A., Raleigh, NC, United States
O'Reilly, Jill M., Durham, NC, United States
Research Triangle Institute, Research Triangle Park,
NC, United States (U.S. corporation) Me₂N DATE RN CN 11 Absolute stereochemistry. Me₂N RN 273209-13-5 USPATFULL CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-methoxy-ANSWER 1 OF 2 USPATFULL (Continued)
273209-31-7 USPATFULL
EStra-4.9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-17(3,2,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME) Absolute stereochemistry 273209-32-8 USPATFULL Estra-4,9-dien-3-one, 11-{4-(dimethylamino)phenyl]-17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-, (11.beta.,j¹7.beta.)- (9CI) (CA INDEX 273209-67-9 USPATFULL 19-Norpregna-4,9-diene-3,20²dione, 21-methoxy-11-(4-(methylamino)phenyl)-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME) Absolute stereochemistry. 273209-86-2 USPATFULL Estra-4,9-dien-3-one, 11-[4-(methylamino)phenyl]-17-(1-oxopropyl)-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX

L10 ANSWER 1 OF 2 USPATFULL (Continued)
17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME) Absolute stereochemistry. 273209-14-6 USPATFULL 2/3209-14-6 USPATFULL

J9-Norpregna-4,9-diene-3,20-dione, 11-{4-(dimethylamino)phenyl}-17-(3-hydroxy-1-propynyl)-21-methóxy-, (11.beta.)- (9CI) (CA INDEX NAME) Absolute stereochemistry. 73209-30-6 USPATFULL Estra-4,9-dien-3-one (dimethylamino)phenyl]-17-(1-oxopropyl)-17-(1-propynyl)-, (ll.beta.,17.beta.)- (9CI) (CA INDEX NAME) Absolute stereochemistry. ANSWER 1 OF 2 USPATFULL (Continued)
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-(4-(methylamino)phenyl)
17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME) Absolute stereochemistry. 273209-85-1 USPATFULL Estra-4,9-dien-3-one, 11-[4-(methylamino)phenyl]-17-(1-oxopropyl)-17-(1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME) Absolute stereochemistry.

L10 ANSWER 1 OF 2 USPATFULL (Continued)

Absolute stereochemistry.

RN 273209-87-3 USPATFULL
CN Estra-4,9-dien-3-one,
17-(3-hydroxy-1-propynyl)-11-[4-(methylamino)phenyl)17-(1-oxopropyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273210-36-9 USPATFULL 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 1 OF 2 USPATFULL (Continued)

273210-55-2 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4(methylamino)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)-

(9CI) (CA INDEX NAME)

Absolute stereochemistry.

273210-56-3 USPATFULL

19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 1 OF 2 USPATFULL (Continued)

273210-37-0 USPATFULL
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

273210-38-1 USPATFULL

19-Norpregma-4,9-diene-3,20-dione, 21-{acetyloxy}-11-{4-(dimethylamino)phenyl}-17-(3-hydroxy-1-propynyl)-, (11.beta.)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

273210-54-USPATFULL

19-Norpr (methy NAME) egna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-lamino)phenyl]-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX

Apsolute stereochemistry.

L10 ANSMER 2 OF 2 USPATFULL
ACCESSION NUMBER:
TITLE:
20-keto-11.beta.-arylsteroids and their derivatives having agonist or antagonist hormonal properties
Cook, C. Edgar, Staumton, VA, United States
Kepler, John A., Raleigh, NC, United States
Zhang, Ping-sheng, Millbrae, CA, United States
Lee, Yue-wei, Chapel Hill, NC, United States
Tallent, C. Ray, Raleigh, NC, United States
Research Triangle Institute, Research Triangle Park,
NC, United States (U.S. corporation)

NUMBER KIND DATE

US 6020328 20000201
US 1998-35949 19980306 (9)
Utility
Granted
Dees, Jose' G.
Badio, Barbara
Oblon, Spivak, McClelland, Maier & Neustadt, P.C.

##STRI## wherein R.sup.1, R.sup.6, R.sup.7, R.sup.9, R.sup.12 and X are
as defined by the specification. The compounds exhibit progestational
and antiprogestational activities.
IT 240806-28-49

140806-28-49
 (prepn. of 20-keto-11.beta.-arylateroids with antiprogestational
 activity)
240806-29-4 USPATFULL,
19,21-Dinorchola-4,9-dien-24-oic acid, 11-[4-(dimethylamino)phenyl]-17hydroxy-3,20-dioxo-, ethyl ester, (11.beta.)-, trifluoroacetate (salt)
 (9CI) (CA INDEX NAME)

CRN 240806-27-3 CMF C32 H41 N O5

09/180,132

L10 ANSWER 2 OF 2 USPATFULL (Continued)

CM 2

CRN 76-05-1 CMF C2 H F3 O2

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=> d ibib ab hitstr 1-5

L12 ANSWER 1 OF 5
ACCESSION NUMBER:
2001:747811 CAPLUS
201:747811 CAPLUS
Preparation of 17.alpha.-substituted-11.beta.substituted-4-aryl and 21-substituted
19-norpregna-4, 9-diane-3, 20-dione derivatives as new
antiprogestational agents
Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.;
Cessac, James W.; Acosta, Carmie K.; Simmons, Anne
Marie Marie Secretary of Health and Human Services, USA PCT Int. Appl., 171 pp. CODEN: PIXXD2

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

to

Patent English 1 LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

> PATENT NO. KIND DATE APPLICATION NO. DATE 20011011 A2 WD 2001074840 WO 2001-US8681 20010316

WO 2001074840 A2 20011011 WO 2001-US8681 20010316
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IR, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MK, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, TU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, MC, NL, PT, SE, TR, BF, PRIORITY APPLN: INFO:

PRIORITY APPLN: INFO:

AB 19-Norpregna-4, 9-diene-3, 20-dione derivs. [I; R1 = OMe, SNe, NNe2, NHME, NC+HB, NCSH10, NC4HB0, CHO, CH(OR)HMB, C(O)Me, O(CR2)2NNEQ; and O(CO)RS; R6 = alkyl, alkoxy eater, alkoxy; R3 = alkyl, nydroxy, alkoxy, and acyloxy; R4

H, alkyl; X = O, (substituted) NOH] were prepd as antiprogestational agents. The present invention provides methods wherein I were advantageously used, inter alia, to antagonize endogenous progesterone;

induce menses; to treat endometriosis; to treat dysmenorrhea; to treat endocrine hormone-dependent tumors; to treat meningiomas; to treat

uterine
nendocrine hormone-dependent tumors; to treat meningiomas; to treat
uterine
leiomyomas; to treat uterine fibroids; to inhibit uterine endometrial
proliferation; to induce cervical ripening; to induce labor; and for
contraception. Thus, norpregnadienedione deriv. II was prepd. from
3,3-ethylenedioxy-17.beta.-cyano-17.alpha.-hydroxyestra-5(10),9(11)-diene
and 4-bromo-N.N-dimethylaniline in 9 steps which showed 2.79 times the
antiprogestational potency in the antiClauberg test compared to CDB-2914.
II INDEXING IN PROGRESS
IT 365416-20-9 365416-50-89 365416-51-19
365416-55-79 365416-67-79 365416-61-19
365416-65-99 365416-67-79 365416-68-89
365416-69-99 365416-73-59 365416-74-69
365416-75-7P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic

L12 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2001 ACS

365416-59-7 CAPLUS INDEX NAME NOT YET ASSIGNED

365416-60-0 CAPLUS INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry

365416-61-1 CAPLUS INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

L12 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2001 ACS (Continued)
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
(prepn. of 17. alpha..substituted-11..beta..substituted-4-aryl and
21.substituted 19.norpregnadienedione as new antiprogestational

18) 365416-28-0 CAPLUS INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

365416-50-8 CAPLUS INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

365416-51-9 CAPLUS INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry

L12 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2001 ACS (Continued)

365416-65-5 CAPLUS INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

365416-67-7 CAPLUS INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry

365416-68-8 CAPLUS INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry

L12 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2001 ACS (Continued)

365416-69-9 CAPLUS INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

365416-70-2 CAPLUS INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

365416-71-3 CAPLUS INDEX NAME NOT YET ASSIGNED

L12 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2001 ACS

Absolute stereochemistry.

198413-96-6P 198413-97-7P 198413-98-BP
198413-99-9P 198414-00-5P 198414-21-0P
198414-30-1P 198414-12-3P 198414-5P
198414-18-PP 198414-42-5P 365145-18-BP
365416-19-9P 365416-20-2P 365416-21-3P
365416-22-4P 365416-20-3P 365416-21-3P
365416-35-9P 365416-45-1P 365416-48-4P
365416-49-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 17-.alpha.-&ubstituted-11-.beta.-substituted-4-aryl and
21-substituted 19-norpregnadienedione as new antiprogestational
ts)

Absolute stereochemistry.

L12 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2001 ACS (Continued)

Absolute stereochemistry.

365416-72-4 CAPLUS INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

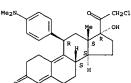
365416-73-5 CAPLUS INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 365416-74-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

L12 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2001 ACS (Continued)



198413-97-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198413-98-8 CAPLUS
19-Norpregma-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17,21-dihydroxy-, (11.beta.)- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

198413-99-9 CAPLUS
13-Norpregna-4.9-diene-3,20-dione,
[4-ddimethylamino]phenyl]-17-hydroxy21-[(methylsulfonyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2001 ACS (Continued)

RN 198414-00-5 CAPLUS CN 19-Norpregna-4,9-diene-3,20-dione, 11-{4-(dimethylamino)phenyl}-21-fluoro-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-21-0 CAPLUS Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-hydroxy-17-(1-oxpropyl)-, (11-beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 198414-30-1 CAPLUS

L12 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2001 ACS

RN 198414-38-9 CAPLUS CN 19-Norpregna-4,9-diene-3,20-dione, 11-{4-(dimethylamino)phenyl}-21-ethoxy-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-42-5 CAPLUS 19-Norpregna-4,9-diene-3, 17-hydroxy-, (11.beta.) (20-dione, 21-bromo-11-[4-(dimethylamino)phenyl]-

365416-18-8 CAPLUS INDEX NAME NOT YET ASSIGNED Absolute stereochemistry.

L12 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2001 ACS (Continued)
CN 19-Norpregna-4, 9-diene-3, 20-dione,
11-[4-(dimethylamino)phenyl]-17-hydroxy21-methoxy-, (11.beta.)- (901) (CA INDEX NAME)

Absolute stereochemistry.

198414-32-3 CAPLUS 19-Norpregna-4,9-diene-3,20-digné, 21-(3-cyclopentyl-1-oxopropoxy)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

19814-34-5 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-dimethylamino)phenyl]-21-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

lute stereochemistry.

L12 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2001 ACS (Continued)

365416-19-9 CAPLUS INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 365416-20-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 365416-21-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED Absolute stereochemistry.

L12 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2001 ACS

365416-22-4 CAPLUS INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

365416-33-7 CAPLUS INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

365416-34-8 CAPLUS INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

L12 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2001 ACS

365416-49-5 CAPLUS INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

365416-23-59 365416-27-99
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 17-.alpha.-substituted-11-.beta.-substituted-4-aryl and
21-substituted 19-norpregnadienedione as new antiprogestational

agents)
RN 365416-23-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 365416-27-9 CAPLUS

L12 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2001 ACS (Continued)

365416-35-9 CAPLUS INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

365416-45-1 CAPLUS INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

365416-48-4 CAPLUS INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

L12 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2001 ACS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

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L12 ANSWER 2 OF 5
ACCESSION NUMBER:
DOCUMENT NUMBER:
135:61476
Process for the preparation of 17.alpha.-acetoxy-
11.beta.-[4-N,N-(dimethylamino)phenyl]-21-methoxy-19-
norpregna-4,9-diene-3,20-dione, intermediates useful
in the process, and processes for preparing such
intermediates

INVENTOR(S):

PATENT ASSIGNEE(S):
SOURCE:

POUNDENT TYPE:

CODEN: PIXXD2
Patent
              DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                                                                                                                                                                                Patent
English
PATENT NO. KIND DATE

APPLICATION NO. DATE

WO 2001047945 A1 20010705 WO 2000-US35479 20001229

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, NN, MM, NX, MZ, NO, NZ, FL, PT, RO, RU, SD, SS, SI, SS, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, US, VM, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GM, MM, MR, NE, SN, TD, TG

PRIORITY APPLAIN INFO: US 1999-173470 P 19991229

OTHER SOURCE(S): CASREACT 135-61476

AB A process for prepg. the antiprogestational agent, 17.alpha.-acetoxy-
11.beta.-(4-N,N'(dimethylamino)phenyl)-21-methoxy-19-norpregna-4,9-dien
-3,20-dione (1), intermediates useful in the process, and processes for prepg. such intermediates was described. I was prepd. via a multistep synthetic sequence starting from cynaohydrin II. The synthetic sequence involved replacing the cynaohydrin group of II with a chloroacetyl group and a hydroxyl group; replacing the chloro group of the resulting compd. with an acetoxy group; deacetylating the or limit of the resulting compd.; selectively wethylating the 21-hydroxy group of the resulting compd.; selectively methylating the 21-hydroxy group of the resulting compd.; selectively methylating the 21-hydroxy group of the resulting compd.; selectively methylating the 20-hydroxyl group of the resulting compd.; selectively methylating the 20-hydroxyl group of the resulting compd.; selectively methylating the 20-hydroxyl group of the resulting compd.; selectively methylating the 20-hydroxyl group of the resulting compd.; selectively methylating the 20-hydroxyl group of the resulting compd.; selectively methylating the 20-hydroxyl group of the resulting compd.; selectively oxidizing the 20-hydroxyl group to a keto group; and acetylating the resulting c
                                                      PATENT NO.
                                                                                                                                                                                                KIND DATE
                                                                                                                                                                                                                                                                                                                                                                                APPLICATION NO. DATE
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               CAPLUS
        L12 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2001 ACS ACCESSION NUMBER: 2000:401850 CAPLUS DOCUMENT NUMBER: 133:17687
                                                                                                                                                                                                                     133:17687
Preparation of 17.beta.-acyl-17.alpha.-propynyl-
11.beta.-arylsteroids and their derivatives having agonist or antagonist hormonal properties
Cook, C. Edgar, Kepler, John A., O'Reilly, Jill M. Research Triangle Institute, USA
PCT Int. Appl., 70 pp.
CODEN: PIXXD2
Patent
          DOCUMENT NUMBER:
TITLE:
            INVENTOR(S):
        PATENT ASSIGNEE (S):
SOURCE:
          DOCUMENT TYPE:
          LANGUAGE:
                                                                                                                                                                                                                          English
        FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
  PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2000031306 A1 20000615 W0 1999-US28535 19991203

W1 AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, IT, LU, LV, MA, MD, MG, MK, MN, MM, MX, NO, NZ, PL, PT, RO, RU, SD, SE, JGS, SI, SK, SL, TJ, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, AM, AZ, YK, KG, KZ, MD, RU, TJ, TM, RM: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BP, BJ, CF, CG, CI, CM, GA, GM, GM, ML, MR, NE, SN, TD, TG

US 6172052 B1 20010109 US 1998-205395 19981204

EP 1135403 A1 20010926

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, IT, LV, FI, RO

PRIORITY APPLN: INFO::

US 1998-205395 A 19981204

WO 1999-US282535 W 19991203
A: AT, BE, CH, DE, DK, ES, FR, GB, GR, 1T, LI, LU, NL, SE, MC, PT, LE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.:

WO 1999-U528535 A 19981203

OTHER SOURCE(S):

MARPAT 133:17687

AB Novel 17.beta.-acyl-17.alpha.-propynyl steroids of formula I (R1 = NMe2, NHMe, NH2; R2 = Me, CF3, CH2OH; R3 = H, Me, OMe, OAc; R4 = H, Me, F, Cl; X

O, H2, NOH, NOMe) are prepd. which exhibit potent antiprogestational activity. Thus, II was prepd. from estrone in many steps. The relative progesterone binding activity of II was 313% of promegestone.

173209-13-69 273209-31-7P 273209-32-89
273209-67-9P 273209-68-1P 273209-87-3P
273210-36-91 273210-36-9P 273209-87-3P
273210-36-91 273210-35-92 173210-36-91
RL: BAC (Biological activity of refector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses) / (prepn. of 17.beta.-acyl-17.alpha.-propynyl-11.beta.-arylsteroids with antiprogestational activity)

RN 273209-12-4 CAPLUS

CN 19-Norpergna-4,9-diene/3,20-dione,
11-(4-(dimethylamino))henyl]-21-methoxy-
17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           Me<sub>2</sub>1
```

L12 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2001 ACS (Continued) 21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME) Absolute stereochemistry. 198414-31-2P, 17.alpha.-Acetoxy-11.beta.-[4-N,N-(dimethylamino)phenyl]-21-methoxy-19-norpregna-4,9-dien-3,20-dione RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP RL: IMF (Indus (Preparation) eparation) (process for the prepn. of 17.alpha.-acetoxy-11.beta.-[4-N,N-(dimethylamino)phenyl]-21-methoxy-19-norpregna-4,9-diene-3,20-dione, intermediates useful in the process, and processes for prepg. such intermediates) intermediates)
19814-31-2 CAPUS
19-Norpregna-4,9-diene-3,20-dicne, 17-(acetyloxy)-11-(4-(dimethylamino)phenyl)-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME) Absolute stereochemistry. REFERENCE (S): (2) Lewbart, M; US 4511511 A 1985 CAPLUS (3) Sigma Tau Ind Farmaceuti; EP 0658533 A 1995 (4) Teutsch, G; STEROIDS 1982, V39(6), P607 CAPLUS (5) UB Health: WO 9741145 A 1997 CAPLUS (6) UBKOKOYIC, M; US 3495199 A 1970 CAPLUS ALL CITATIONS AVAILABLE IN THE RE FORMAT L12 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2001 ACS (Continued) 273209-13-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione,
4-(dimethylamino)phenyl]-21-methoxy17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME) Absolute stereochemistry. 273209-14-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-(3-hydroxy-1-propynyl)-21-methoxy-, (11.beta.)- (9C1) (CA INDEX NAME) Absolute stereochemistry

RN 273209-30-6 CAPLUS
CN Estre-4,9-dien-3-one,
11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-17-(1propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

L12 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2001 ACS (Continued)

273209-31-7 CAPLUS
Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX

273209-32-8 CAPLUS
Estra-4,9-dien-3-one, 11-{4-(dimethylamino)phenyl}-17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273209-67-9 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(methylamino)phenyl]17-(1-propynyl)-, (11.beta.)- (SCI) (CA INDEX NAME)

L12 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2001 ACS (Continued) propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273209-86-2 CAPLUS Estra-4,9-dien-3-one, 11-[4-(methylamino)phenyl]-17-(1/oxopropyl)-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX

Absolute stereochemistry.

RN 273209-87-3 CAPLUS CN Estra-4,9-dien-3-one, 17-(3-hydroxy-1-propynyl)-11-[4-(methylapino)phenyl]-17-(1-oxopropyl)-, (11.beta.,17.bega.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273210-36-9 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylaminolphenyl]-17-(1-propynyl)-, (11.beta.)- (SCI) (CA INDEX

L12 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2001 ACS (Continued) Absolute stereochemistry.

273209-68-0 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(methylamino)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9C1) (CA INDEX NAME)

273209-69-1 CAPLUS
19-Norpregna-4,9/diene-3,20-dione,
(3-hydroxy-1-proprynyl)-21-methoxy-11[4-(methylamino)phenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273209-85-1 CAPLUS Estra-4,9-dien-3-one, 11-[4-(methylamino)phenyl]-17-(1-oxopropyl)-17-(1-

L12 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2001 ACS (Continued) NAME)

Absolute stereochemistry.

273210-37-0 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-{4-(dimethylamino)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.}-

Absolute stereochemistry.

273210-38-1 CAPLUS
19-Norpregna-4, 9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimeth)|amino| phenyl]-17-(3-hydroxy-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

273210-54-1 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-

```
L12 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2001 ACS (Continued) (methylamino)phenyl]-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)
                                                                                                                                                                                                                                                                    L12 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2001 ACS REFERENCE COUNT: 6 REFERENCE(S): (1) Bouali; US 5981516
                                                                                                                                                                                                                                                                                                                                           6
(1) Bouali; US 5981516 A 1999 CAPLUS
(2) Cook; US 5073548 A 1991 CAPLUS
(3) Cook; US 6020328 A 2000 CAPLUS
(4) Grandadam, J, EP 446124 1991 CAPLUS
(5) Kaach; US 5407928 A 1995 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT
              olute stereochemistry
                 273210-55-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(methylamino)phenyl)-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI)
(CA INDEX NAME)
   Absolute stereochemistry
                273210-56-3 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)
   Absolute stereochemistry
L12 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:576939 CAPLUS
DOCUMENT NUMBER: 131:199885
TITLE: Preparation of 20-box
                                                                                                                                                                                                                                                                  L12 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2001 ACS Absolute stereochemistry.
                                                                         Preparation of 20-keto-11.beta,-arvisteroids and
                                                                         derivatives having agonist or antagonist hormonal
                                                                       derivatives having agonist or antagonist hormonal properties Cook, C. Edgar; Kepler, John A.; Zhang, Ping-sheng; Lee, Yue-wei; Tallent, C. Ray; Research Triangle Institute, USA PCT Int. Appl., 95 pp. CODEN: PIXXD2
  INVENTOR(S):
  PATENT ASSIGNEE(S):
SOURCE:
  DOCUMENT TYPE:
                                                                         Patent
  LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                        English
                PATENT NO.
                                                               KIND DATE
                                                                                                                           APPLICATION NO. DATE
               WO 9945022
W
                                    1022 Al 19990910 W0/1999-US3732 19990305
AL, AM, AT, AU, AZ, BA, BB, BG, JR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LK, LS, LT, LU, LV, MD, MG, MK, MN, MM, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TT, TT, UA, UG, UZ, VN, YU, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ,
                                                                                                                                                                                                                                                                                CM 2
                                                                                                                                                                                                                                                                                CRN 76-05-1
CMF C2 H F3 O2
TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, ITT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CT, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6020328 A 20000201 US 1998-35949 19980305

AU 9928715 A1 19990200 AU 1999-28715 19990305

EP 1060186 A1 20001220 EP 1999-309531 19990305

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

BR 9908598 A 20011002 BR 1999-8598 19990305

PRIORITY APPLN. INFO.:

US 1998-35949 A 19980306
                                                                                                                                                                                                                                                                  REFERENCE COUNT:
REFERENCE(S):
              (1) Scholz; US 5446036 A 1995 CAPLUS
(2) Teutsch; US 4386085 A 1983 CAPLUS
OTHER SOURCE(S):
             H, halo; R3 = H, Me, halo; R4 = H, acyloxy, (substituted) OH, alkyl, R5 = H, alkyl, halo, acyloxy, etc.] are prepd. which exhibit potent antiprogestational activity. Thus, II was prepd. from 17.alpha.-hydroxymethyl-3-methoxy-19-norpregna-1,3.5(10)-trien-20-one and 4-bromo-N,N-dimechylamiline in several steps. The affinity of II for the progesterone hormome receptor was ICSO 0f 0.7 nM. 240806-28-4P
RL: RCT (Reactant) SPN (Synthetic preparation); PREP (Preparation) (prepn. of 20-Meto-11.beta.-arylsteroids with antiprogestational activity) 240806-28-4 CRPIUS 19,21-Dimorcholaj4.9-dien-24-oic acid, 11-{4-(dimethylamino)phenyl]-17-hydroxy-3,20-dioxo-, ethyl ester, (11.beta.)-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)
```

RN 198414-11-8 CAPLUS

L12 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1997:740250 CAPLUS
DOCUMENT NUMBER: 127:358992
TITLE: Preparation of 21-substituted progesterone derivatives as new antiprogestational agents Kim, Hyun K.; Blye, Richard F.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K. United States Dept. of Health and Human Services, PATENT ASSIGNEE(S): Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K. PCT Int. Appl., 65 pp. CODEN: PIXXD2 SOURCE: DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. APPLICATION NO. DATE KIND DATE IE, FI
AT 194358 E 20000715 AT 1997-923523 19970430
JP 2000509396 T2 20000725 JP 1997-5339232 19970430
ES 2152671 T3 20010201 ES 1997-923523 19970430
EXTY APPLM. INFO: US 1996-16628 P 19960501
WO 1997-US7373 W 19970430

R SOURCE(S): MARPAT 127:358992
Progesterone derivs. of formula I [R1 = OMe, SMe, NMe2, NHMe, CHO, Ac, CHOHCH3; R2 = halo, alkyl, acyl, OH, alkoxy, etc.; R3 = OH, alkyl, XY, ES 2152671 PRIORITY APPLN. INFO.: OTHER SOURCE(S): alkoxy, R4 = H, alkyl; X = O, (substituted) NOH) are prepd. as antiprogestational agents. The present invention provides methods in
the compds. of formula I are advantageously used, inter alia, to
antagonize endogenous progesterone; to induce menses; to treat
endometriosis; to treat dysmenorrhea; to treat endocrine hormone-dependent one-dependent tumors; to treat uterine fibroids; to inhibit uterine endometrial proliferation; to induce labor; and for contraception. Thus, II was prepd. from 3.3-ethylenedioxy-17.beta.-cyano-17.alpha.-hydroxyestra-5(10),9(11)-diene and 4-bromo-N,N-dimethylaniline in 3 steps. II sho L12 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2001 ACS 198414-03-8P 198414-05-0P 198414-11-8P
198414-22-1P 198414-32-3P 198414-13-4P
198414-34-5P 198414-19-0P 198414-43-6P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of progesterone derivs. as antiprogestational agents)
198414-03-8 CAPLUS
198414-34-03-8 CAPLUS
198414-03-8 CAPLUS
(dimethylamino)phenyl)-21-fluoro-, (11.beta.)- (9CI) (CA INDEX NAME) CH₂I 198414-05-0 CAPLUS 19-Norpregma-4,9-diene-3,20-dione, 17-(acetyloxy)-21-chloro-11-[4-(dimethylamino)phenyl]-, (dl.beta.)- (SCI) (CA INDEX NAME) H₂Cl

L12 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2001 ACS (Continued)
2.79 times the antiprogestational potency in the antiClauberg test
compared to CDB-2914.

IT 188414-07-2P 198414-09-4P 198414-31-2P
RL: BAC (Biological activity or effector, except adverse); RCT
(Reactant);
SPN (Synthetic preparation); THU (Therapeutic use); BIOL, (Biological
study); PREP (Preparation); USES (Uses)
(prepn. of progesterone derivs. as antiprogestational agents)
RN 198414-07-2 CAPLUS
CN 19-Norpregna-4, 9-diene-3, 20-dione, 17, 21-bis (acetyloxy)-11-{4(dimethylamino) phenyl}-, (11.beta.)- (9CI) (CA INDEX NAME) Absolute stereochemistry.

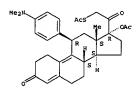
198414-09-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetylthio)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

198414-31-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME) Absolute stereochemistry.

ANSWER 5 OF 5 CAPLUS COPYRIGHT 2001 ACS (Continued) 19-Norpregna-4, 9-dieme-3, 20-dione, 17-(acetylchy)-21-(acetylthio)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



198414-22-1 CAPLUS Estra-4,9-dien-1-one, 17-(acetyloxy)-11-(4-(dimethylamino)phenyl)-17-(1-oxopropyl)-, (11.beta.,17.aipha.)- (SCI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

198414-32-3 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(3-cyclopentyl-1-oxopropoxy)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-33-4 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(3-cyclopentyl-1-

L12 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2001 ACS (Continued) oxopropoxy)-11-{4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-34-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-39-0 CAFLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-{4-(dimethylamino)phenyl}-21-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2001 ACS (Continued

198413-97-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

198413-98-8 CAPLUS / 19-Norpregna-4,9-diene-3,20-dic dihydroxy-, (11.beta.)- (9CI) one, 11-[4-(dimethylamino)phenyl]-17,21-(CA INDEX NAME)

RN 198413-99-9 CAPLUS CN 19-Norpregna-4,9/diene-3,20-dione, 11-[4-(dimethylamino)phenyl)-17-hydroxy-21-[(methylsulfonyl)oxy}-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2001 ACS (Continued)

198414-43-6 CAPLUS 19-Norpregna-4,9'diene-3,20-diene, 17-(acetyloxy)-21-bromo-11-[4-(dimethylamin)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

| 18413-96-6P 198413-97-7P 198413-98-8P 198413-99-9P 198414-00-5P 198414-21-0P 198414-30-1P 198414-38-9P 198414-42-5P RM: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of progesterone derive. as antiprogestational agents) RN 198413-96-6 CAPIUS CN 19-Norpregna-4,9-diene-3,20-dione, 21-chloro-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2001 ACS (Continued)

RN 198414-00-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-diene,
11-[4-(dimethylamino) phenyl]-21-fluoro17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

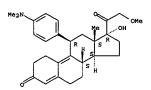
198414-21-0 CAPLUS Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-hydroxy-17-(1-oxopropyl)-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 198414-30-1 CAPLUS CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-dimethylamino]phenyl]:17-hydroxy-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

L12 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2001 ACS (Continued) Absolute stereochemistry.

L12 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2001 ACS (Continued)



RN 198414-38-9 CAPLUS CN 19-Norpregna-4,9-diene-3,20-diene, 11-{4-(dimethylamino)phenyl}-21-ethoxy-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-42-5 CAPLUS 19-Norpregna-4.9-diene-3.20-dione, 21-brono-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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LIS ANSWER 1 OF 12 MARPAT COPYRIGHT 2001 ACS
ACCESSION NUMBER: 129:50105 MARPAT
TITLE: Uses of anti-glucocorticoid compounds for the treatment of psychoses or addictive behaviors
Oberlander. Claude; Piazza, Pier Vincenzo
Hoechst Marion Roussel, Fr.; Oberlander, Claude;
Piazza, Pier Vincenzo
SOURCE: PIAZZA, Pier Vincenzo
PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9826783 Al 19980625 Wo 1997-FR2320 19971217
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GM, HU, ID, IL,
RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG,
KZ, MD, RU, NJ, MT
RW: GH, GM, KE, LS, MM, SD, SZ, UG, ZM, AT, BE, CH, DE, DK, ES, FI,
FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,
GA, GN, ML, MR, NE, SN, TD, TG
FR 2757400 Al 19980626 FR 1996-15649 19961219
FR 2757400 Al 19980626 FR 1996-15649 19961219
AU 9855632 Al 1999127 EP 1997-952078 19971217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, TT, LI, LU, NL, SE, MC, PT,
FRIORITY APPLM. INFO:

AB Glucocorticoid antagonists to treat psychotic or addictive behavior. Thus,
17. Deta. hydroxy-10. Deta. [(4-methylphenyl)methyl]-17. alpha. -(1-
propynyl)estra-4, 9(11) -dien-3-one considerably reduced the response to
morphine in vivo.

MSTR 1

LIS OPYRIGHT AND ACCE. THE ACCE.
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L15 ANSWER 2 OF 12 MARPAT COPYRIGHT 2001 ACS
ACCESSION NUMBER: 128:188869 MARPAT
TITLE: Mixed agonists of the progesterone receptor and
assays

for them
McDonnell, Donald P., Wagner, Brandee L.
Duke University, USA
PATENT ASSIGNEE(S): Duke University, USA
CODE: PIXXD2

DCCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9805679 A2 19980212 W0 1997-US13754 19970805
W: CA
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
PRIORITY APPLN. INFO: US 1996-23206 19960805
AB A third class of PR-ligand (i.e. mixed agonist) is identified which induced by
a PR agonist or antagonist: the agonists are estra-4.9-dien-3-one derivs.
PR mixed agonists exhibit partial agonist activity which is influenced by cell context. These compde. provide useful pharmacol. profiles for treating progesterone related diseases and/or conditions, such as uterine proliferation from estrogen administration, endometriosis, breast cancer, fibroids, endometrial cancer, and brain meningiomss. The agonists can also be used as contraceptives. Assays are provided to convert a PR antagonist to
```

MSTR 1

PR mixed agonist.

G2 = 30

38 (O)-G3

G3 = alky1<(1-6) > (SO) G6 = alky1<(1-6) > (SO) G9 = 52 L15 ANSWER 1 OF 12 MARPAT COPYRIGHT 2001 ACS (Continued)

G12 G18

G16 G16

G4 = C(O)
G11 = alkenyloxy<(2-6)>
G12 = 41

C(O)CH2-OH

G16 = OH

DER: and pharmaceutically acceptable acid addition salts

MPL: claim 4

NTE: substitution is restricted

L15 ANSWER 2 OF 12 MARPAT COPYRIGHT 2001 ACS (Continued)

52

G10

G10

- COMe
MPL: claim 4

09/180,132 L15 ANSHER 3 OF 12
ACCESSION NUMBER:
TITLE:
Pharmaceutical compositions of antiglucocorticoid compounds for treating or preventing symptoms of spontaneous or narcotic-induced withdrawal.
Petit, Francis; Philibert, Daniel; Ulmann, Andre Roussel-UCLAF, Fr.
SOURCE:
CODEN: EPXXDW
Details ANSHER 3 OF 12
ARRAPAT COPYRIGHT 2001 ACS
124:22540 MARPAT
Pharmaceutical compositions of antiglucocorticoid compounds for treating or preventing symptoms of spontaneous or narcotic-induced withdrawal.
Petit Francis; Philibert, Daniel; Ulmann, Andre Roussel-UCLAF, Fr.
CODEN: EPXXDW DOCUMENT TYPE: Patent French LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE PATENT NO. KIND DATE APPLICATION NO. DATE

EP 676203 Al 19951011 EP 1995-400764 19950406
R: AT. BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SI
FR 2718354 Al 19951013 FR 1994-4156 19940408
R: PR 2718354 Bl 19960503
ZA 9502058 A 19960313 ZA 1995-2058 19950313
CA 2146600 AA 199951009 FI 1995-14620 19950407
FI 9501683 A 19951009 FI 1995-16326 19950407
JP 07278017 A2 19951024 JP 1995-16326 19950407
JP 07278017 A2 19951024 JP 1995-10701 19950407
CN 11468 A2 19951024 JP 1995-1019 19950407
CN 1116929 A 19960221 CN 1995-1019 19950407
FRIORITY APPLN. INFO.: A 1996021 CN 1995-104015 19950407
FRIORITY APPLN. INFO.: The series of the prevention of treatment of withdrawal syndromes, either spontaneous or pptd. by narcotics or mixts. of narcotics. These antiglucocorticoids would be useful in the withdrawal syndromes, either spontaneous or pptd. by PT, SE useful in the withdrawal from morphinomimetics such as heroin, morphine or methadone as well as cocaine. Pharmacol. activity was demonstrated by the effect of the antiglucocorticoids on the stereotypic behavior of mice in response to nercotics. Spontaneous withdrawal syndrome was induced by administration of the opioid antagonist, naloxone. An antiprogesterone activity of the steroids in their action mechanism was eliminated. Results confirmed the involvement of endogenous glucocorticoids in morphine withdrawal since this is inhibited by antiglucocorticoids or adremalectomy. adrenalectomy. MSTR 2 G1 - Ph (SO (1-) G2) L15 ANSWER 4 OF 12
ACCESSION NUMBER:
123:218391 MARPAT
TITLE:
Steroids for reducing multidrug resistance to cancer chemotherapeutic agents
Cohn, Suzanne Bourgeois; Gruol, Donald J.
PATENT ASSIGNEE(5):
SOURCE:
CODEN: PIXXD2

DOCUMENT TYPE:

MARPAT COPYRIGHT 2001 ACS
123:218391 MARPAT
Steroids for reducing multidrug resistance to cancer chemotherapeutic agents
Cohn, Suzanne Bourgeois; Gruol, Donald J.
PATENT ASPIRAL STEROIDS ASPI

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ACCESSION NUMBER: 123:218391 MARPAT
TITLE: Steroids for reducing multidrug resistance to cancer chemotherapeutic agents
Cohn, Suzanne Bourgeois; Gruol, Donald J.
SAIK Institute for Biological Studies, USA
PCT Int. Appl., 54 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: PATENT ACC. NUM. COUNT:

PATENT NO. KIND DATE APPLICATION NO. DATE

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9517192 Al 19950629 WO 1994-US14624 19941219
M: AM, AT, AJ, BB, BC, BR, BY, CA, CH, CN, CZ, DB, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MM, MN, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA,
US, UZ
RN: KE, MM, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU,
MC, ML, PT, SE, BP, BJ, CF, CG, CI, CM, CA, GM, ML, MR, NE, SN,
TD, TG

AU 9514195 Al 19950710 AU 1995-14395 19941219

AB Certain steroid-like compds. [I; R1 = H; R2 = OR; or R1R2 = :0; R = H,
lower alkyl, Me3Si; R3 = H, Me, or absent if double bond or epoxide
bridge
joins C9 and C10; R4 = OR', C4-18 cyclic org. group contg. O, N, P, or
SI;
R' = lower alkyl, Me3Si; R5 = H, OR; or RSC16C17 form a 3-, 5-, 6-, or
7-membered ring; R6 = C(O)CH3, CH(OH)CH3, C(O)CH3OH, (substituted)
hydrocarbyl, R9 = H, halo, or absent if double bond or epoxide bridge
joins C9 and C10; are capable of inhibiting the P-glycoprotein-assocd.
efflux pump which is considered responsible for multidrug resistance.
Chemotherapy can be enhanced by facilitating the accumulation of drug at
the target site, with reduced or eliminated competition by the drug
efflux
system. Thus RU 38486, an antiprogestin, at 5 .mu.M facilitated killing
of multidrug-resistant S7CD-5 murine thymoma cells by 20 .mu.M puromycin.
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- C(0) - loweralkyl - Ph (SO (1-2) G16) - OH

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L15 ANSWER 4 OF 12 MARPAT COPYRIGHT 2001 ACS (Continued)

3 (O) CH2-OH

G16 - 41

40-G3

MPL: Claim 1
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L15 ANSWER 5 OF 12 MARPAT COPYRIGHT 2001 ACS
ACCESSION NUMBER: 122:256423 MARPAT
TITLE: Antiglucocorticoid steroids for the treatment of anxiety disorders
INVENTOR(5): Peeters, Bernardus Wynand Machijs Maria
Akzo Nobel N.V., Neth.
SOURCE: CODEN: PIXXD2
DOCUMENT TYPE: Patent
 DOCUMENT TYPE:
                                                                 Patent
English
 LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
            PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9504536 A1 19950216 WO 1994-EP2513 19940728
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT.
UA, US, UZ, VN
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD,
 TG
                                                          A1
B2
A1
B1
             AU 9474968
                                                                       19950228
                                                                                                             AU 1994-74968 19940728
             AU 687088
EP 712311
EP 712311
                                                                      19980219
19960522
19981007
                                                                                                             EP 1994-924819 19940728
                       R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,
                                                          T2
E
T3
A
                                                                                                            JP 1994-506200 19940728
AT 1994-924819 19940728
ES 1994-924819 19940728
US 1996-581631 19960118
EP 1993-202304 19930804
EP 1994-924819 19940728
WO 1994-EP2513 19940728
             JP 09501172
                                                                      19970204
19981015
19990216
19980421
 AT 171873
ES 2124905
US 5741787
PRIORITY APPLN. INFO.:
             MO 1994-EP2513 19940728
Antiglucocorticoid steroids are used for the manuf. of a pharmaceutical compn. for the treatment of anxiety disorders. The anxiolytic effect of
 11.beta.-(4-dimethylaminophenyl)-17.beta.-hydroxy-17.alpha.-(prop-1-ynyl)-
estra-4,9-dien-3-one (RU38486) was demonstrated in animal testing
(antagonism of fear-potentiated startle). Prepn. and activity
(antagonism
             of stress-induced hyperthermia) of selected steroids of the invention is
      MSTR 1
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L15 ANSWER 6 OF 12 MARPAT COPYRIGHT 2001 ACS
ACCESSION NUMBER: 116:35156 MARPAT
TITLE: Preparation and use of antiprogestomimetics for synchronization of parturition in livestock Grandadam, Jean Andre
ATENT ASSIGNEE(S): Grandadam, Jean Andre
ENUMBER 1- PR. ENUM DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE

EP 446124 A2 19910911 EP 1991-400594 19910305
EP 446124 A3 19920527
R: AT, BE, CH, DE, DK, FR, GB, GR, IT, LI, LU, NL, SE
FR 2659233 A1 19910913 FR 1990-2783 19900306
FR 2659233 B1 19940121
CA 2037549 AA 19910907 CA 1991-2037549 19910305
AU 9172608 A1 19910912 AU 1991-72608 19910305
AU 9172608 A1 19910912 AU 1991-72608 19910305
AU 642975 B2 19931104
ZA 9101603 A 19920527 ZA 1991-1603 19910305
GRU 2037295 C1 19950619 RU 1991-62496 19910305
CN 1055665 A 19910010 CN 1991-102108 19910306
HU 59006 A2 1990428 HU 1991-729 19910306
HU 59006 A2 1990428 HU 1991-729 19910306
FRIORITY APPLN. INFO.: FR 1990-2783 19900306
AB The title antiprogestomimetics are I (R1 = C1-18 hydrocarbyl optionally substituted with .gtoreq.1 heteroatoms and bonded to the steroid by a C; R2 = C1-8 hydrocarbyl; X = rems inder of 5- and 6-membered ring optionally substituted and optionally unsatd.; C = A = CNOH, oxo (free or blocked as ketal), etc.; B and C together form a double bond or epoxide bridge) and acid addh. salts thereof. Frepn. of 2 I are described.

17. beta.-Hydroxy-11.beta.-(4-dimethylaminophenyl)-17.alpha.-(prop-1-ynyl)estra-4,9-dien-3-one (II) was more effective at synchronizing parturition than cloprostenol when tested in sows. Injectable pharmaceuticals contg. II are disclosed. PATENT NO. APPLICATION NO. DATE MSTR 1C

= hydrocarbyl<(1-8)>
= 55-13 57-14

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L15 ANSWER 5 OF 12 MARPAT COPYRIGHT 2001 ACS (Continued) G7 = 44
         alkyl<(1-6)>
         alkylcarbonyl<(1-5)> (SO (1-) G17)
39
    -G1 1
39 G16
MPL:
         claim 2
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L15 ANSWER 6 OF 12 MARPAT COPYRIGHT 2001 ACS (Continued)

С (О)-СН2—ОН

G4 +G17= O DER: and protected derivatives and acid addition salts MPL: claim 1

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L15 ANSWER 7 OF 12
ACCESSION NUMBER:
TITLE:
Injectable microspheres containing antiestrogenic and antiprogestominetic steroids
INVENTOR(S):
SOURCE:
COHEN, GETARD JUDOIS, Jean Luc
ROUSEL-UCLAF, FOR
CODEN: GWXXEX

DOCUMENT TYPE:
LANGUAGE:
PALENT
PALENT
PALENT
PALENT
GETARD
GET
       LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                    PATENT NO.
                                                                                                                                            KIND
                                                                                                                                                                            DATE
                                                                                                                                                                                                                                                                        APPLICATION NO.
                                                                                                                                                                                                                                                                                                                                                                              DATE
    PATENT NO.

DE 4036425
FR 2654337
FR 2654337
SE 9003570
BE 1005511
DK 9002709
CA 2029940
JP 03294229
CH 681691
NL 9002492
GB 2239798
GB 2239798
GB 2239798
GB 2239798
AT 9002313
AT 400298
PRIORITY APPLN. INFO.:
AB Biodegradable mic.
                                                                                                                                                                            19910516
19910517
19940805
19910516
19930831
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A1
B1
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FR 1989-14976
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19891115
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BE 1990-1062
DK 1990-2709
CA 1990-2029940
JP 1990-306374
CH 1990-3611
NL 1990-2492
GB 1990-24862
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A4
AA
A2
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A1
B2
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19901113
19901114
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19910516
19910516
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B
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                                                                                                                                                                            19951127
                                  RITY APPLN. INFO.: FR 1989-14976 19891115
Biodegradable microspheres comprise the title steroids (Markush given)
       and
                                    copolymers of lactic acid with glycolic acid. A mixt. of 250 mL aq. 0.3% hydrolyzed PVA soln., 1 g poly(DL-lactic acid-glycolic acid), 17 g
      CH2Cl2
                                     and 0.5 g
       and 0.5 g

17.beta.-hydroxy-11.beta.-[4-(dimethylamino)phenyl]-17.alpha.-(1-
propynyl)estra-4,9-dien-3-one was emulsified, followed by stirring at
22.degree. and decreasing pressure (.gtoreq.400 mm Hg) to give
microspheres, which were used for the prepn. of injections.
                  MSTR 1A
      G1---G3
      G1
      L15 ANSWER 8 OF 12 MARPAT COPYRIGHT 2001 ACS
ACCESSION NUMBER: 115:151901 MARPAT
TITLE: Use of antiprogestomimetics for stimulating
                                                                                                                                                          and new preparation for use in pharmaceutical compositions
Grandadam, Jean Andre
Roussel-UCLAF, Fr.
Bur. Pat. Appl., 24 pp.
CODEN: EPXXDW
Patent
French 1
       INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
      DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

PATENT NO. KIND DATE APPLICATION NO. DATE

PATENT NO. KIND DATE APPLICATION NO. DATE

EP 417003 A3 19911204

EP 417003 B1 19940629

R. R. A. B. CH. DE, DK. FR. GB, IT, LI, LU, NL, SE

FR 2651435 B1 19940422

US 5173483 A1 19910308 FR 1989-11699 19890907

FR 2651435 B1 19940422

US 5173483 A 19921222 US 1990-578894 19900905

CA 2024728 AA 19910308 CA 1990-2024728 19900906

A9 9662259 A1 19910314 AU 1990-62259 19900907

AU 623805 B2 199220521

JP 03029015 A2 19910424 JP 1990-236004 19900907

JP 3032253 B2 20000010 FR 1989-11699 19890907

ABI Anti-progestomimetic compds., e.g. I [R1 + C1-18 hydrocarbyl] with optionally, gtoreq.1 heteroatoms, bonded to the steroid by a C; R2 = C1-8 hydrocarbyl; X = rest of 5- of -membered (substituted) (unsatd.) ring; A:C = oxo (free or in ketal), CH(OH), CH(OR3), CH(OZCR3), etc.; R3 = C1-8 alkyl, C7-15 aralkyl; B and C together form a double bond or epoxide bridge) and their acid and base addn. salts, are used for making pharmaceuticals for stimulating ovulation, e.g. in cows. The compds. of the invention are preferably used following treatment with progesterone or
 or

a progestomimemetic, e.g. 3-oxo-17.alpha.-allyl-17.beta.-hydroxyestrs-
4.9,11-triene (II). Thus, heifer cows were 1st administered II for 17
days; on the day following the last administration, the animals were
injected with
17.beta.-hydroxy-11.beta.-(4-dimethylaminophenyl)-17.alpha.-
(prop-1-ynyl)estra-4,9-dien-1-one. All of the heifers came to heat after
a very short delay period, and LH levels rose very rapidly. Prepn. of 12
anti-progestomimetics is presented.
                  MSTR 1E
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L15 ANSWER 7 OF 12 MARPAT COPYRIGHT 2001 ACS (Continued)

G6
G6
G7
G7
G8
G9
G13
H2
CH
G16
G9
- 74

74
(O)—CH2—G10

G10
- OH
G13
- OH
MPL: claim 6

L15 ANSWER 8 OF 12 MARPAT COPYRIGHT 2001 ACS (Continued)
G1 = 85

P_8C6H4G10

G3 = hydrocarbyl<(1-8)>
G10 = COMe
G12 = OH / 96

9C(O)G14

G14 = 98

H2C G15

S8

G15 = OH
G5 + G6 = O
DER: Or acid or base addition salts
Claim 2 .
NTE: oxo formed by G5 and G6 may be protected as a ketal

G4—G3 G1 G2 G2 17 G2 G9

L15 ANSWER 10 OF 12 MARPAT COPYRIGHT 2001 ACS

ACCESSION NUMBER: 114:229227 MARPAT
Preparation of 19-nor 3-oxo steroids with an amine substituted 17-chain as antixidants and antinflammatories: their use as medicines and pharmaceutical composition containing them clausaner, Andre; Leclaire, Jacques; Nedelec, Lucien; Philibert, Danie Philibert, D

of R17 and R18 is OH or acyloxy and the other is Q; Z = alkylene, alkenylene, alkynylene; P = (substituted) pyrimidinyl, pyridyl] were prepd. via reacting the halo derivs. II or III (X = halo) with the appropriate pyrimidinyl or pyridine deriv. IV. Reaction of estradienone

[R3 = 3-bromo-1-propynyl, R4 = OH] (prepn. given) was reacted with
2.4-bis(1-pyrrolidinyl)-6-(1-piperazinyl)pyrimidine (prepn. given) in
acetone contg. R2CO3 at ambient temp. for 2 h to give V [R3 =
3-[4-[2.6-bis(1-pyrrolidinyl)-4-pyrimidinyl]-1-piperazinyl]-1-propynyl;

= 0H). At 5 .times. 10-4 M this inhibited in vitro the formation of malonyldialdehyde, a measure of lipid peroxidn., in rat brain homogeneate by .apprx.47.5%.

MSTR

G2 - 107

L15 ANSWER 10 OF 12 MARPAT COPYRIGHT 2001 ACS (Continued)

G4 - OH - NMe2 Claim 13
NTE: Claim 13 the alkylamino and dialkylamino groups in G11 may be interrupted by oxygen, sulfur, or nitrogen

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L15 ANSWER 11 OF 12
ACCESSION NUMBER: 11:233356 MARPAT
ITITLE: 11:233356 MARPAT
INVENTOR(S): preparation, and pharmaceuticals containing them
DE JORDH, Hendrik Paul; Van Vliet, Nicolaas Pieter
AKZD N V., Neth.
SULT PAL. Appl., 10 pp.
CODEN: EPXXDN
DE JORDHENT TYPE: PAL.
 DOCUMENT TYPE:
                                                                                    Patent
English
 LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                 PATENT NO.
                                                                         KIND DATE
                                                                                                                                              APPLICATION NO. DATE
                                                                                           19890621
19930203
                 EP 321010
EP 321010
                                                                            A1
B1
                                                                                                                                             EP 1988-202678 19881125
               EP 321010 B1 19930203
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE
AT 85342 E 19930215 AT 1988-202678
ES 2053714 T3 19940801 ES 1988-202678
ZA 8808996 A 19890830 ZA 1988-8996
AU 8826469 A1 19890615 AU 1988-26469
                AT 85342
ES 2053714
ZA 8808996
AU 8826469
AU 613433
US 4921845
CA 1301162
DK 8806880
DK 168444
FI 8805717
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19881130
19881201
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A1
                                                                                            19890615
19910801
19900501
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CA 1988-585297
DK 1988-6880
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19890613
19940328
19890613
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19881209
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B1
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B
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                                                                                           19890613
19930430
19930810
19970614
19890816
19921230
19890824
               FI 89056
FI 89056
KR 9709592
CN 1034731
CN 1019807
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CN 1988-108484
                                                                                                                                                                                                     19881210
19881212
                                                                                                                                             JP 1988-313643
NL 1987-3008
EP 1988-202678
                                                                                                                                                                                                    19881212
JP 01211597
PRIORITY APPLN. INFO.:
                                                                                                                                                                                                     19871212
               EP 1988-202678 19881125

Aryl steroids I [R1 = aryl substituted by -NXY; X, Y = H, Cl-4
hydrocarbyl; or XY = C2-6 hydrocarbyl forming 3 - to 7-membered ring; R2 =
H, OH, acyloxy, alkoxy, (un)satd. Cl-8 hydrocarbyl with .gtoreq.1 OH,
                N3, cyano, and/or halo group; R3 = OH, acyloxy, alkoxy, or acyl
               onally substituted by OH, alkoxy, acyloxy, or halo; or R2R3 forms ring; R2 .noteq. H or OH when R3 * OH; R4 * Me, Et), which are strong antiprogestins with little or no antiglucocorticoid activity (no data), are prepd. Thus, 7.beta.-methylestr-5-(10)-ene-3,17-dione 3,3-di-Me acetal underwent NaBH4 redn., deketalization, bromination/dehydrobromination, reketalization, and epoxidn., to give 5.alpha.
bromination/dehydropromaination, resctairzation; and epociation, of give 5.alpha., 10.alpha.-epoxy-17.beta.-hydroxy-7.beta.-methylester-9(11)-en-3-one 3.3-ethylene acetal. This underwent CuCl-catalyzed coupling with p-(Me2N)C6H4MgBr, Oppenauer oxidn. of 17-OH, alkynylation with THP-OCH2C.tplbond.CMgBr (THP = tetrahydropyranyl), and deprotection, to give (dimethylaminophenyl)hydroxy(hydroxypropynyl)methylestradienone II.
       MSTR 1
L15 ANSWER 12 OF 12 MARPAT COPYRIGHT 2001 ACS
ACCESSION NUMBER: 110:213172 MARPAT
TITLE: 13 (Alpha) - alkylgonanes, their production, and pharmaceutical preparations containing same
INVENTOR(S): Neef, Guenter; Wiechert, Rudolf; Beier, Sybille; Elger, Walter; Henderson, David
PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.
SOURCE: U.S., 5 pp. Cont. of U.S. Ser. No. 621,308.
CODEN: USXXAM
DOCUMENT TYPE:
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DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                                                  Patent
English
4
                    PATENT NO.
                                                                                      KIND
                                                                                                           DATE
                                                                                                                                                                       APPLICATION NO. DATE
                US 4780461 A 19881025 US 1985-810148 19851218
DE 3321826 A1 19841220 DE 1983-3121825 19830615
DE 3413036 A1 19851017 DE 1984-3413036 19840404
DE 3446661 A1 19860619 DE 1984-3443036 198401218
DE 1981-3321826 19830615
DE 1984-3413036 19840404
US 1984-621308 19840615
DE 1984-3446661 1981
US 4780461
DE 3321826
DE 3413036
DE 3446661
PRIORITY APPLN. INFO.:
                    H, Me, Et; R3 = (substituted) alkyl; R4 = OH, alkoxy, alkanoyloxy; or
R3R4 0; R5 = H, alkyl; III; Z = CH2CH2, CH2CMe2CH2], having antigestagenic activity and useful as postcoital contraceptives, or for triggering abortion and menstruation (no data), are prepd. via photochem. epimerization of the 13. beta. -gonanes IV. 11. beta. -(4 - Dimethylaminomethyl) -17. alpha. -hydroxy-13. alpha. -methyl-17. beta. -(3 - hydroxyreoypl) -4,9-gonadien-3-one (V) was acetylated with Ac20 in pyridine
to give 11.beta. -(4-dimethylaminomethyl) -17. alpha. -hydroxy-13. alpha. -methyl-17. beta. -(3 - acetoxypropyl) -4,9-gonadien-3-one. A tablet was formulated contg. V 10.0, lactose 140.0, corn starch 69.5, polyvinylpyrrolidone 25 2.5, Aerosil 2.0, and Mg stearate 0.5 mg.
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59 (0)-CH2-G11

L15 ANSWER 11 OF 12 MARPAT COPYRIGHT 2001 ACS (Continued)

phe
NH
Ak
OH
35 phenylene NH G1 G3 G4 G5 G6 Ak<(1-4)>

g (0)--G12

= Ak (SO (1-) G10) = 42

MPL: claim 1

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L15 ANSWER 12 OF 12 MARPAT COPYRIGHT 2001 ACS
                                                  (Continued)
G8
G11
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68 G8

= 33 <RC (1), RS (1) MS (1) X6, EC (0-) O (1-) N (0-) S (0) OTHERQ, AN (1) N, BD (ALL) SE> and acid addition salts claim 18 GGA

DER: